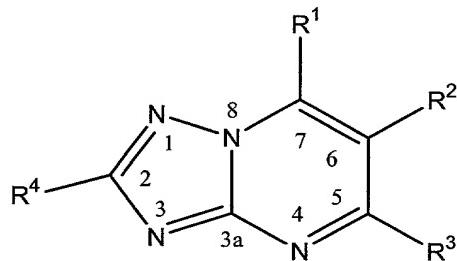


We claim:

1. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof which comprises
5 administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.
2. The method according to Claim 1 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:

10



(I)

wherein:

- 15 R^1 is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,
20 halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1

to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S-aryl}$ of 6, 10 or 14 carbon atoms, $-\text{S-alkyl}$ of 1 to 12 carbon atoms, $-\text{S-cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{S-alkenyl}$ of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, $-\text{O-aryl}$ of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also

be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl, $-S$ -alkenyl, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl, $-SO_2$ alkyl, $-O$ -aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl,

5 cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

- R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;
- 10 R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, 20 heterocyclyl or halogen;

- R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, 25 carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-N_3$;

- R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl 30 of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally

- \$ \$ \$ \$ \$ \$ \$ \$ \$ \$
- substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which
- 5 one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;
- 10 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be
- 15 replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;
- 20 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$
- 25 where R' is H or alkyl of 1 to 12 carbon atoms;
- 30 R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxycarbonyl of 2 to 12 carbon

atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF₃;

- provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzylxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R¹ is 2-amino-5-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or -SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl
or a pharmaceutically acceptable salt thereof.

3. The method according to claim 2 wherein

- R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon

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atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, 5 -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$ or a pharmaceutically acceptable salt thereof is administered.

4. The method according to claim 2 wherein R^a and R^b each independently 10 represent the moiety $-\text{C}^*\text{H}(\text{R}^e)(\text{R}^f)$ where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.
- 15 5. The method according to claim 2 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocycl or halogen or a pharmaceutically acceptable salt thereof is administered.
- 20 6. The method according to claim 2 wherein R³ is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
- 25 7. The method according to claim 2 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.

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8. The method according to claim 2 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms,
5 optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be
10 replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b wherein
15 R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

9. The method according to claim 2 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically acceptable
20 salt thereof is administered.

10. The method according to claim 2 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms,
25 dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

11. The method according to claim 2 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms,
30 dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.

- PCT/EP2009/052550
12. The method according to claim 2 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms,-S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,-SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 5 to 10 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety –NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
13. The method according to claim 2 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
14. The method according to claim 2 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
15. The method according to claim 2 wherein R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
16. The method according to claim 2 wherein R¹ is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or

or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms, $-SO_2$ alkyl of 1 to 12 carbon atoms, and the moiety $-NR^aR^b$

5 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

10

17. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R^2 is optionally substituted phenyl; R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 15 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

18. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

20 R^2 is optionally substituted phenyl;
 R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
 R^4 is H;

25 R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted

30 cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12

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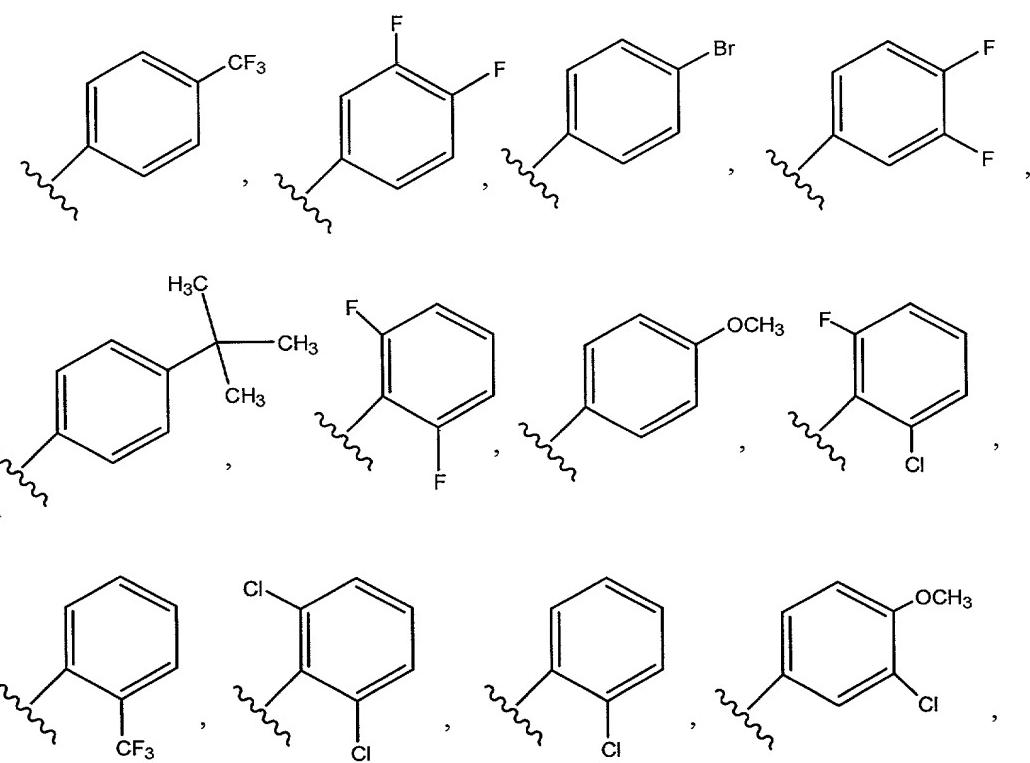
carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an
optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted
alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12
5 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms,
optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂-
may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1
to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon
atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where
10 R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon
atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,
-SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms,
-SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms;
R^aR^b together with the nitrogen atom to which each is attached represent an
15 optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12
ring atoms in which optionally, at least one -CH₂- may also be replaced by
-O-, -S-, or -NR' where R' is H or an alkyl group of 2 to 12 carbon atoms, said
saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl
fused;
20 R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl
of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally
substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also
25 be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12
carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in
which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or
an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5
30 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally
substituted benzyl, or heterocyclyl;

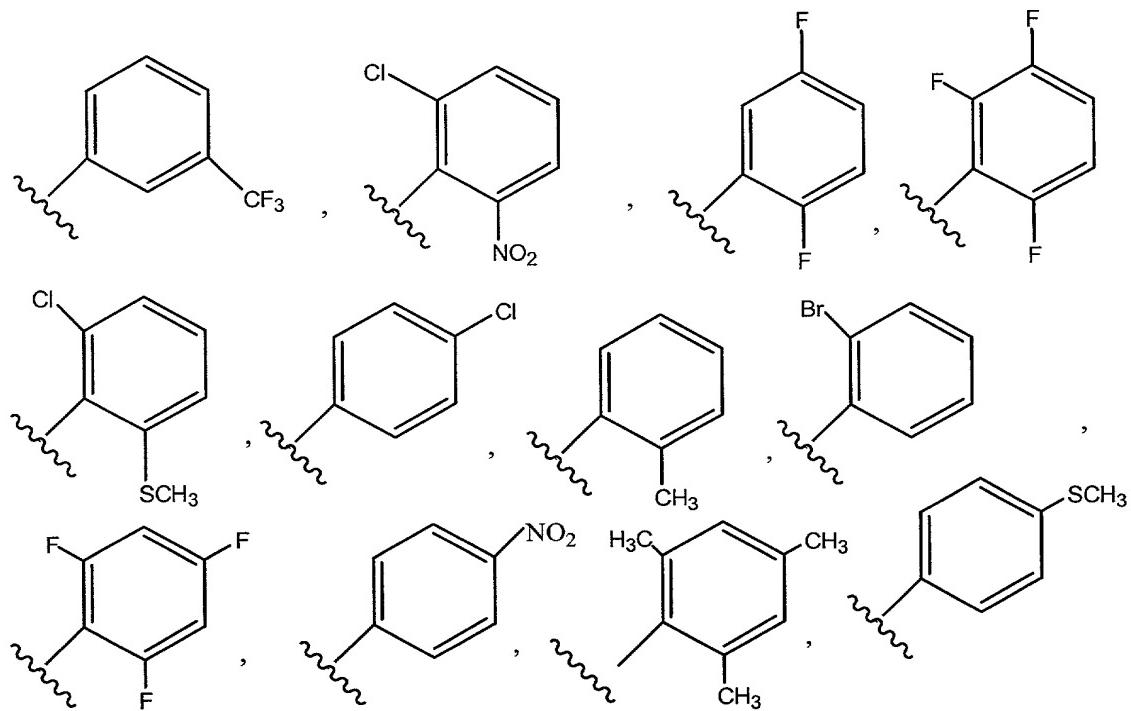
R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one –CH₂- may also
5 be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally
10 substituted benzyl, heterocyclyl;
R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one –CH₂- may also be replaced by –O-, -S-, or –NR'
where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically
15 acceptable salt thereof is administered.

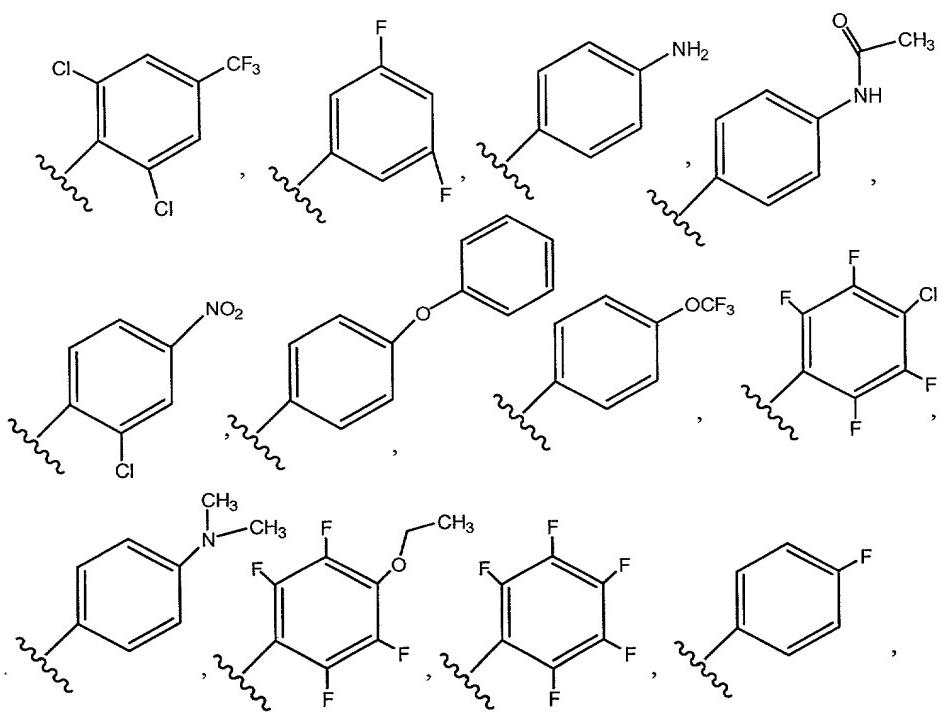
19. The method according to claim 2 wherein R¹ is the moiety –NR^aR^b
wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

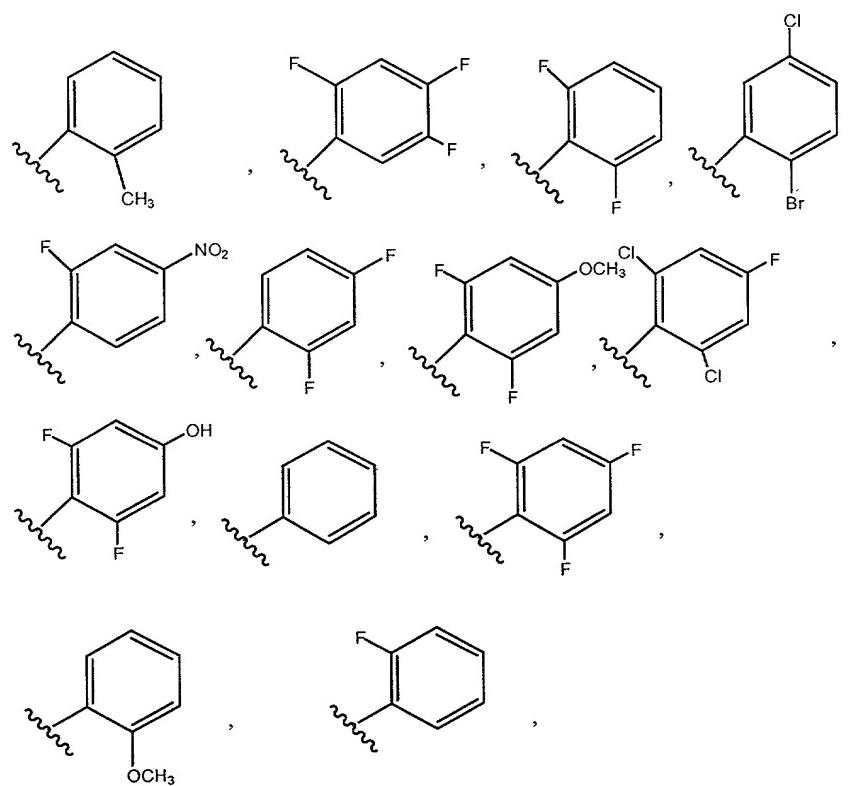
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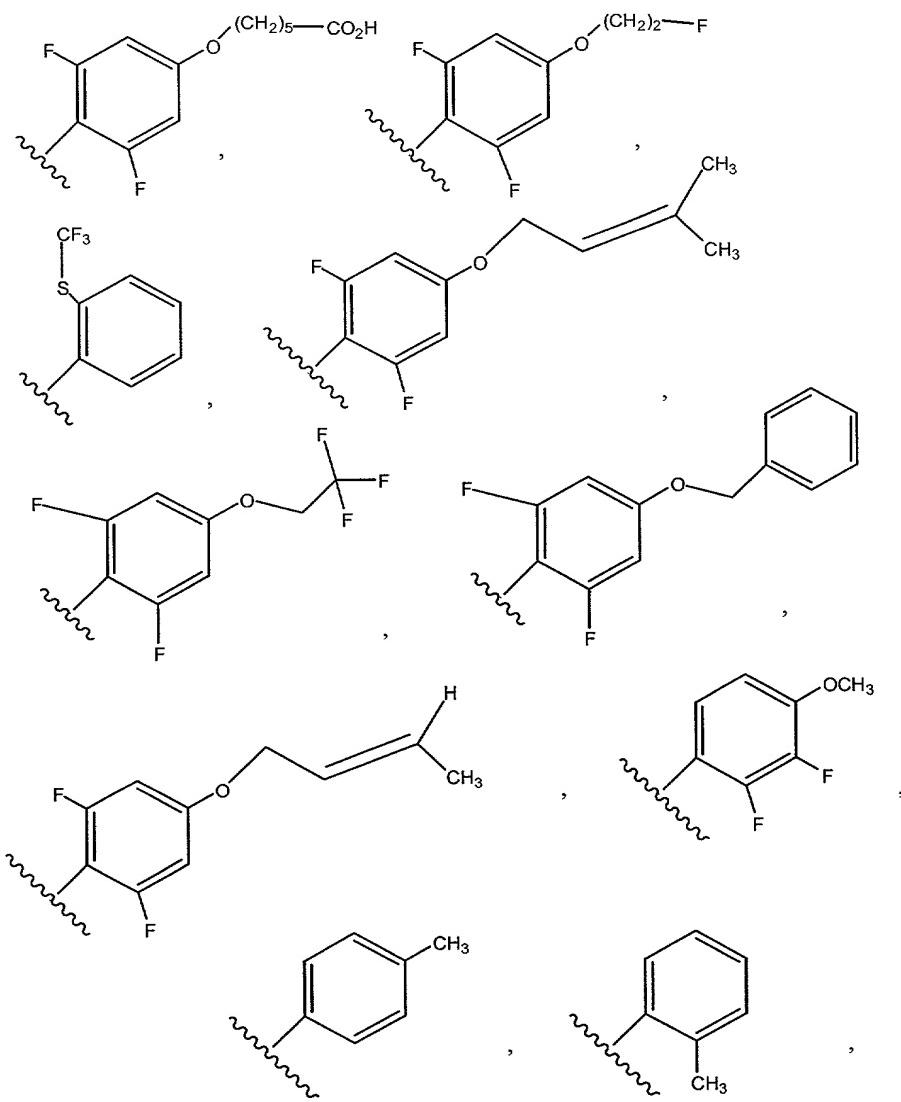
R² is selected from

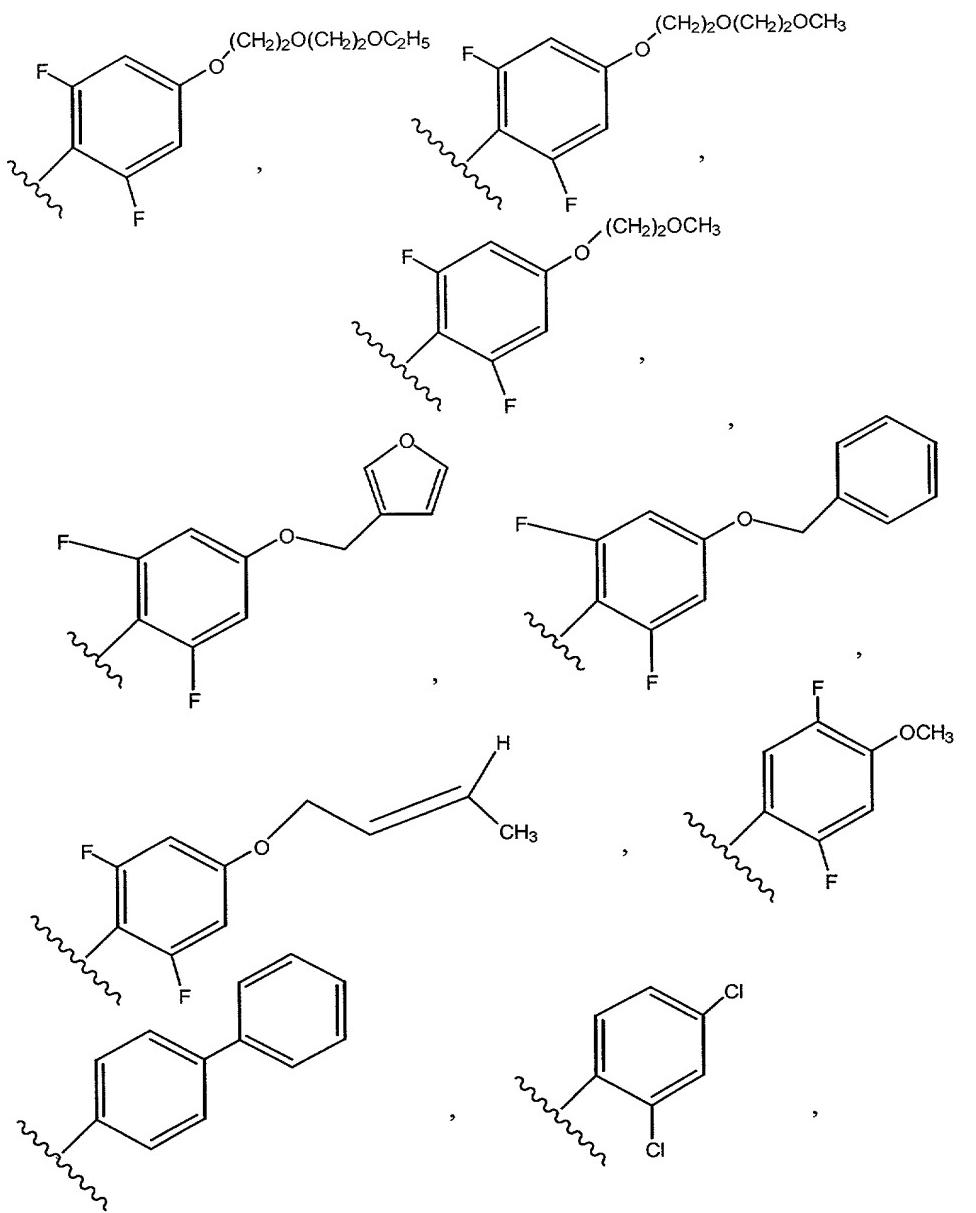


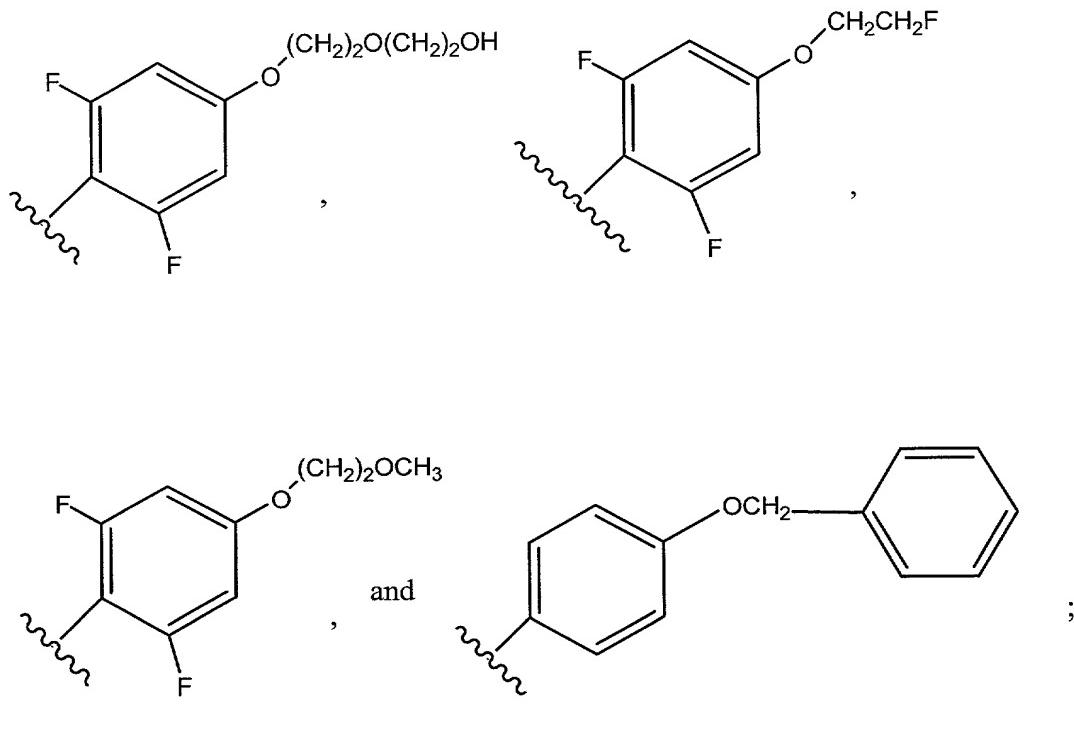






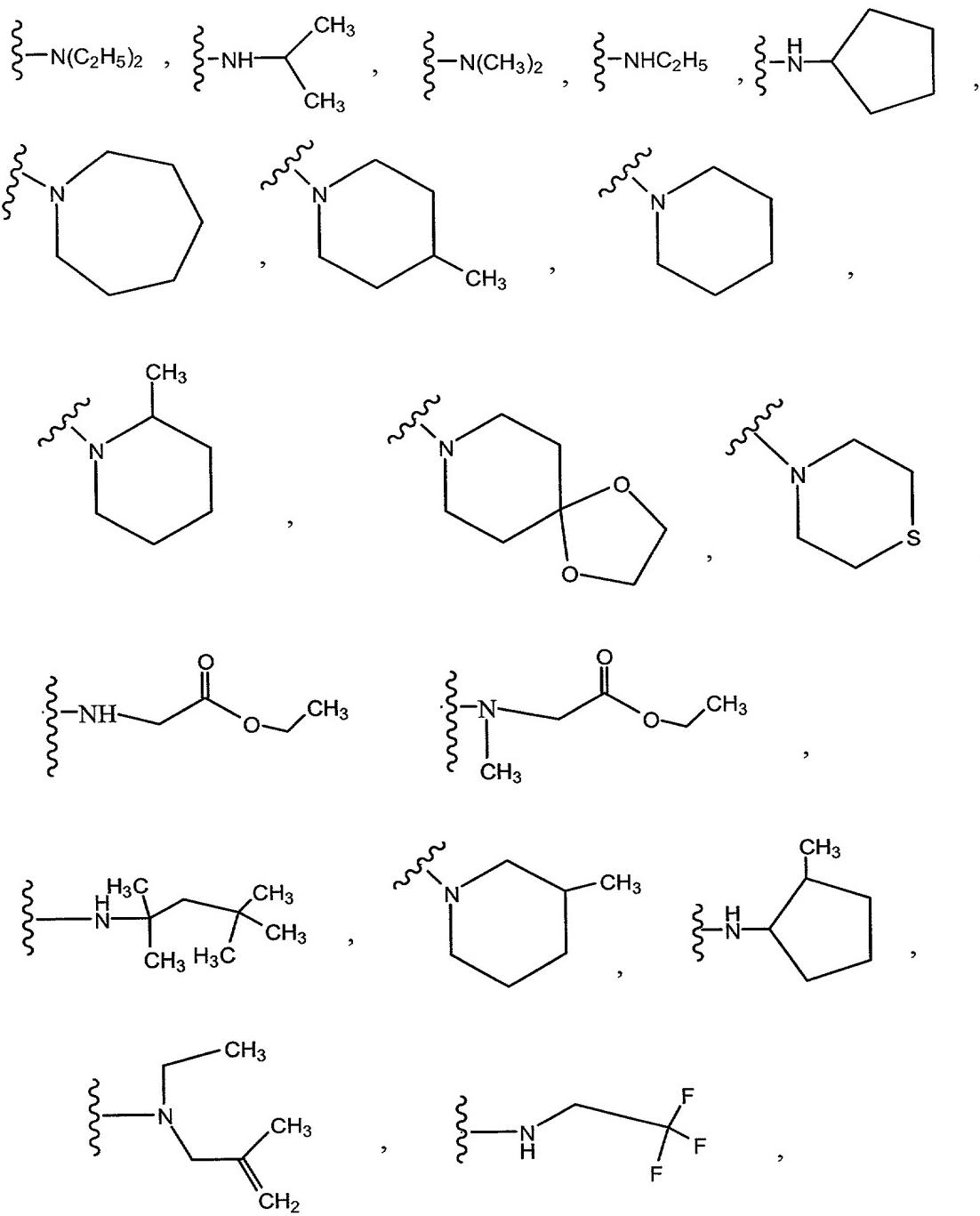


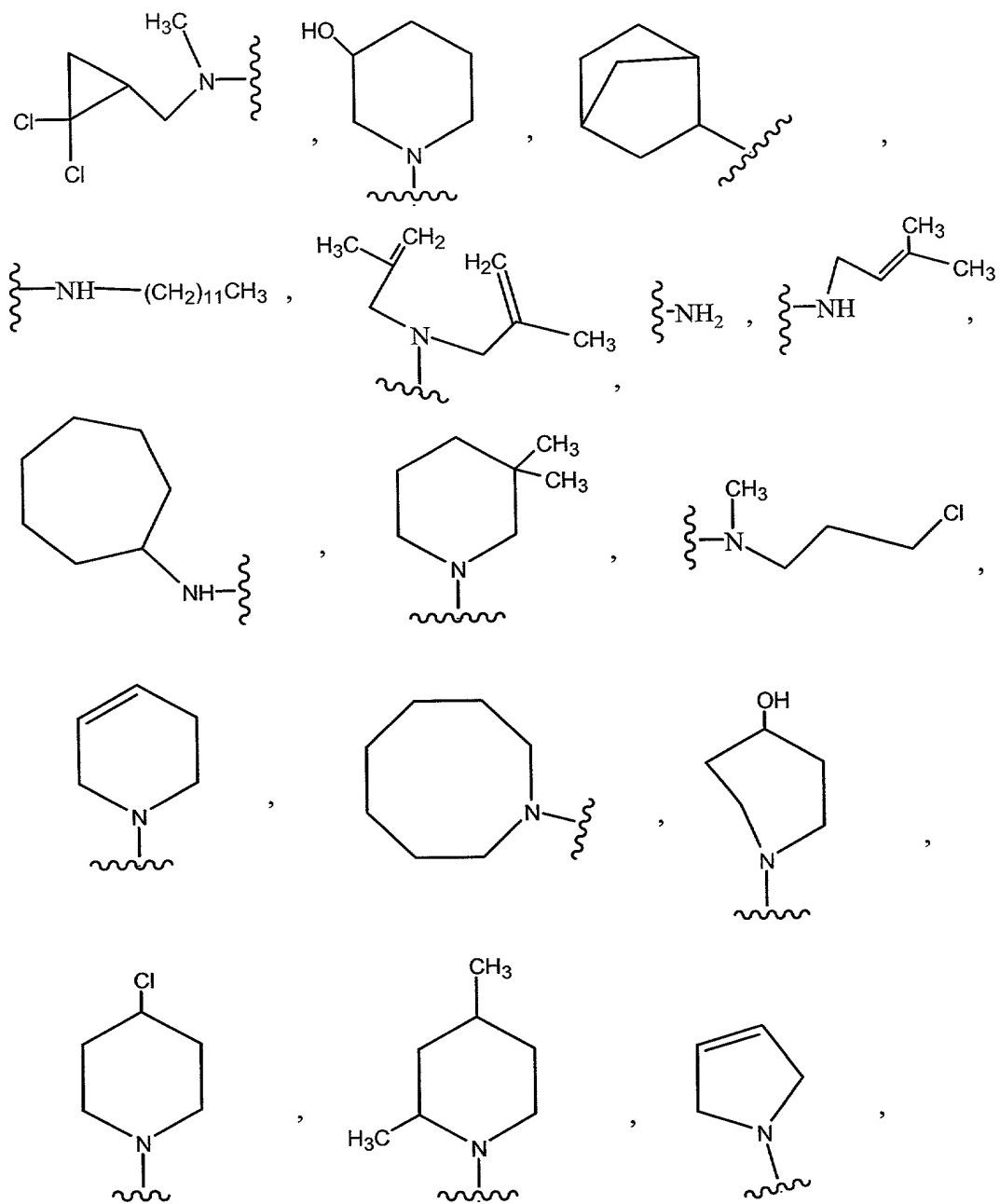


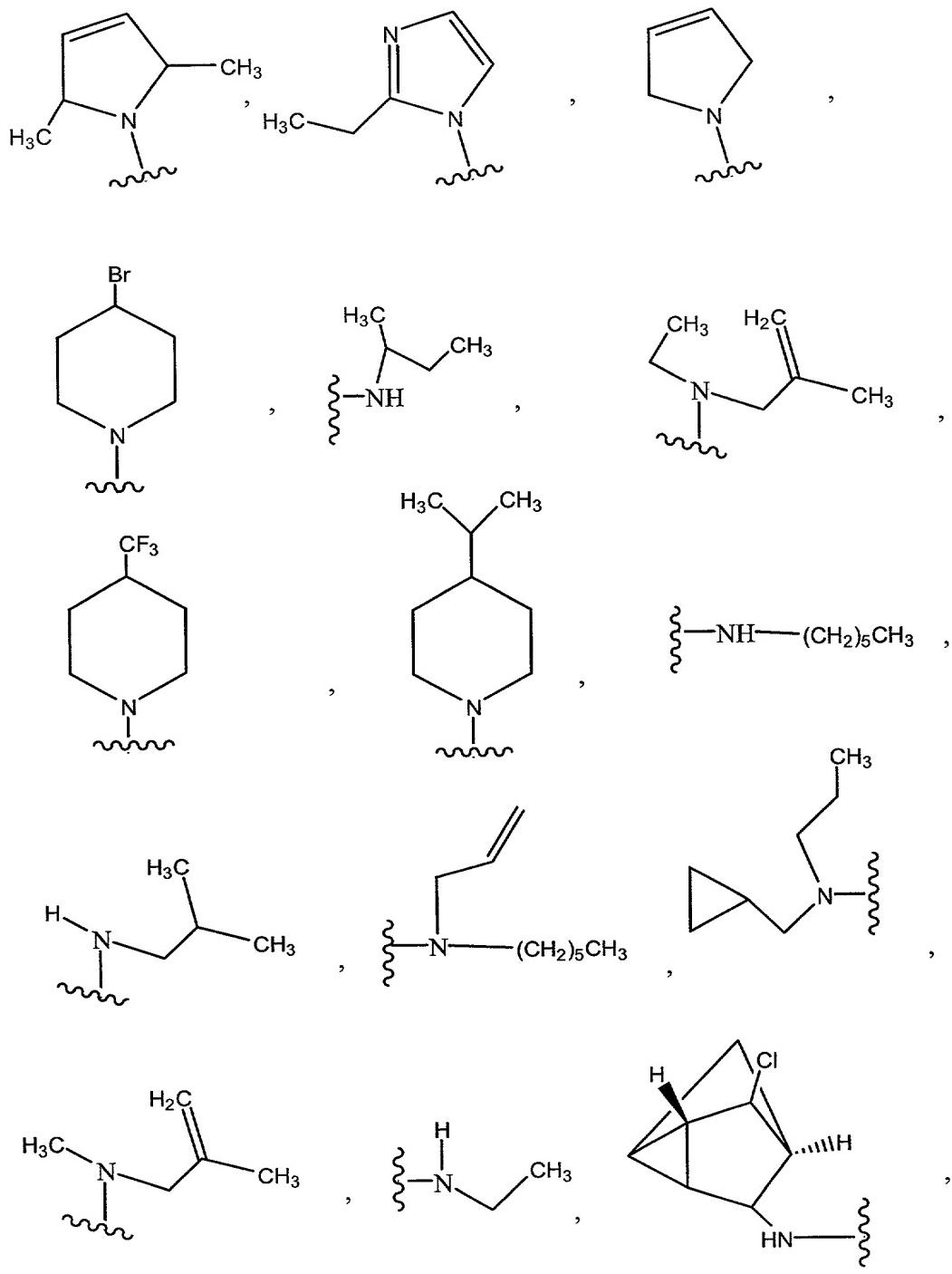


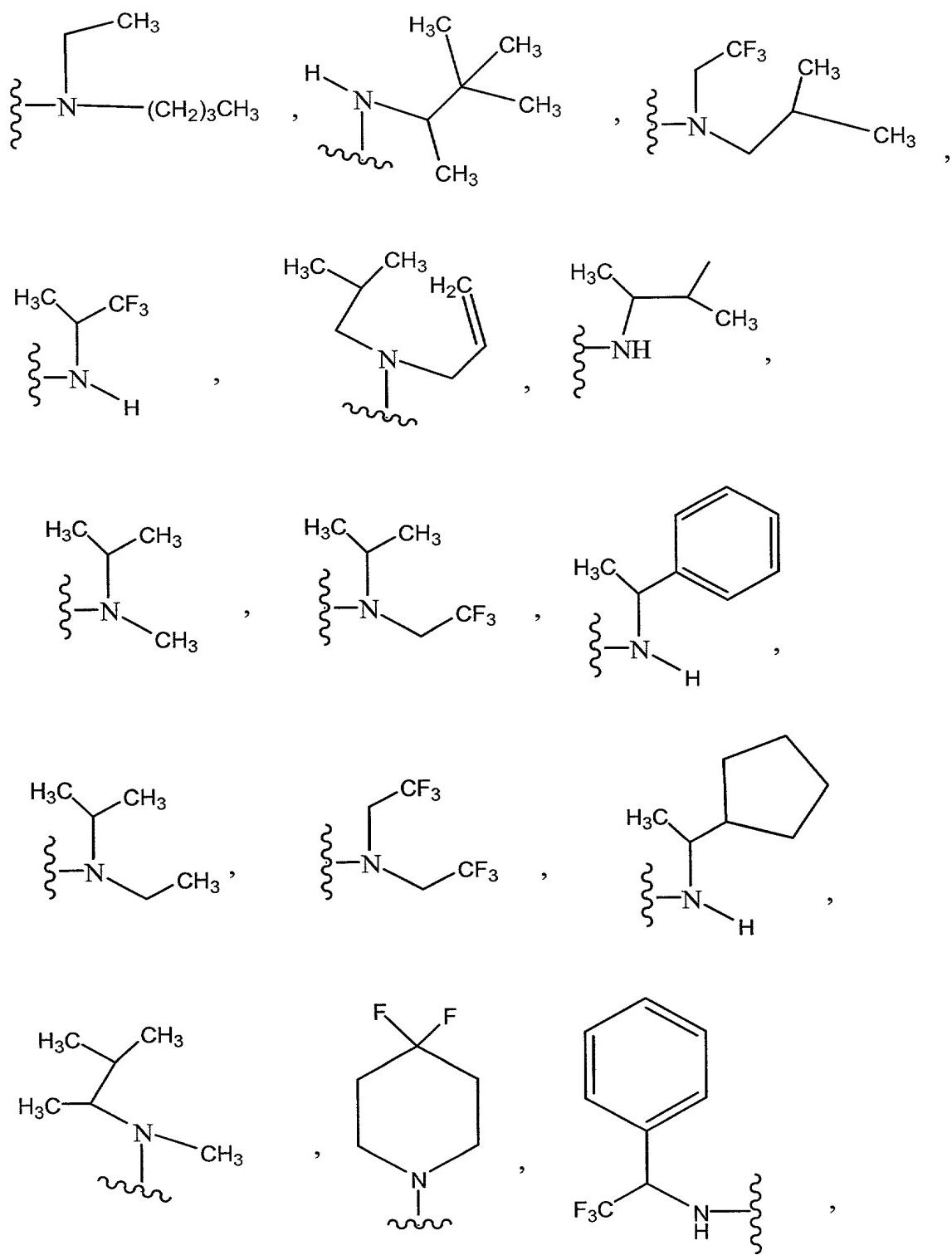
- 5 R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
 R^4 is H or a pharmaceutically acceptable salt thereof is administered.

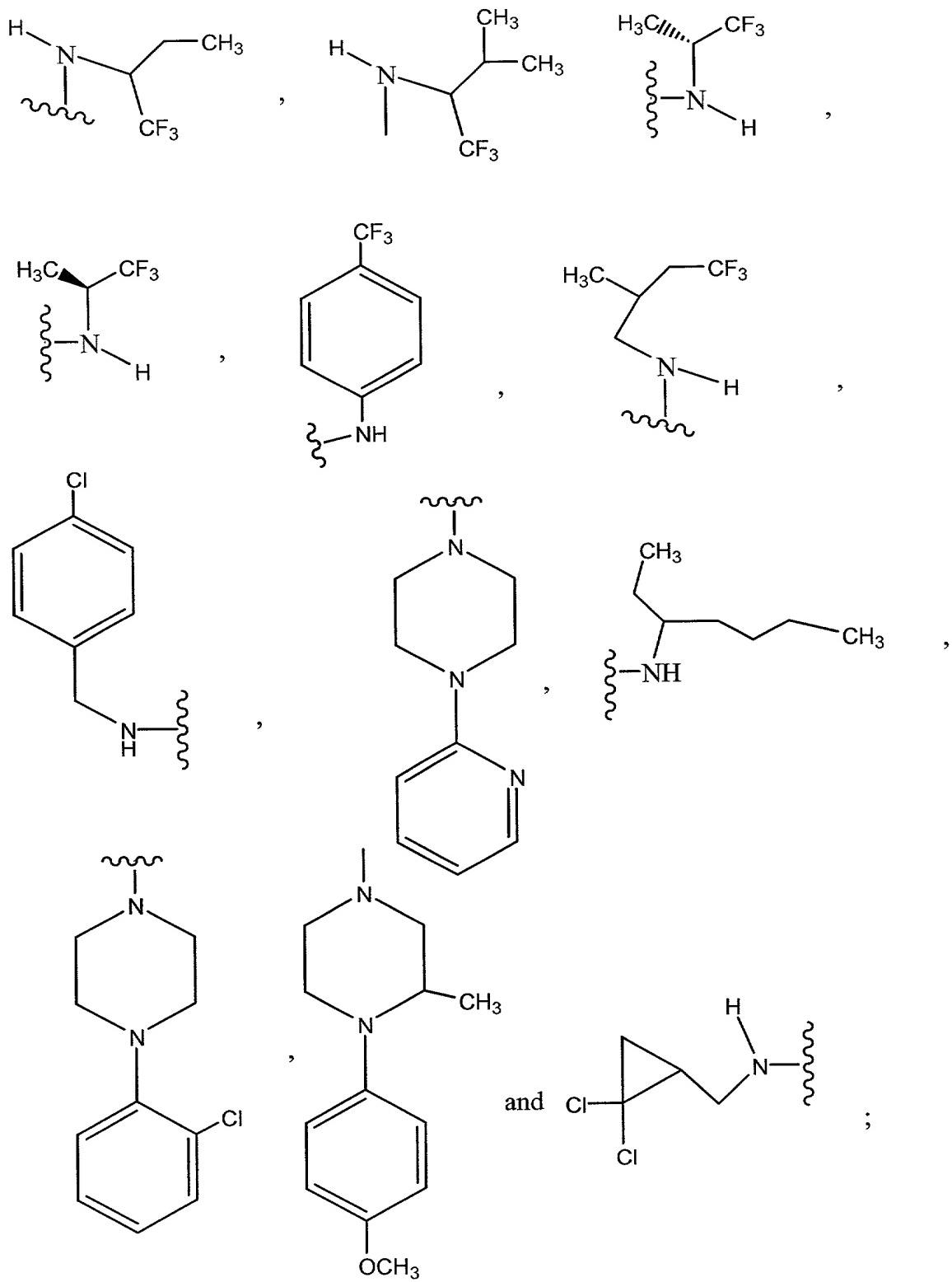
20. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$
10 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from











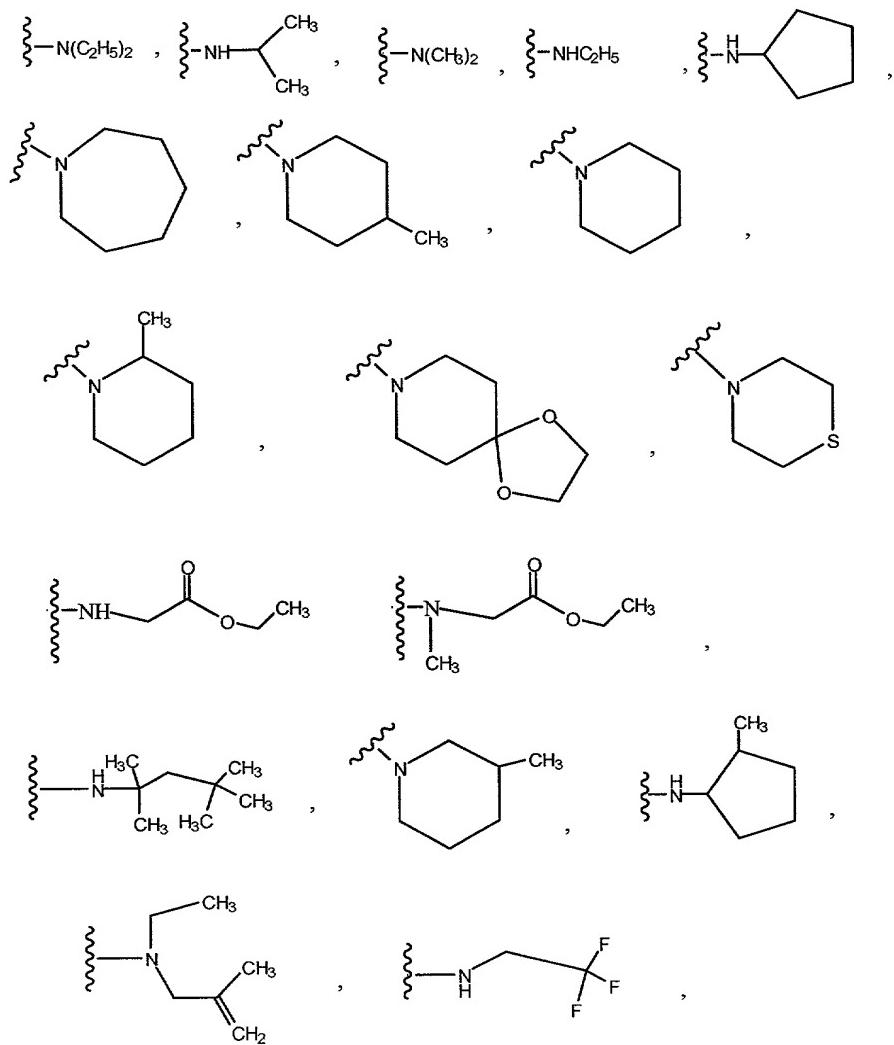
R² is optionally substituted phenyl;

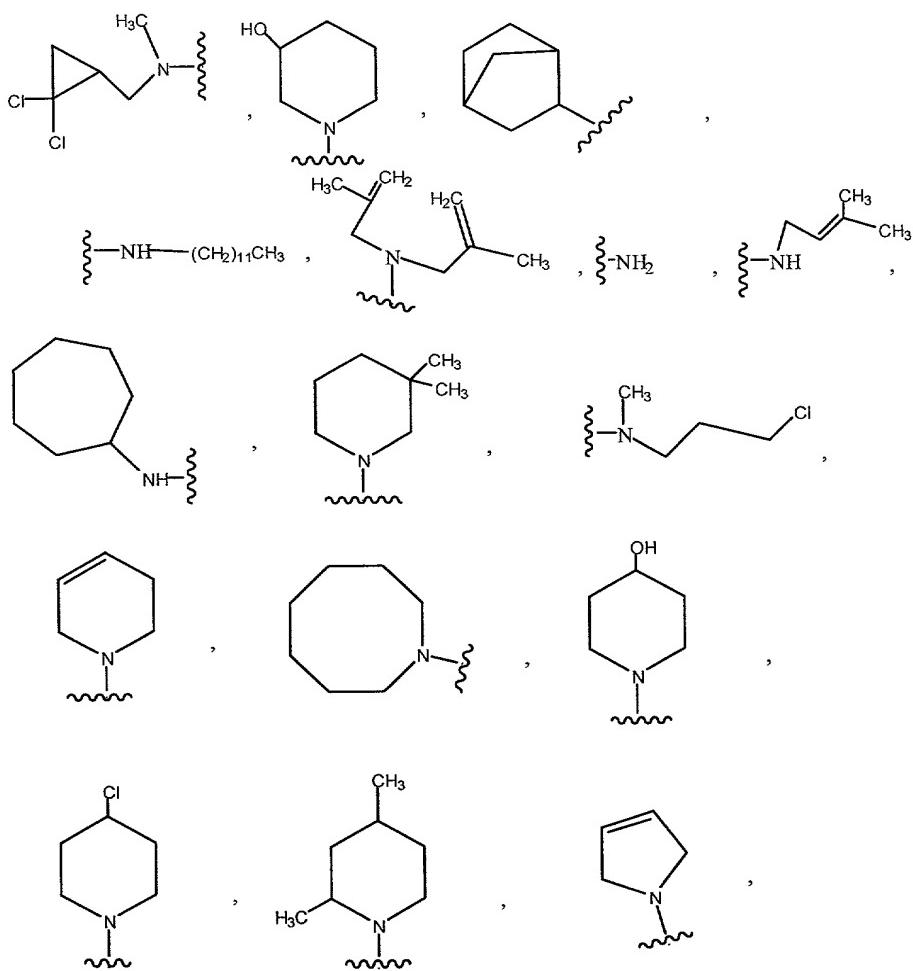
R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

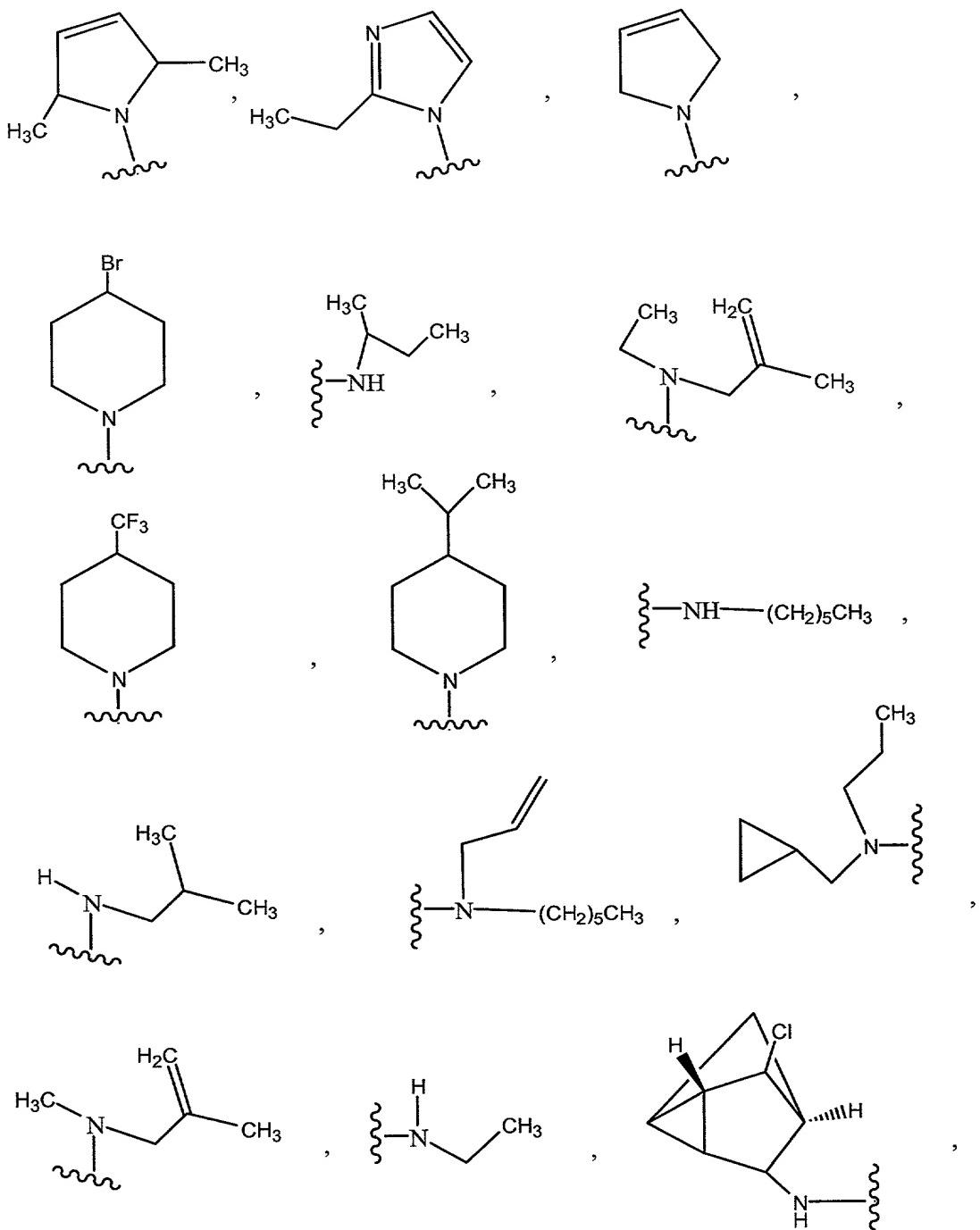
R^4 is H or a pharmaceutically acceptable salt thereof is administered.

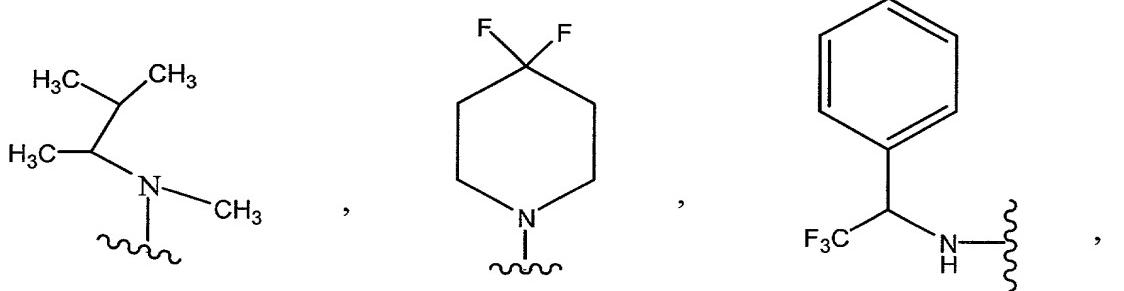
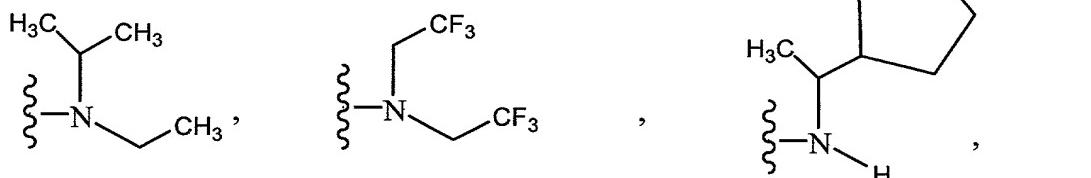
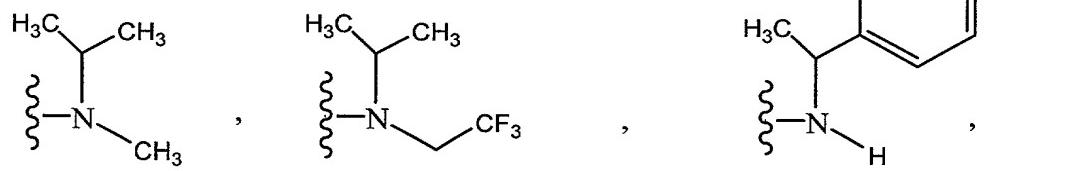
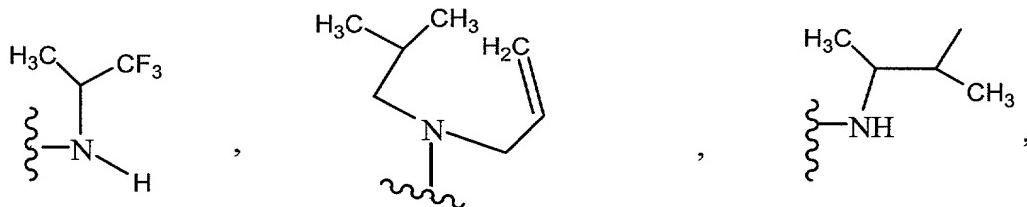
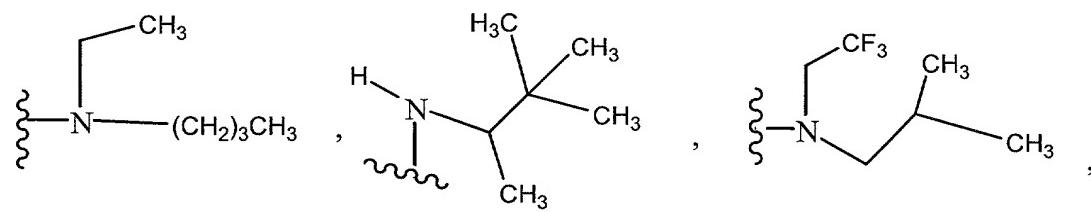
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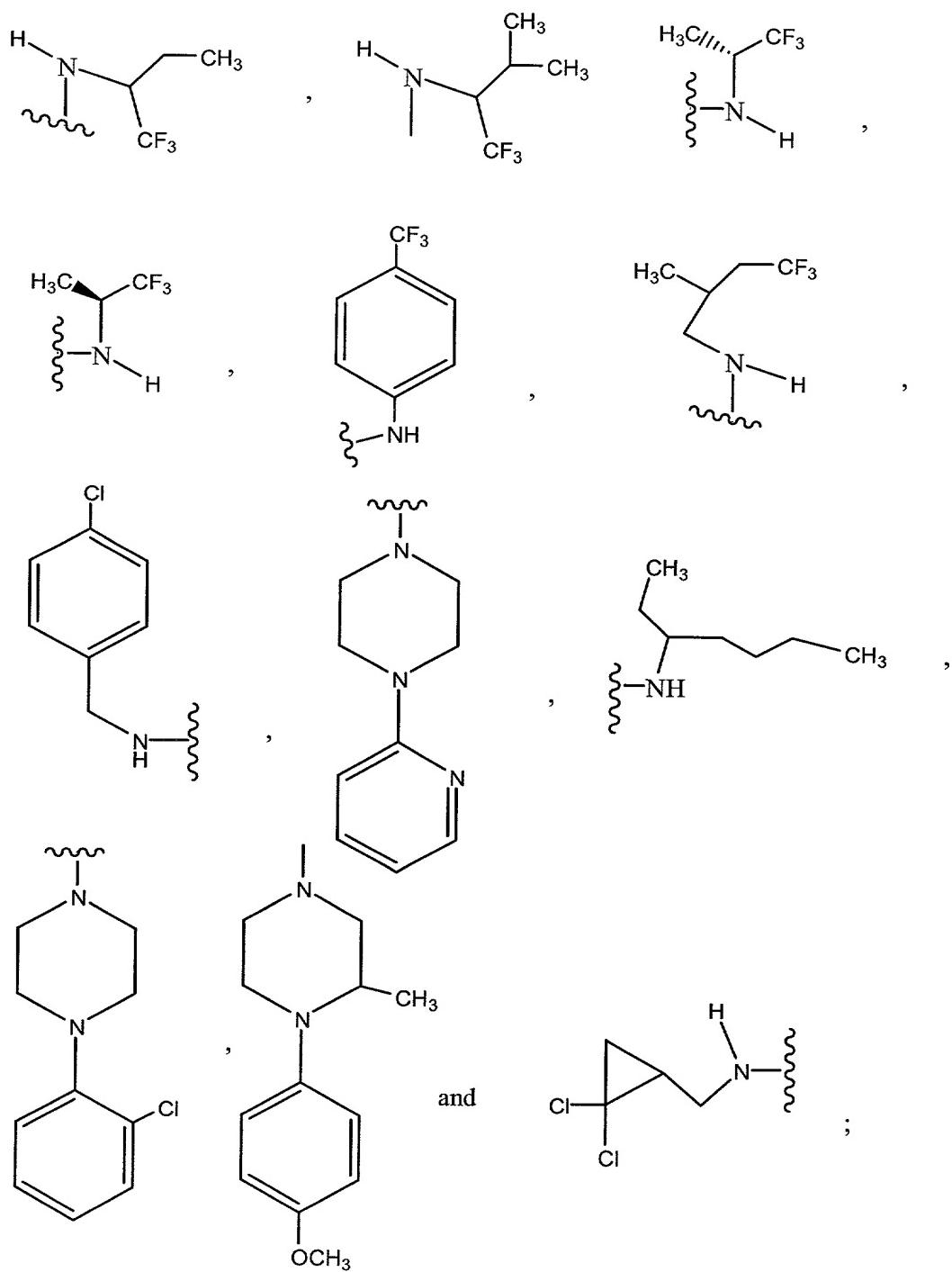
21. The method according to claim 2 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from











R² is optionally substituted thienyl;

R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

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22. The method according to claim 2 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-

methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-

5 amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6- trifluorophenyl)[1,2,4]triazolo[1,5-

a]pyrimidine;

10 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-
isopropylamine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-

trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-
propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-
a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-

25 piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-

trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-
a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;

15 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-(4-thiomorpholiny)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-chloro-1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

30 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

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7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 15 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

- 25 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 30 N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

30 4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;

N-{4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl
5 acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;

7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;

diethyl 2-allyl-2-{{5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}oxy}malonate;

6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin- 7-
5 amine;

N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(4-fluorophenyl)-N-(1,2,2- trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

10

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-

15 a]pyrimidine;

5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (1-p-tolyl-ethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

15 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

20 5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

25 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;

10 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;

5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 (5-chloro-6-{4-[2-(2-ethoxyethoxy]-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

20 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;

25 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

10 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10

5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-

15 amine;

5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-

30

fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1,4-dioxa-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 . 2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5,7-diphenoxo-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

5

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-

10 a]pyrimidin-7-amine;

[5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-

15 a]pyrimidine;

5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)-[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25

dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

30 diethyl 2-{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}-2-isobutylmalonate;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-
5 yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl)
[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

15 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-N,N-1-diethyl-1,4-pentanediamine;

30 5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-I[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-I[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;

5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-

5 piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

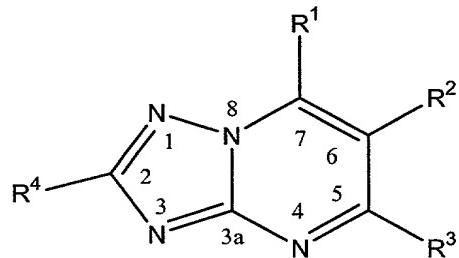
2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-

fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

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23. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by interacting with tubulin and microtubules and promoting microtubule polymerization which comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.

15
24. The method according to Claim 23 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



20

(I)

wherein:

R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂-

5 may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8

10 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

15

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl, $-SO_2$ alkyl, $-O$ -aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

15 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

20 R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

25 R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms,

atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃;

5

- R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

- R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;
- 30 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally

substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or alkyl of 1 to 12 carbon atoms;

- R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally
- 5 substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-\text{CF}_3$;
- 10 provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is
- 15 cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴
- 20 is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is $-\text{SO}_2\text{ethyl}$ or $-\text{SO}_2\text{cyclopentyl}$, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not $-\text{OCH}_2\text{O}_2\text{C}(\text{CH}_3)_3$; k) R¹ is 2-thienyl, R⁴
- 25 is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl
or a pharmaceutically acceptable salt thereof.
- 30 25. The method according to claim 24 wherein

R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b or a pharmaceutically acceptable salt thereof is administered.

26. The method according to claim 24 wherein R^a and R^b each independently represent the moiety -C*H(R^e)(R^f) where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof is administered.

27. The method according to claim 24 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocycl or halogen or a pharmaceutically acceptable salt thereof is administered.

28. The method according to claim 24 wherein R³ is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms,

dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

29. The method according to claim 24 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.
- 10 30. The method according to claim 24 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
- 20 25
31. The method according to claim 24 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically acceptable salt thereof is administered.

32. The method according to claim 24 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
- 5
33. The method according to claim 24 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.
- 10
34. The method according to claim 24 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,
- 15
- SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 5 to 10 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
- 20
35. The method according to claim 24 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
- 25
36. The method according to claim 24 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1
- 30

to 12 carbon atoms, cyano, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

37. The method according to claim 24 wherein R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
5

38. The method according to claim 24 wherein R¹ is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or
10 an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8
15 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt
20 thereof is administered.

39. The method according to claim 24 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12
25 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

40. The method according to claim 24 wherein R¹ is the moiety -NR^aR^b
30 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

R² is optionally substituted phenyl;

R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

R⁴ is H;

- 5 R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted
- 10 cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclil, benzyl, optionally substituted benzyl; R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted
- 15 alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon
- 20 atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms;
- 25 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclil ring from 3 to 12 ring atoms in which optionally, at least one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 2 to 12 carbon atoms, said saturated or unsaturated heterocyclil ring may optionally be aryl or cycloalkyl fused;

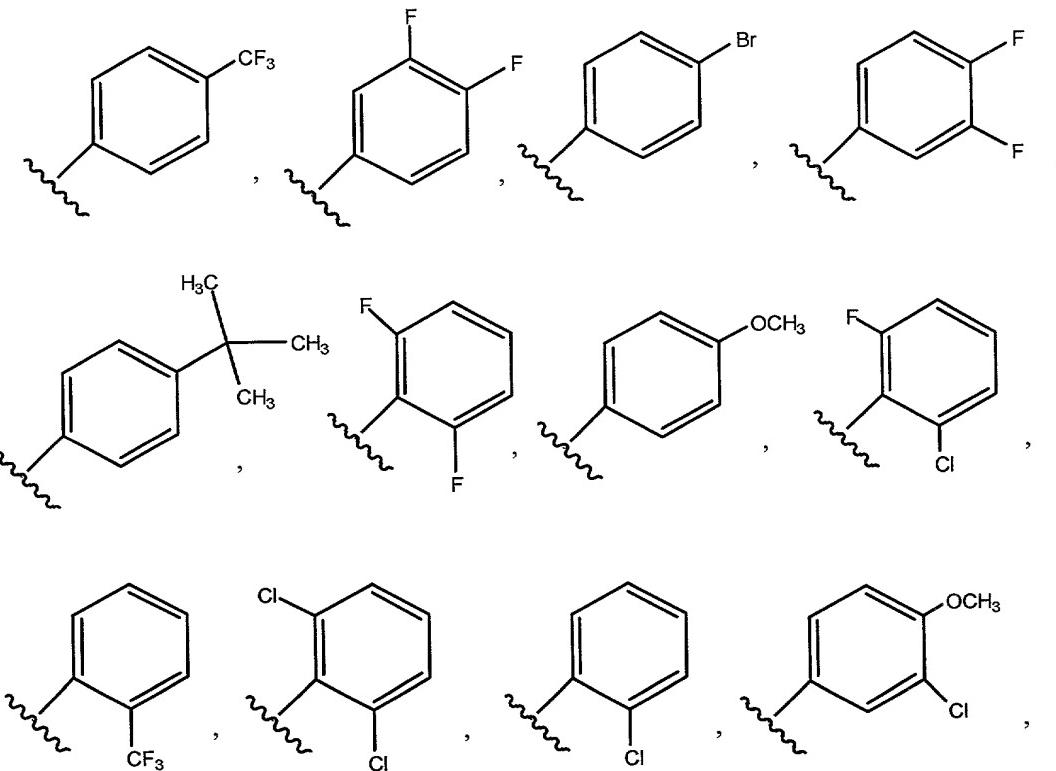
R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one –CH₂- may also
5 be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally
10 substituted benzyl, heterocyclyl;

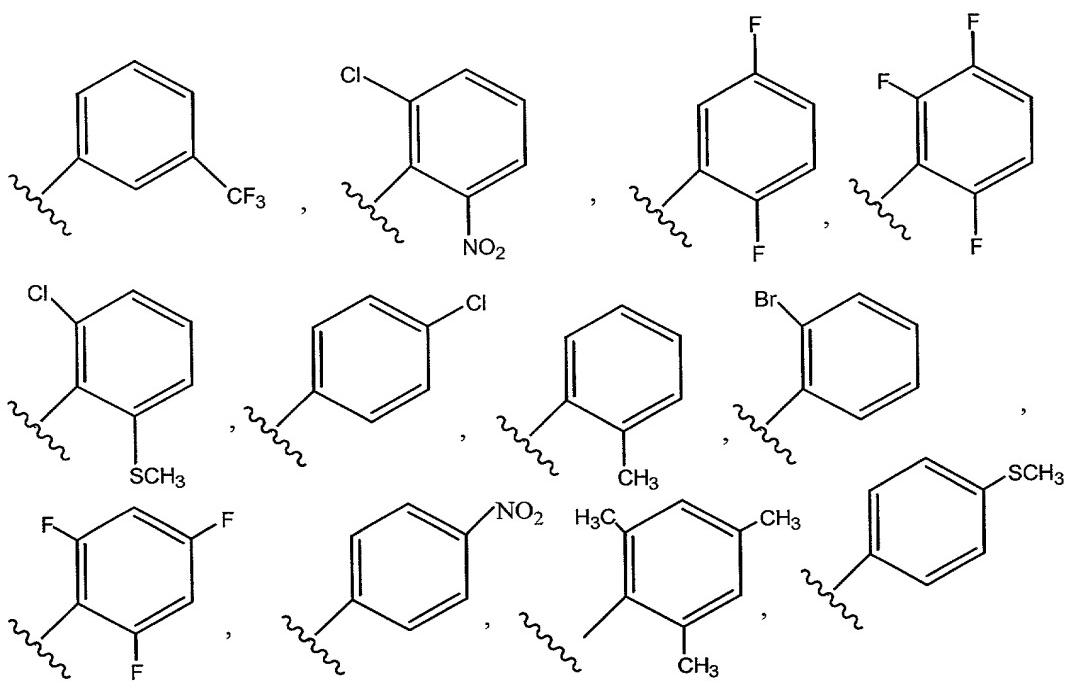
R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one –CH₂- may also
15 be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally
20 substituted benzyl, or heterocyclyl;

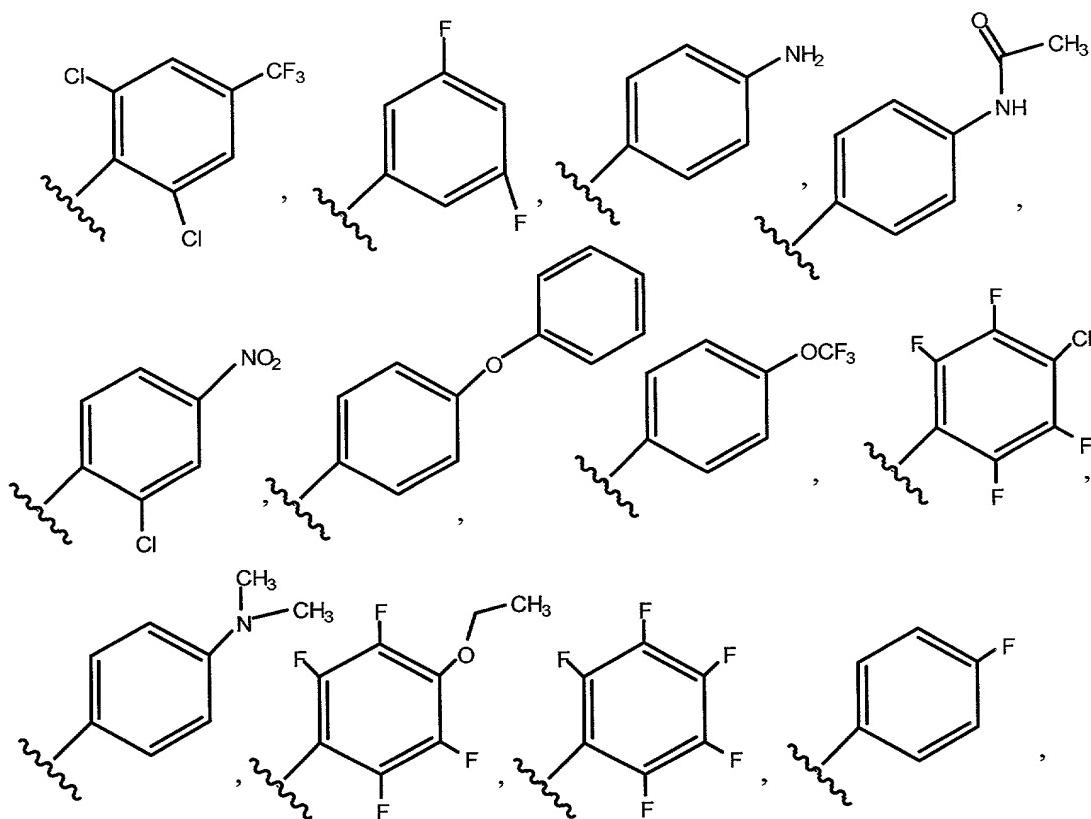
R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one –CH₂- may also be replaced by –O-, -S-, or –NR'
25 where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

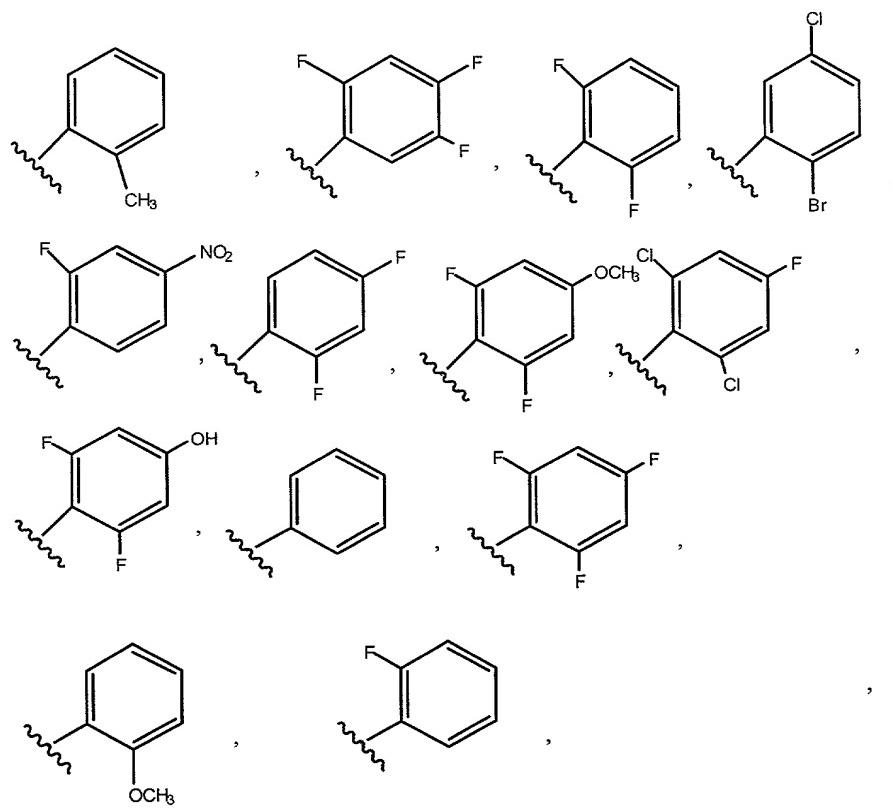
41. The method according to claim 24 wherein R¹ is the moiety –NR^aR^b
30 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

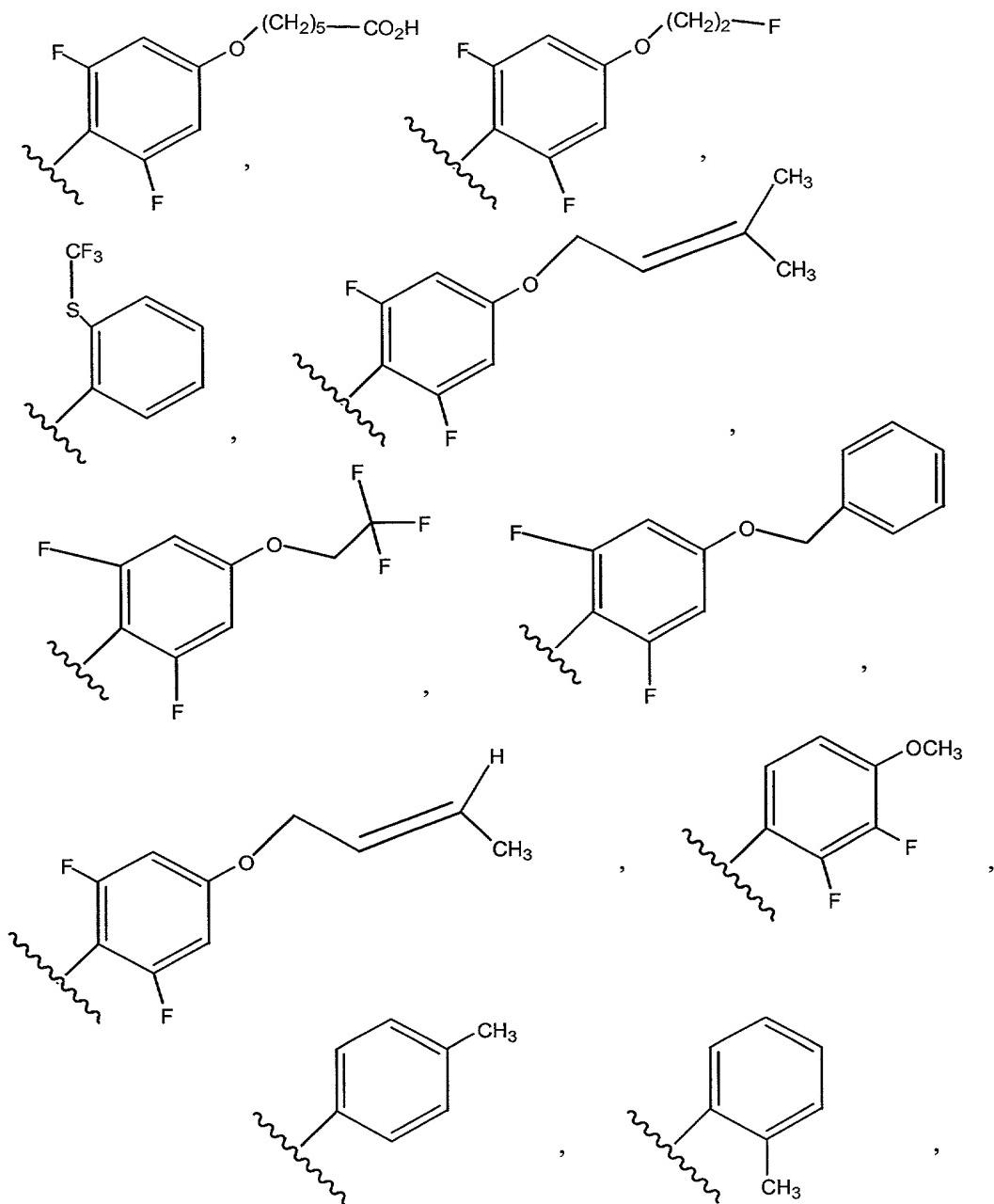
R^2 is selected from

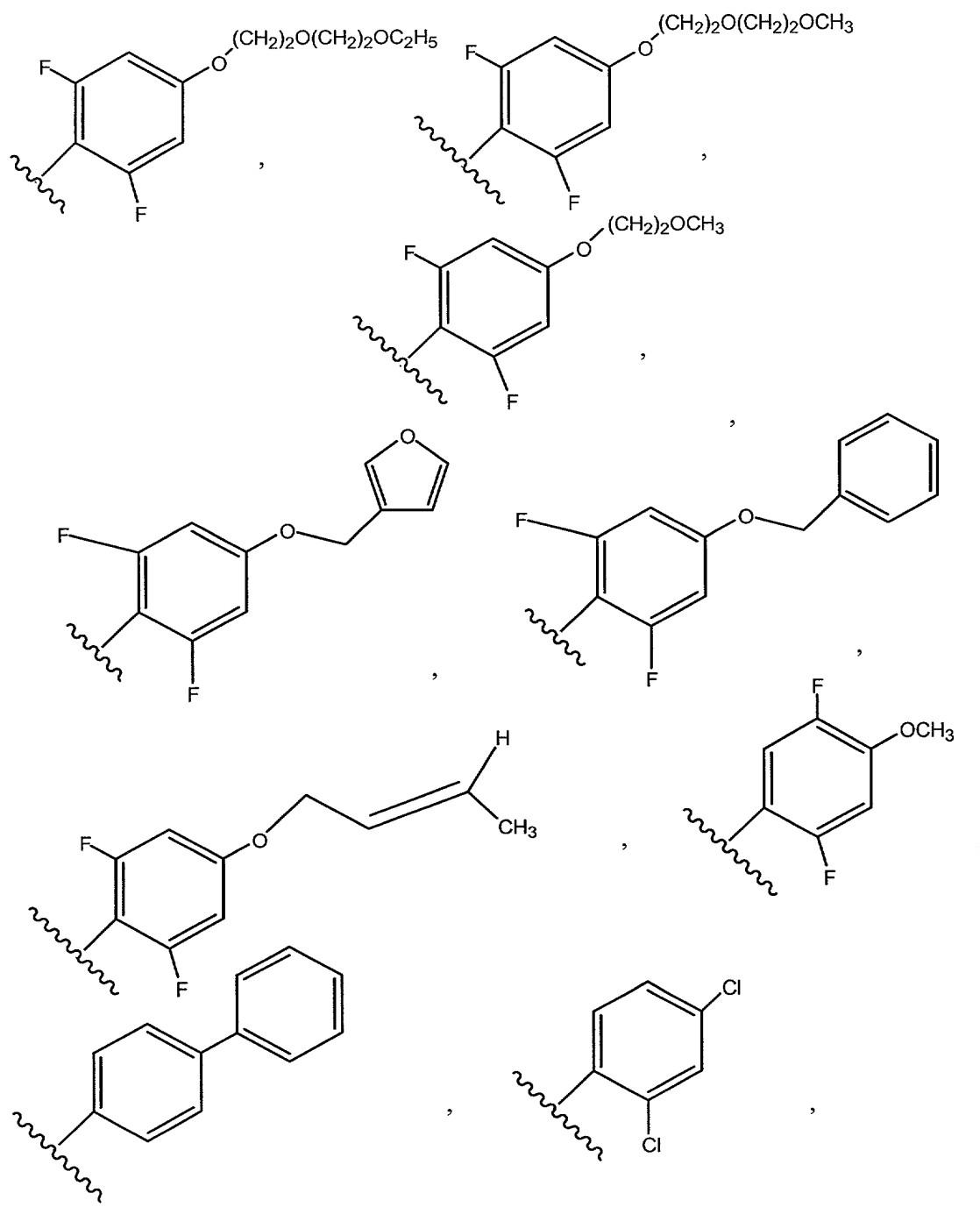


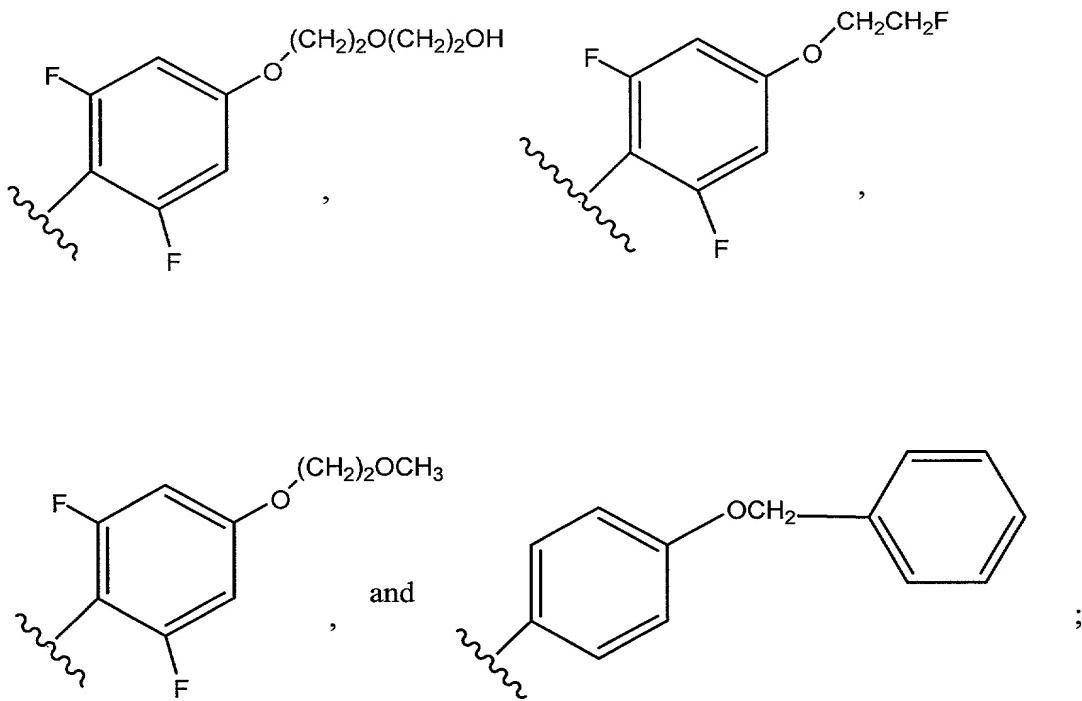










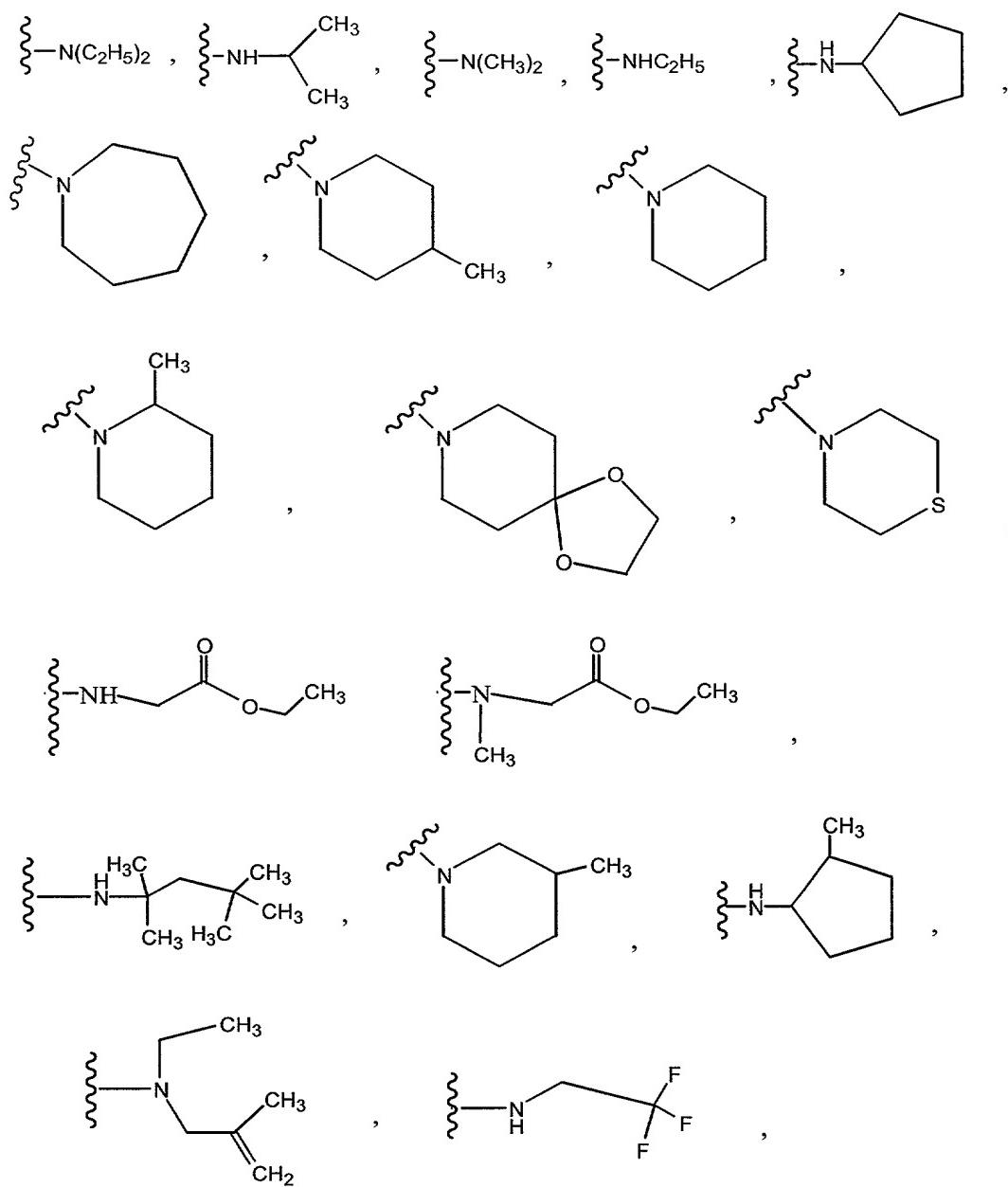


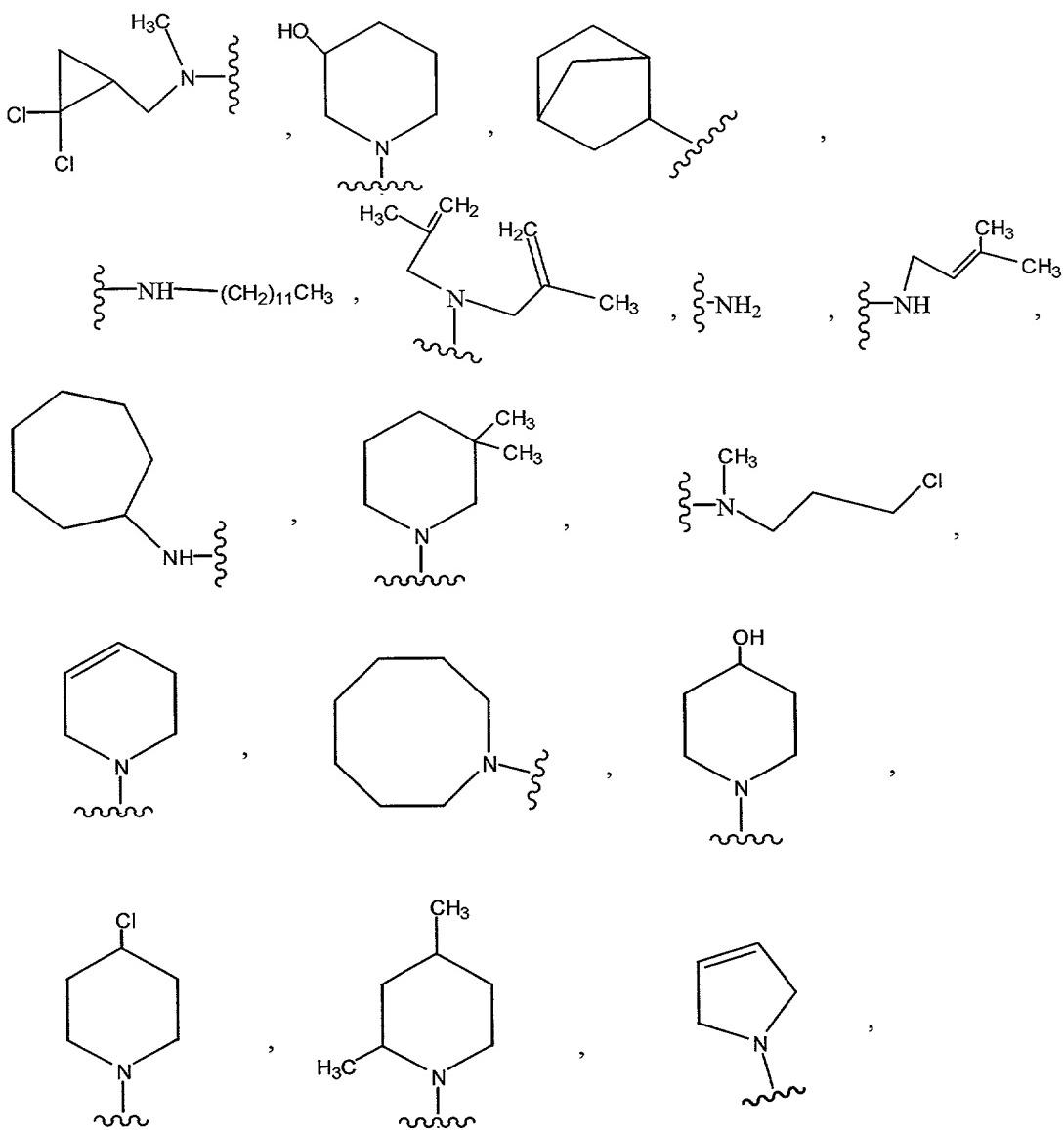
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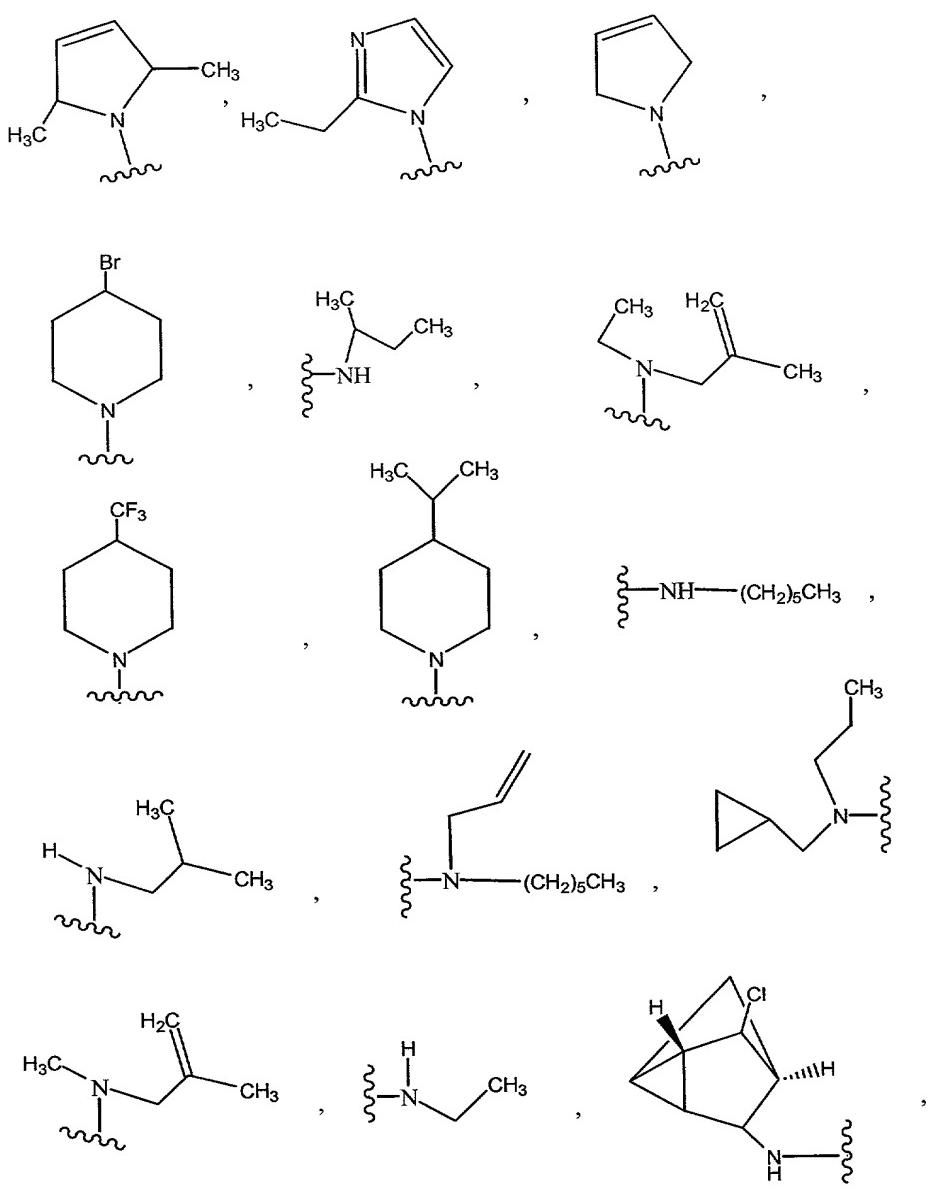
R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

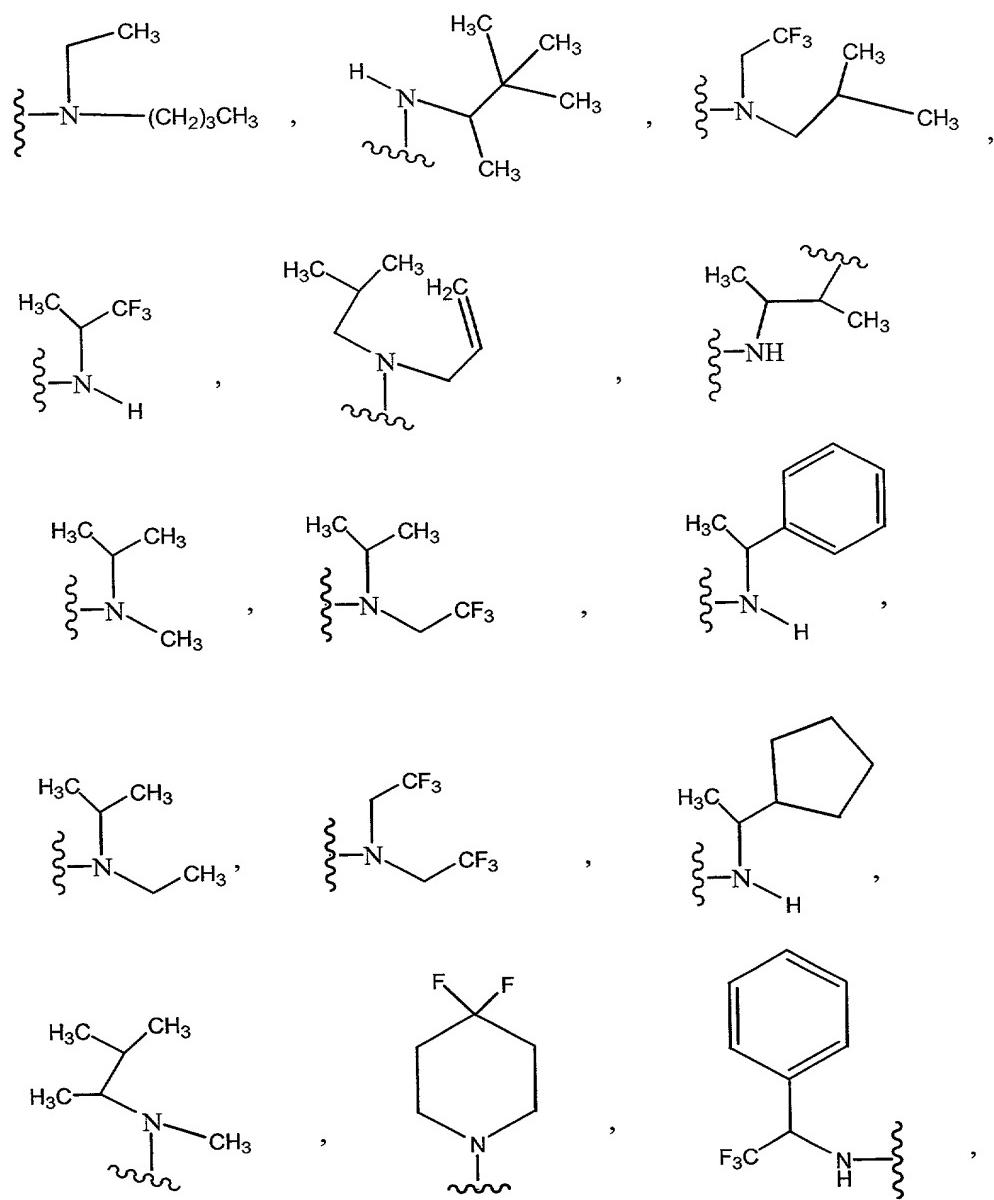
10 R^4 is H or a pharmaceutically acceptable salt thereof is administered.

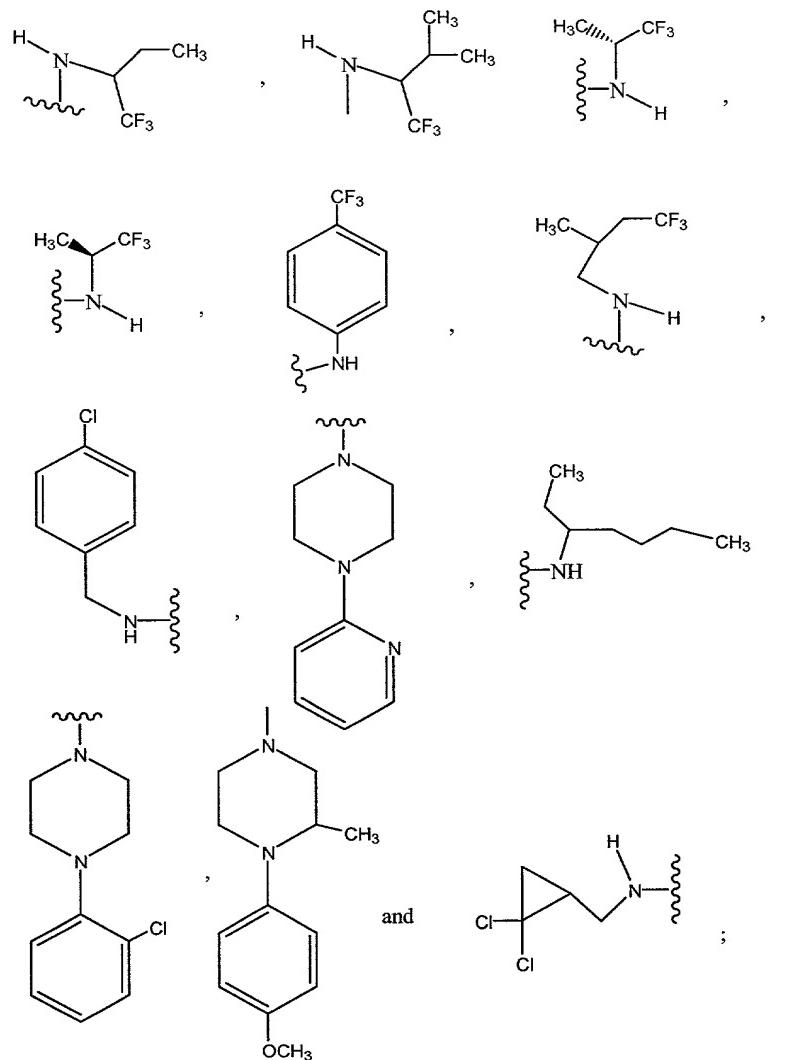
42. The method according to claim 24 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from









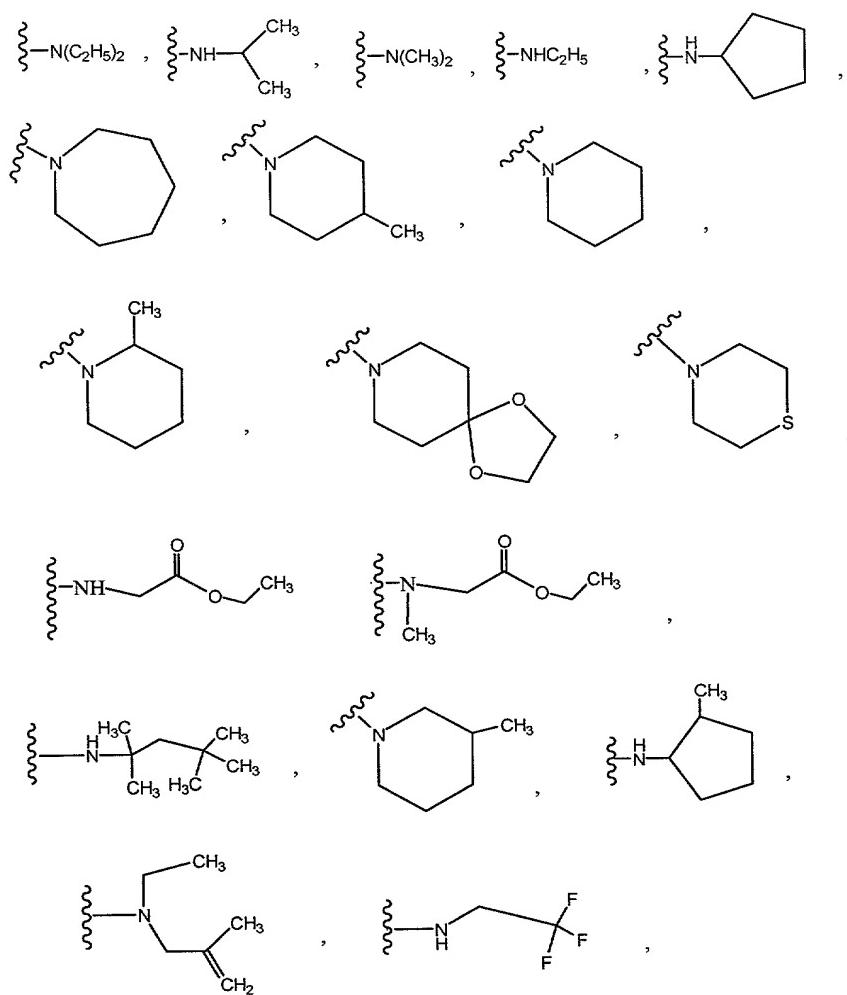


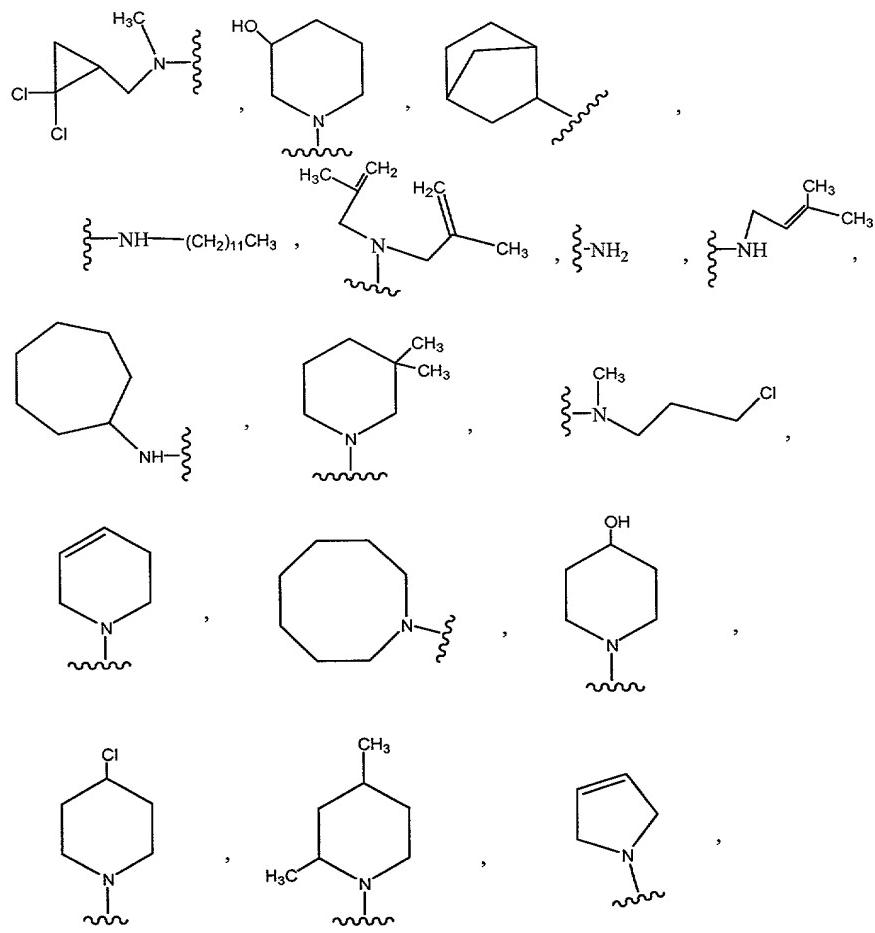
R^2 is optionally substituted phenyl;

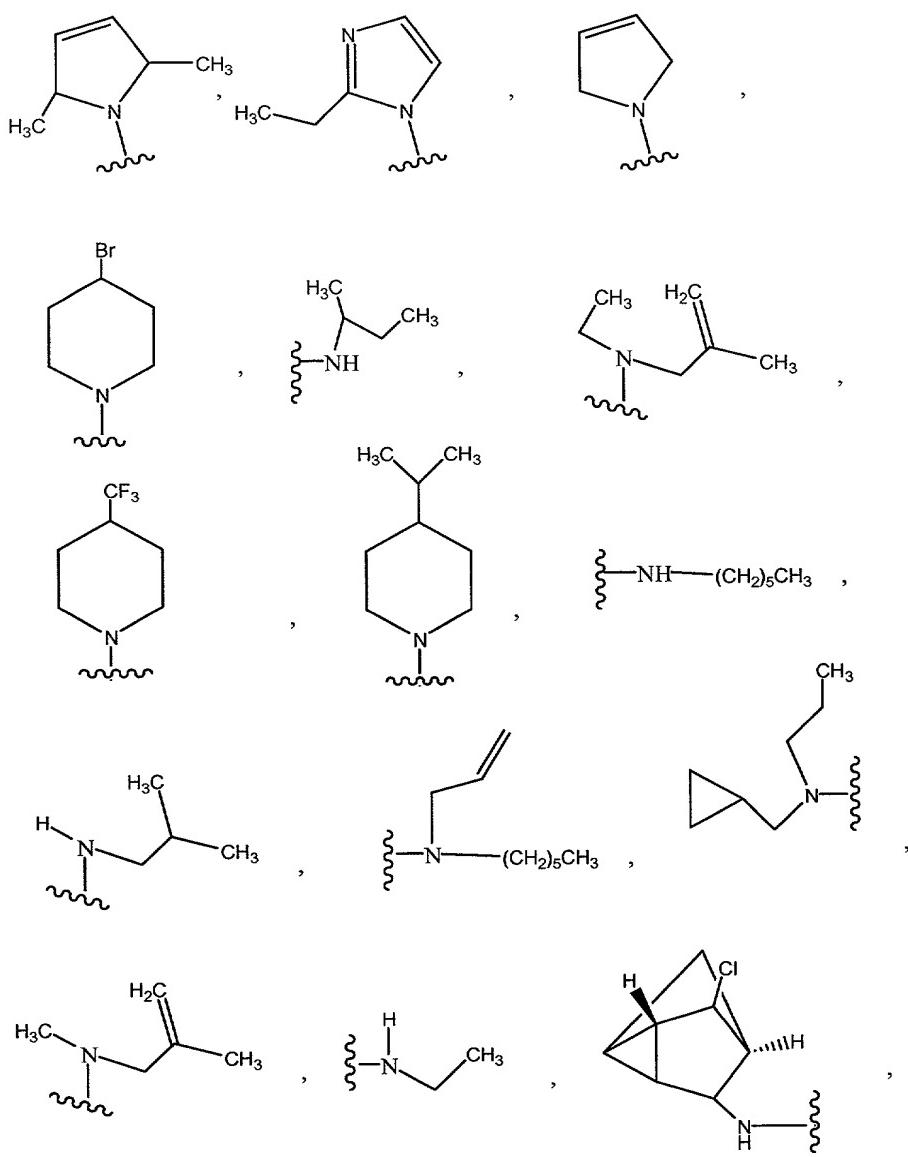
- R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12
5 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
 R^4 is H or a pharmaceutically acceptable salt thereof is administered.

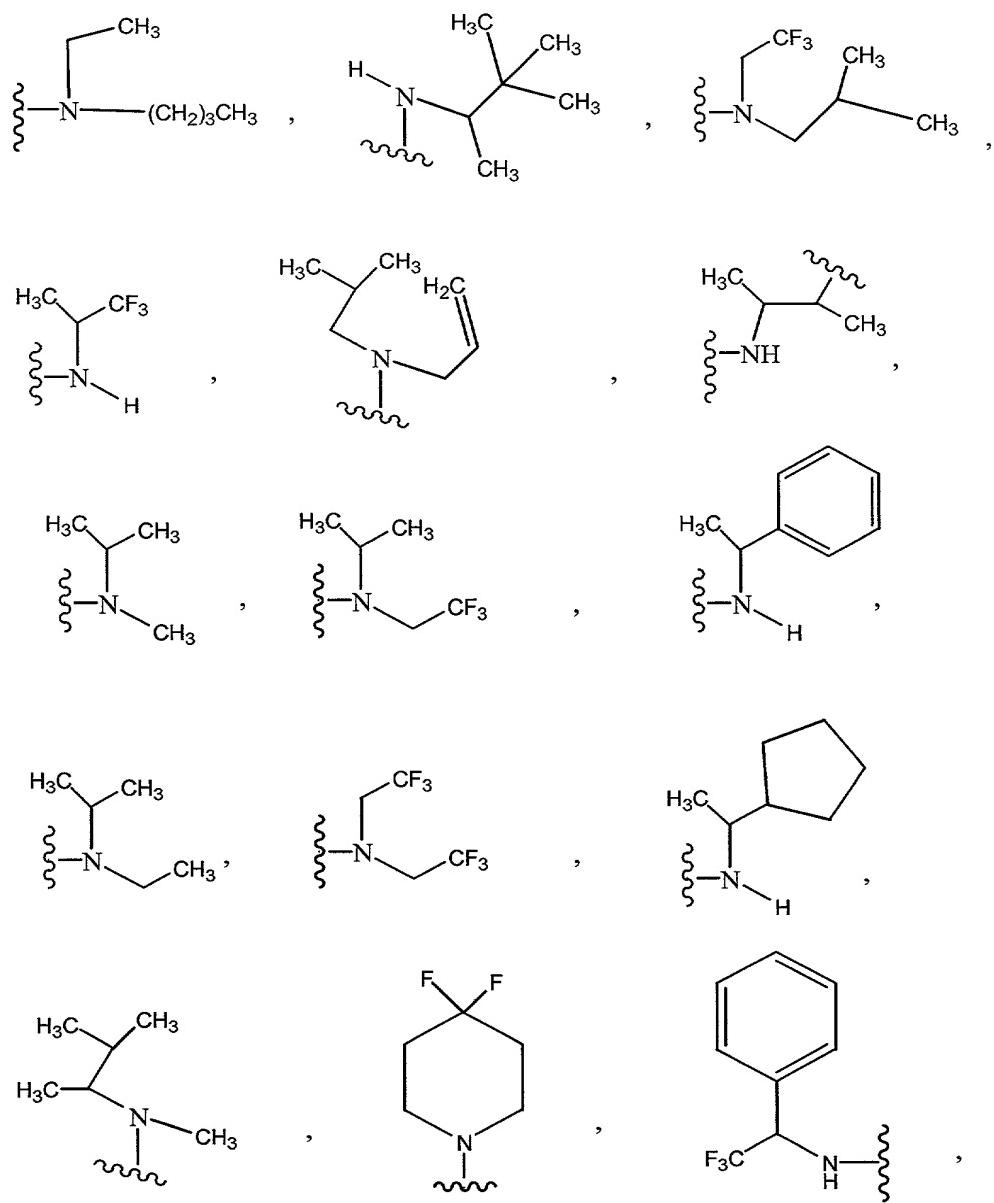
43. The method according to claim 24 wherein R^1 is the moiety $-NR^aR^b$
wherein R^aR^b are optionally taken together with the nitrogen to which each is
attached and wherein R^1 is selected from

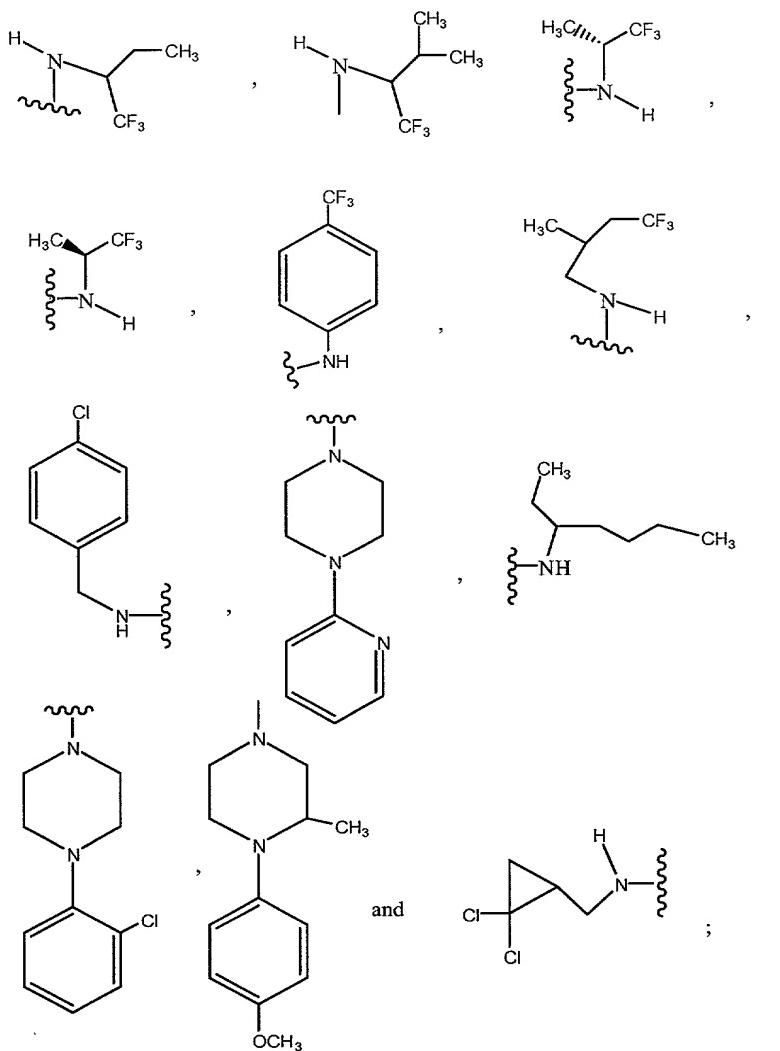
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R^2 is optionally substituted thiaryl;

R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12

5 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

R^4 is H or a pharmaceutically acceptable salt thereof is administered.

44. The method according to claim 24 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20

7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-trifluoromethyl]phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 10 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;
- 25 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;

10 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-7-(4-chloro-1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5a]pyrimidine;
- 20 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;
- 5-chloro-7-(4-thiomorpholiny)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5- a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5- a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1- yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5- a]pyrimidine;
- 15 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5- a]pyrimidine;
- 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4- nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;
- 25 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;
- 30 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;

- 5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30

- 7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;
- N-{4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;
- 30 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;
- 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

5 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-

20 tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;

diethyl 2-allyl-2-{{5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}oxy}malonate;

6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-

5 a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-

5-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-

10 a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25

7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

5

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-

10 a]pyrimidine;

5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolylethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5 chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 15 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

- 25 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;

5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;

2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;
- 5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;
- 5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-N-(2,2,2-trifluoro-1-methylethyl)amine;
- 20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;

5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5

5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20

5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1,4-dioxa-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5

5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10

5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20

7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

30 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

[5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-

5 a]pyrimidine;

5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)- [1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

20 diethyl 2-{{5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}oxy}-2-isobutylmalonate;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-

5 a]pyrimidine;

7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-

10 a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-

trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-N,N-1-diethyl-1,4-pentanediamine;

20

5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-

25 amine;

5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

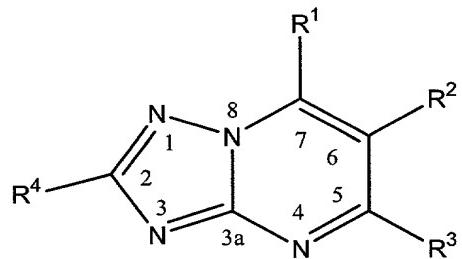
- 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

- 5 45. A method of treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by administering to said mammal an effective amount of a substituted triazolopyrimidine derivative having a paclitaxel like mechanism of action on tubulin polymerization or a pharmaceutically acceptable salt thereof .

10

46. The method according to Claim 45 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



15

(I)

wherein:

- R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,

halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-\text{S-aryl}$ of 6, 10 or 14 carbon atoms, $-\text{S-alkyl}$ of 1 to 12 carbon atoms, $-\text{S-cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{S-alkenyl}$ of 2 to 12 carbon atoms, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$ of 3 to 8 carbon atoms, $-\text{SO}_2\text{alkyl}$ of 1 to 12 carbon atoms, $-\text{O-aryl}$ of 6, 10 or 14 carbon atoms, and the moiety $-\text{NR}^a\text{R}^b$;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted

bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$, $-\text{SO}_2\text{alkyl}$, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, 10 optionally ortho-fused with an optionally substituted phenyl ring ;

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one $-\text{CH}_2-$ may optionally be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, 20 alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;

25 R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-\text{NR}^c\text{R}^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocyclyl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, 30 alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-\text{N}_3$;

- R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;
- R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;
- R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 1 to 12 carbon atoms;

R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF₃;

provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyl oxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or -SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl

25 or a pharmaceutically acceptable salt thereof.

47. The method according to claim 46 wherein

R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, 30 optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14

carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, 5
optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-\text{CH}_2-$
may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1
to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon
atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where
R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon
atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,
-SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms,
-SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and
10 the moiety $-\text{NR}^a\text{R}^b$ or a pharmaceutically acceptable salt thereof is
administered.

48. The method according to claim 46 wherein R^a and R^b each independently
represent the moiety $-\text{C}^*\text{H}(\text{R}^e)(\text{R}^f)$ where R^e and R^f independently represent
15 an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C*
represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof
is administered.

49. The method according to claim 46 wherein R² is optionally substituted aryl
20 of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocycl or
halogen or a pharmaceutically acceptable salt thereof is administered.

50. The method according to claim 46 wherein R³ is halogen, alkyl of 1 to 12
carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-\text{NR}^c\text{R}^d$, benzyloxy,
25 aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon
atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms,
dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable
salt thereof is administered.

30 51. The method according to claim 46 wherein R⁴ is H, optionally substituted
alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon

atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.

- 5 52. The method according to claim 46 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted
10 bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12
15 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms,
-SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the
20 nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

53. The method according to claim 46 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or heterocyclyl or a pharmaceutically acceptable salt thereof is administered.
25

54. The method according to claim 46 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
30

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55. The method according to claim 46 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.
56. The method according to claim 46 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms,
- 10 -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 5 to 10 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.
- 15 57. The method according to claim 46 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
- 20 58. The method according to claim 46 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
- 25 59. The method according to claim 46 wherein R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

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60. The method according to claim 46 wherein R¹ is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety –NR^aR^b
- 10 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
- 15
61. The method according to claim 46 wherein R¹ is the moiety –NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 20 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
- 20
62. The method according to claim 46 wherein R¹ is the moiety –NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;
- 25 R² is optionally substituted phenyl;
- R³ is halogen, alkoxy, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;
- R⁴ is H;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where

5 R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an

10 optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1

15 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms,

20 -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms; R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 2 to 12 carbon atoms, said

25 saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one –CH₂- may also

be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

5 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

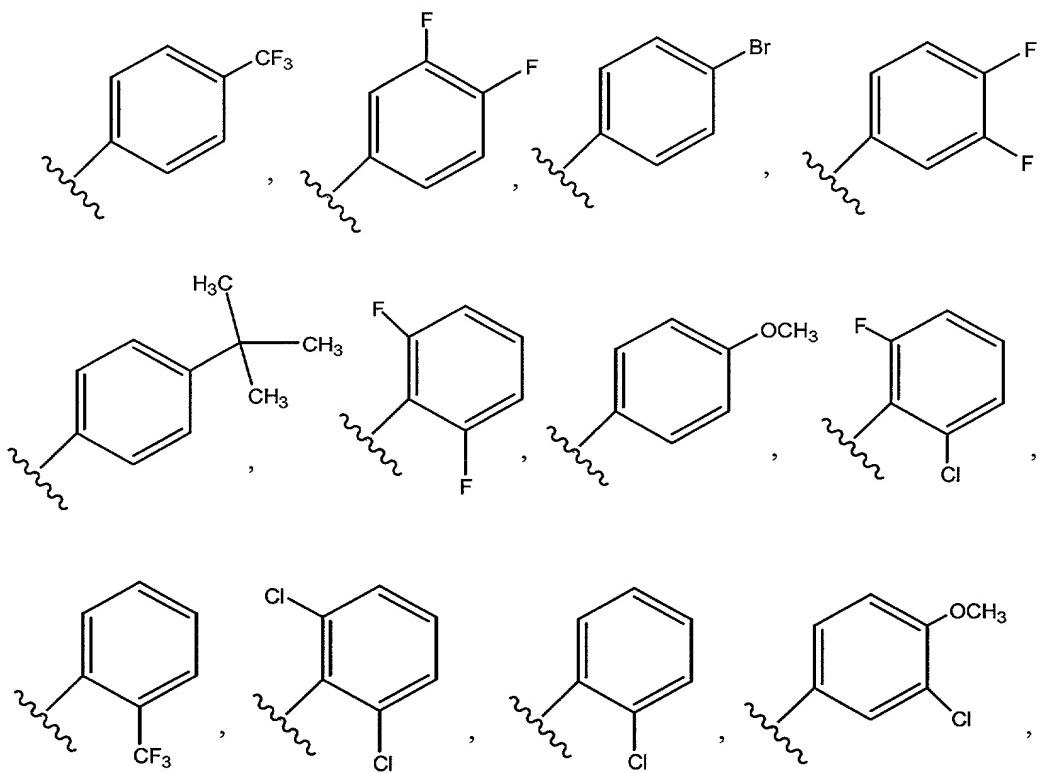
10 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

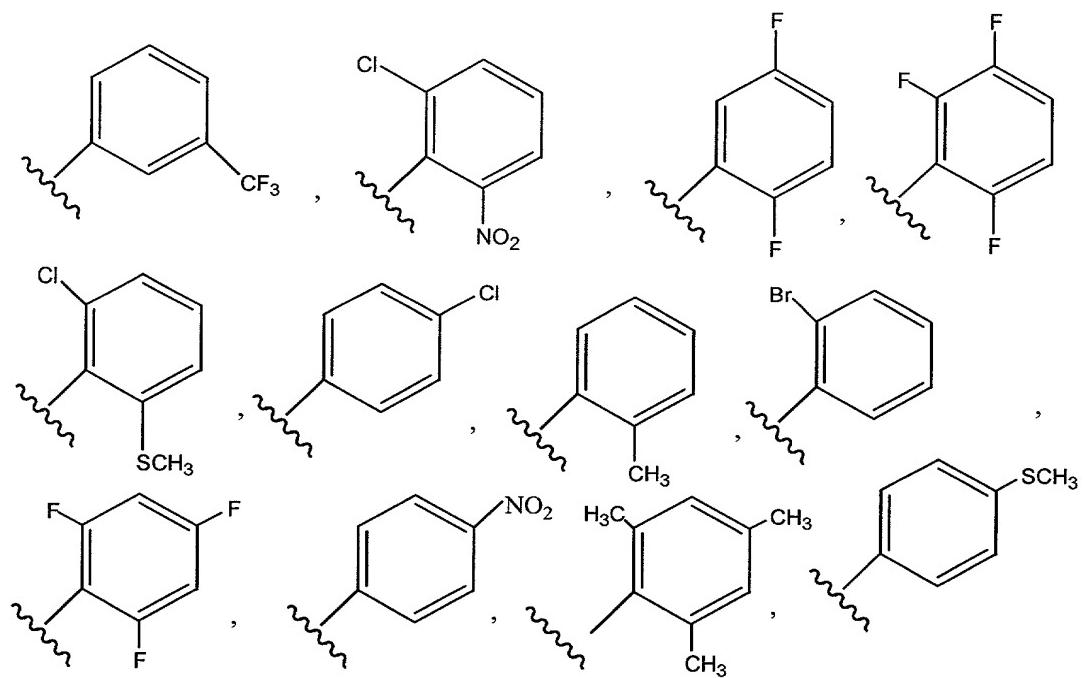
15 R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

20 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

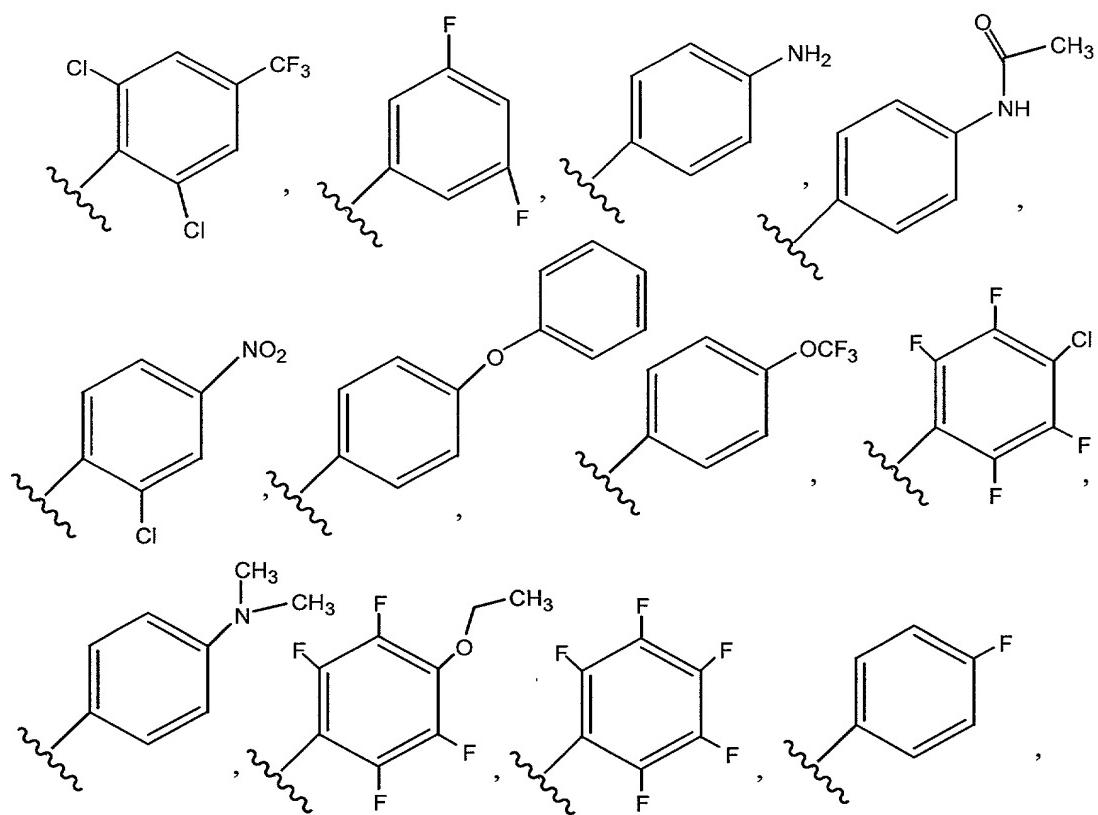
25 63. The method according to claim 46 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

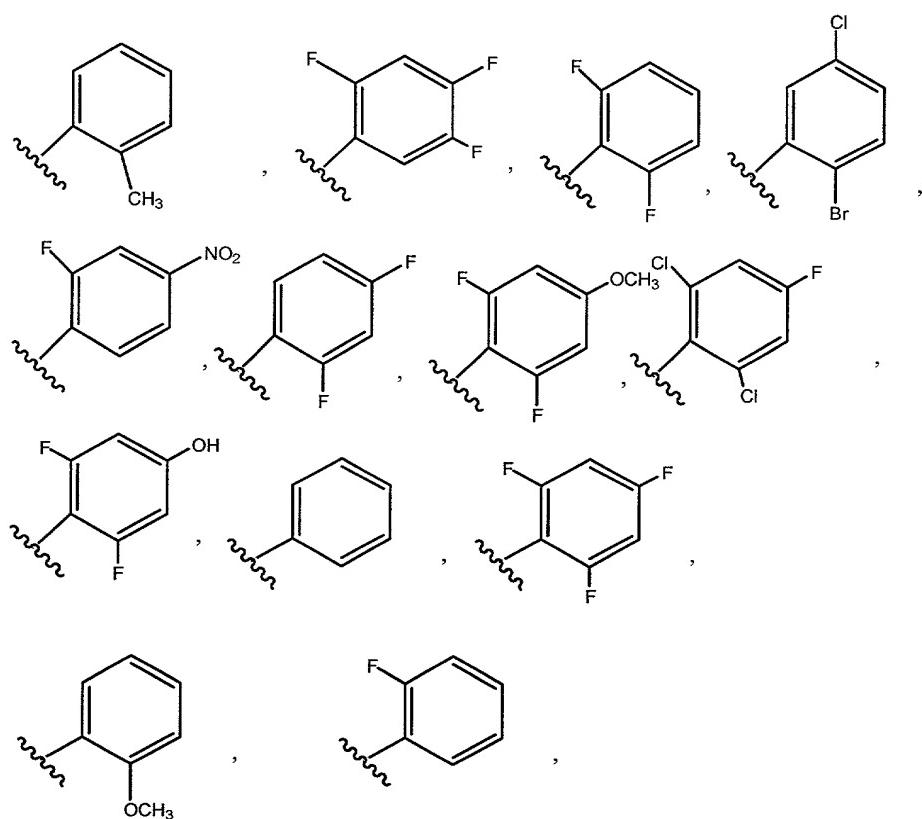
R^2 is selected from

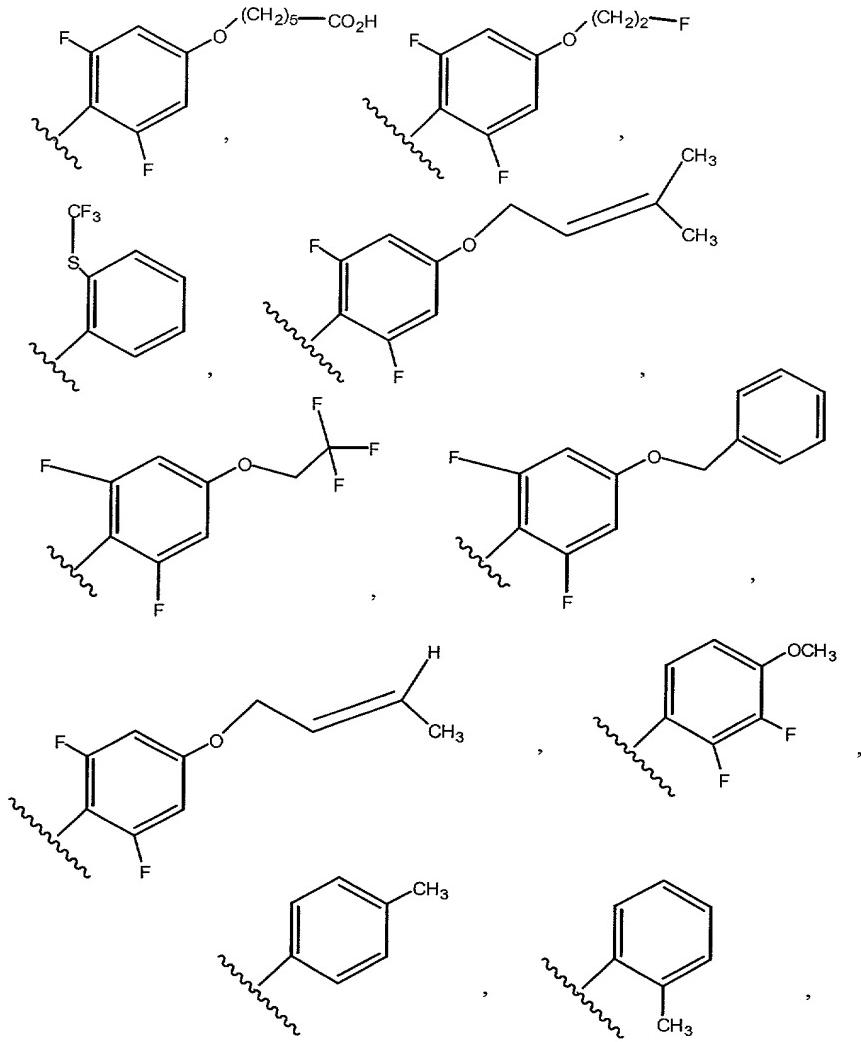


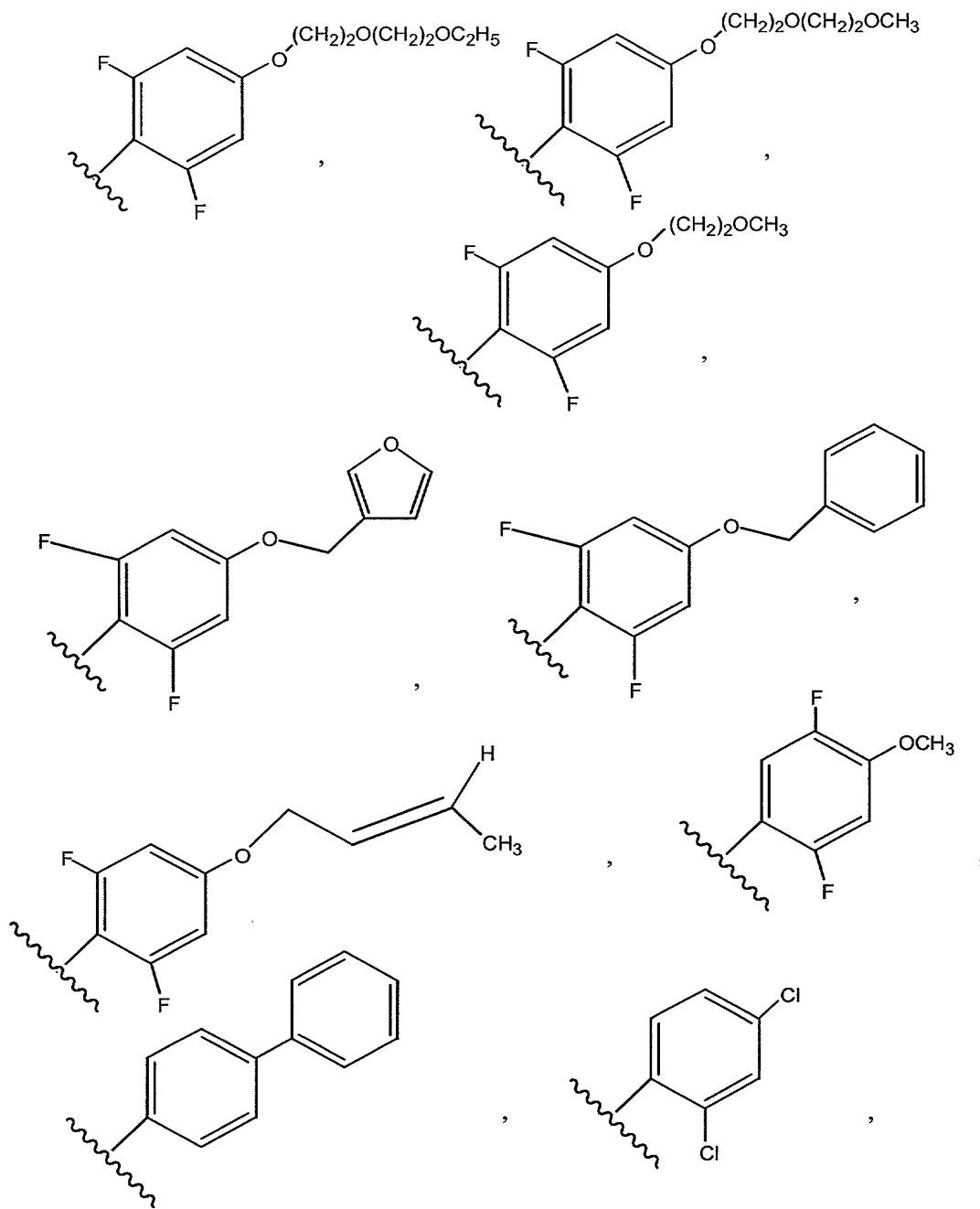


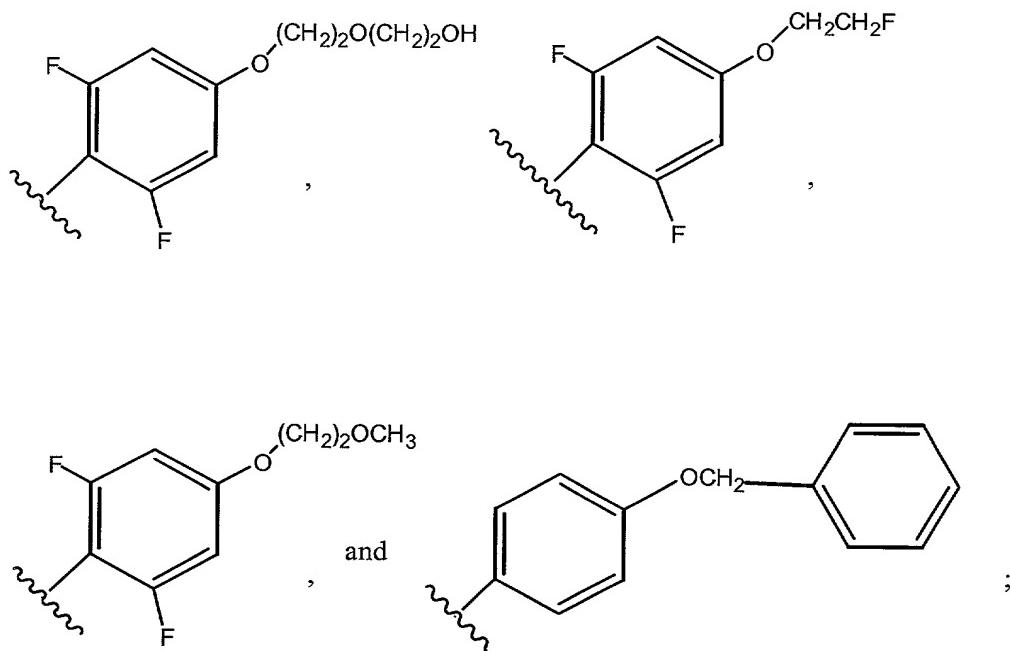
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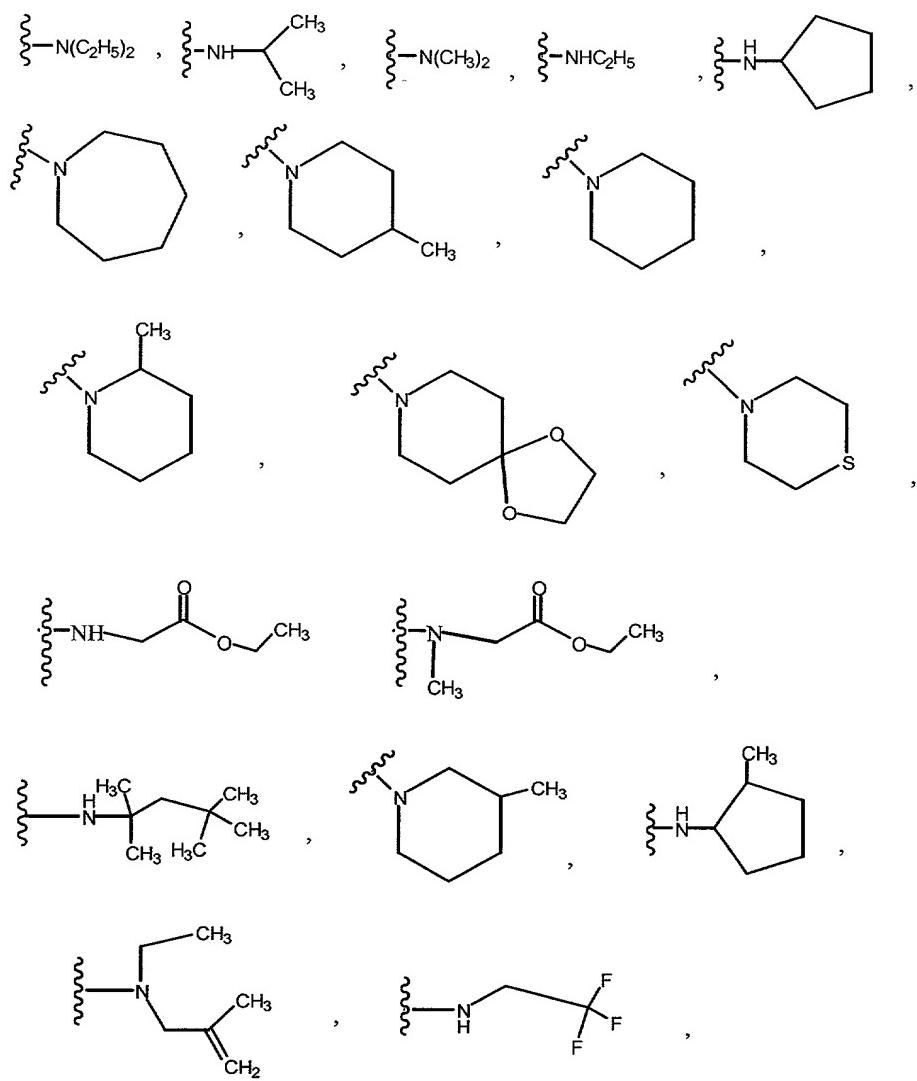


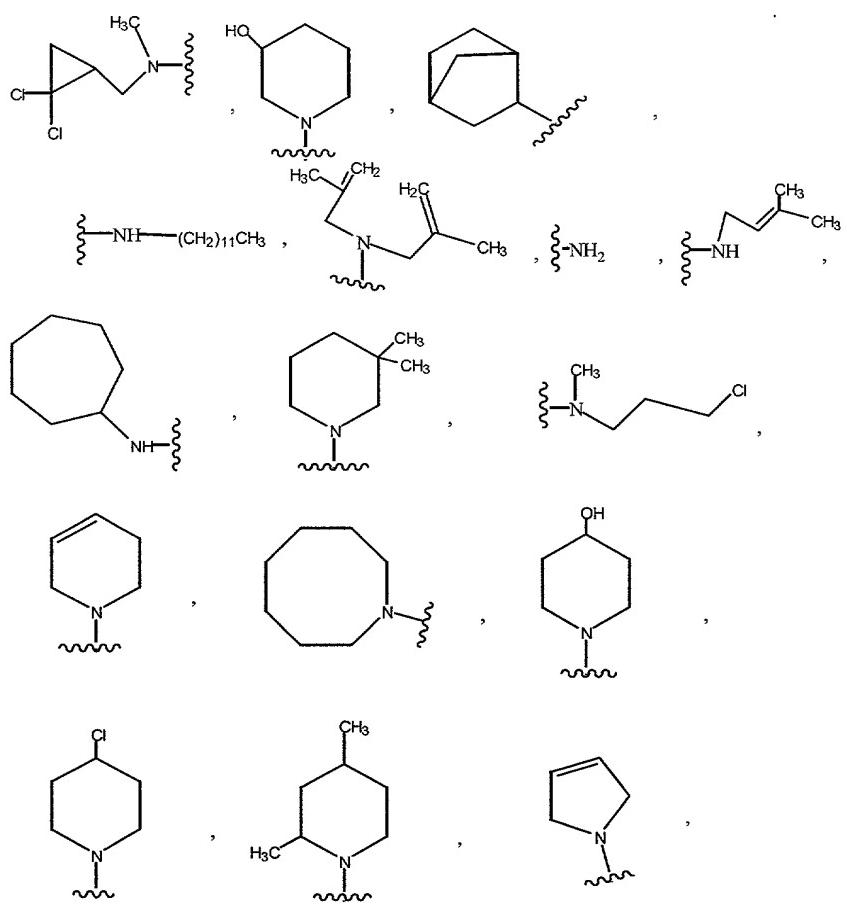


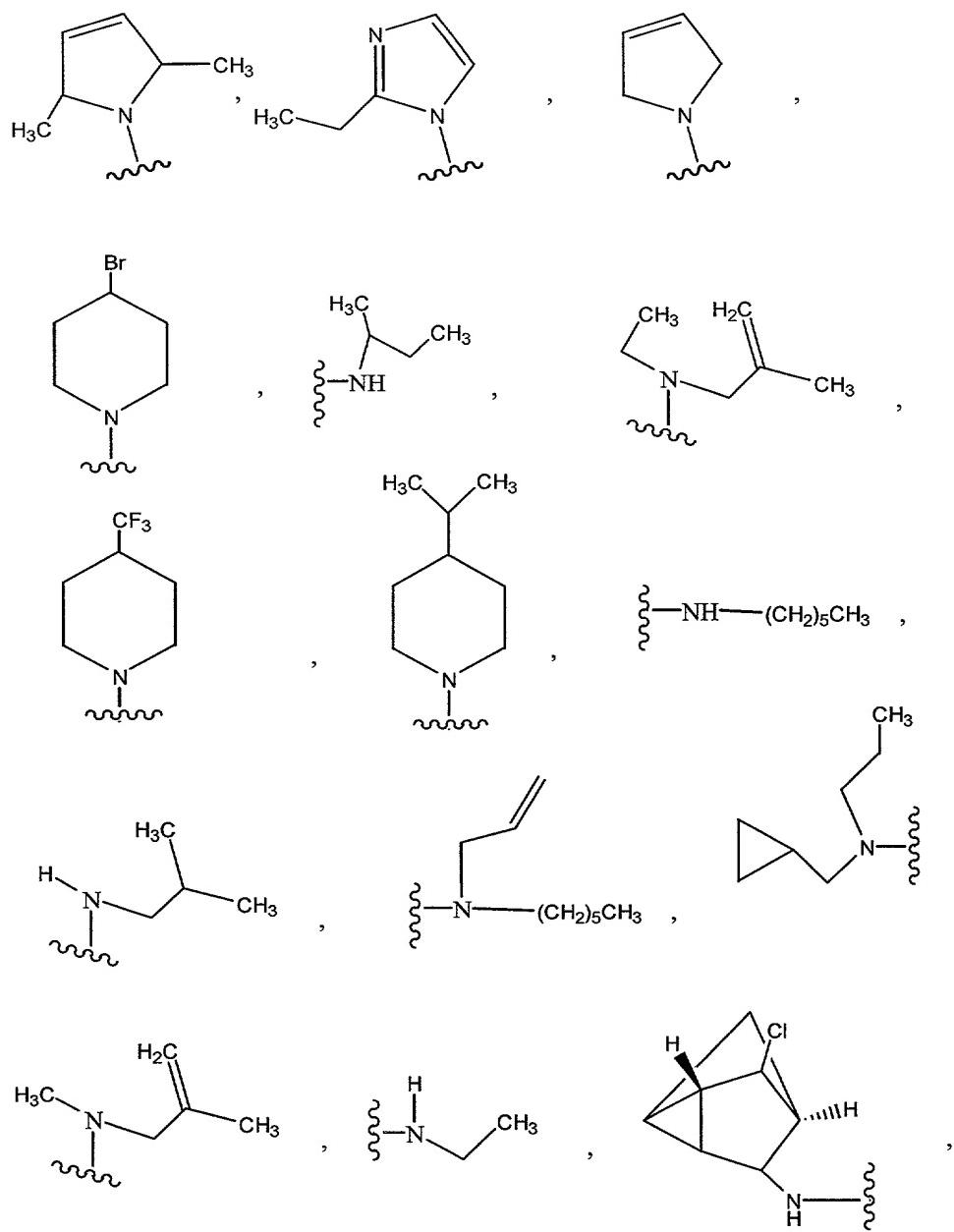


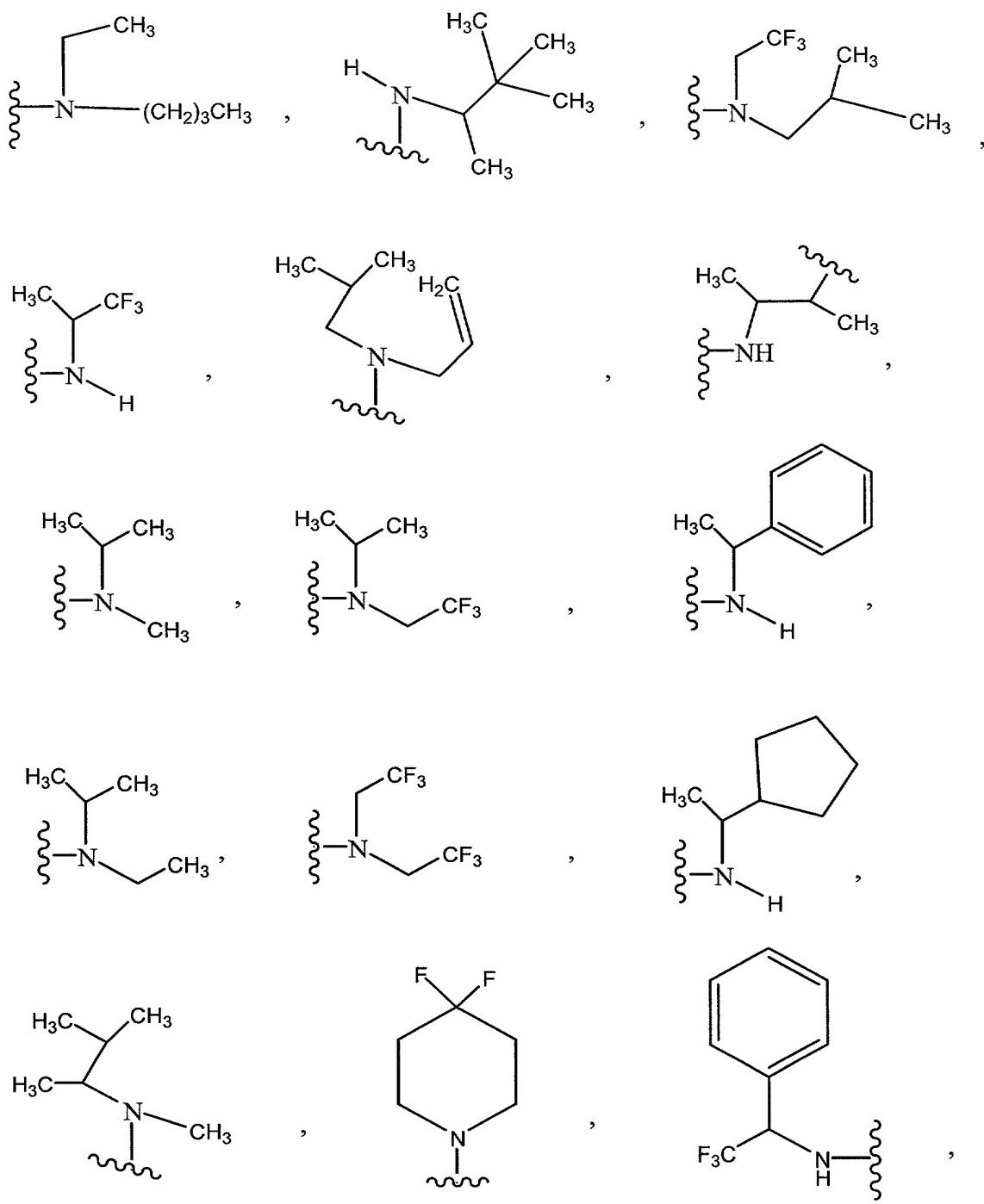


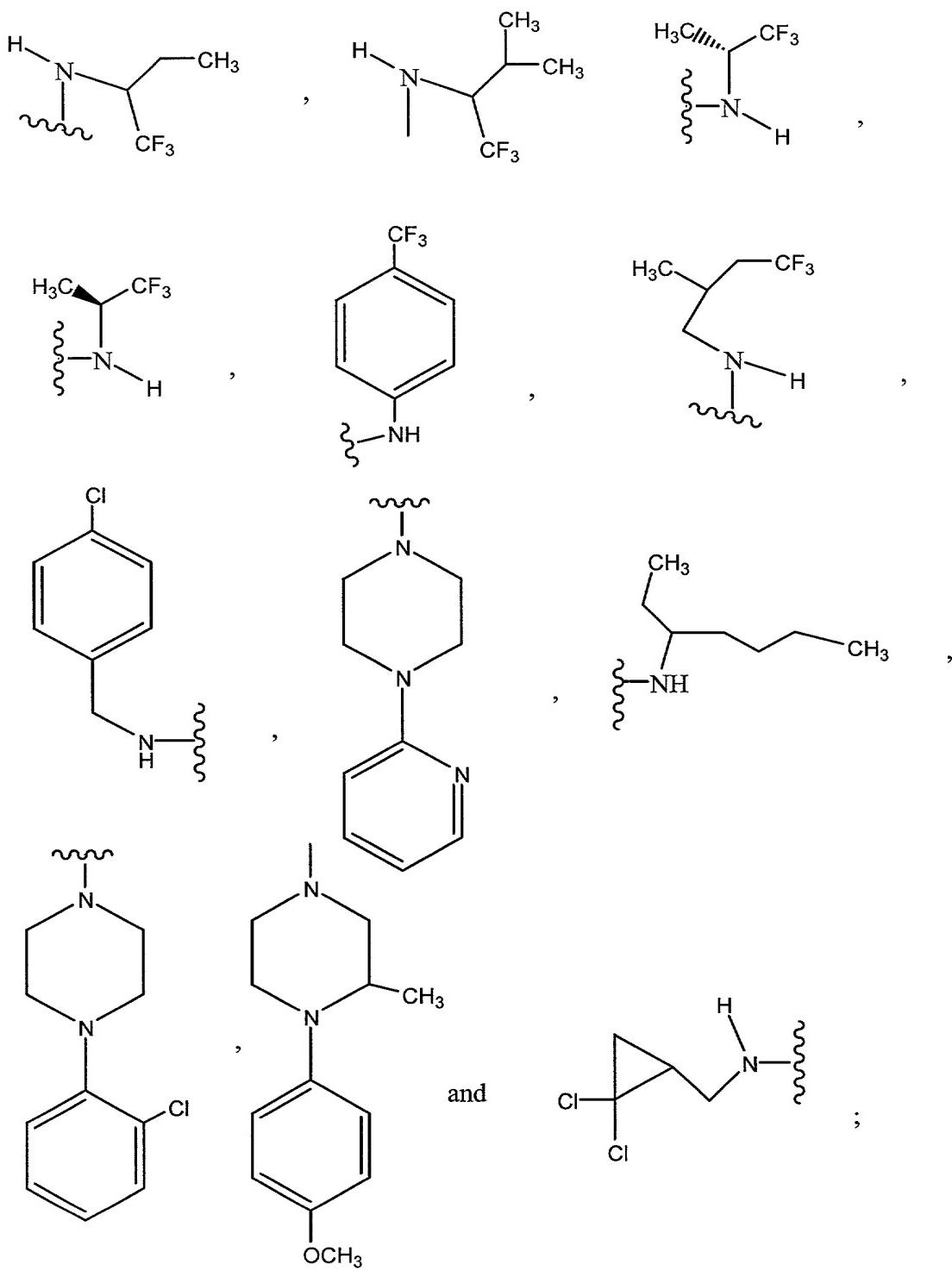
- R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio
 5 of 1 to 12 carbon atoms, cyano, or $-N_3$;
- R^4 is H or a pharmaceutically acceptable salt thereof is administered.
64. The method according to claim 46 wherein R^1 is the moiety $-NR^aR^b$
 wherein R^aR^b are optionally taken together with the nitrogen to which each is
 attached and wherein R^1 is selected from











R^2 is optionally substituted phenyl;

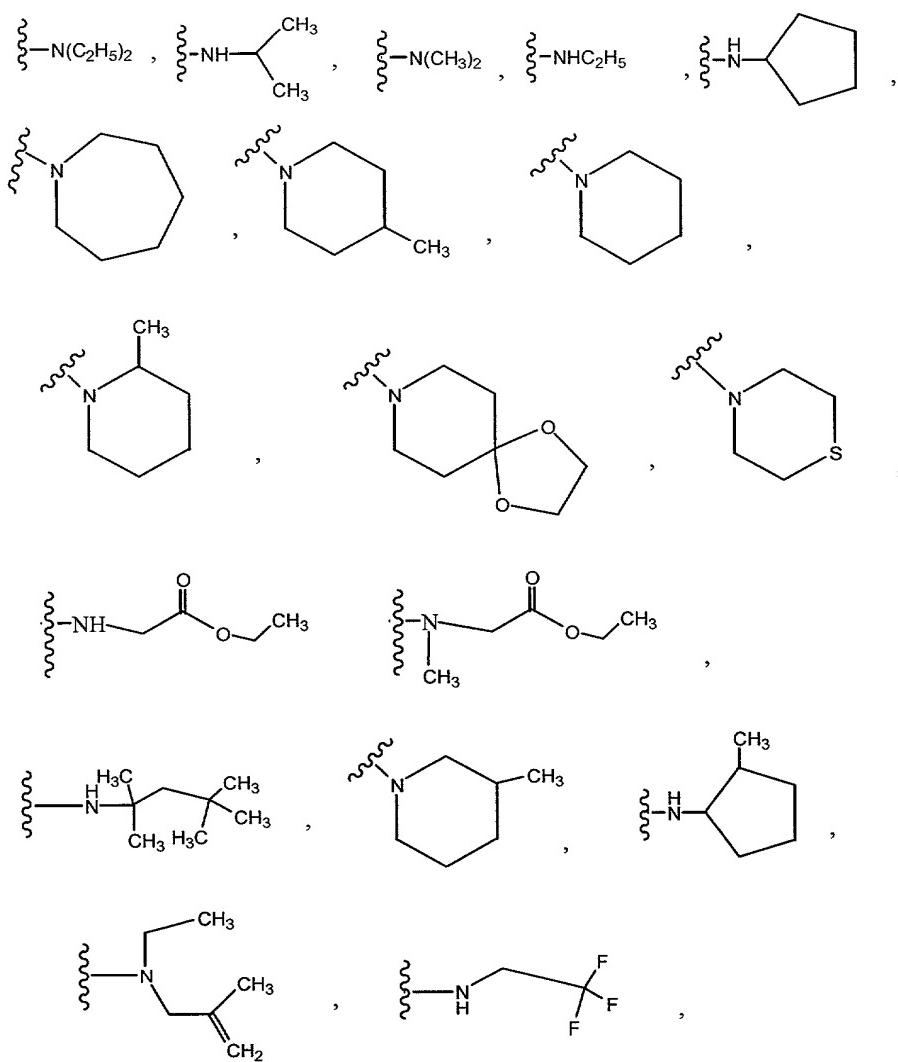
R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

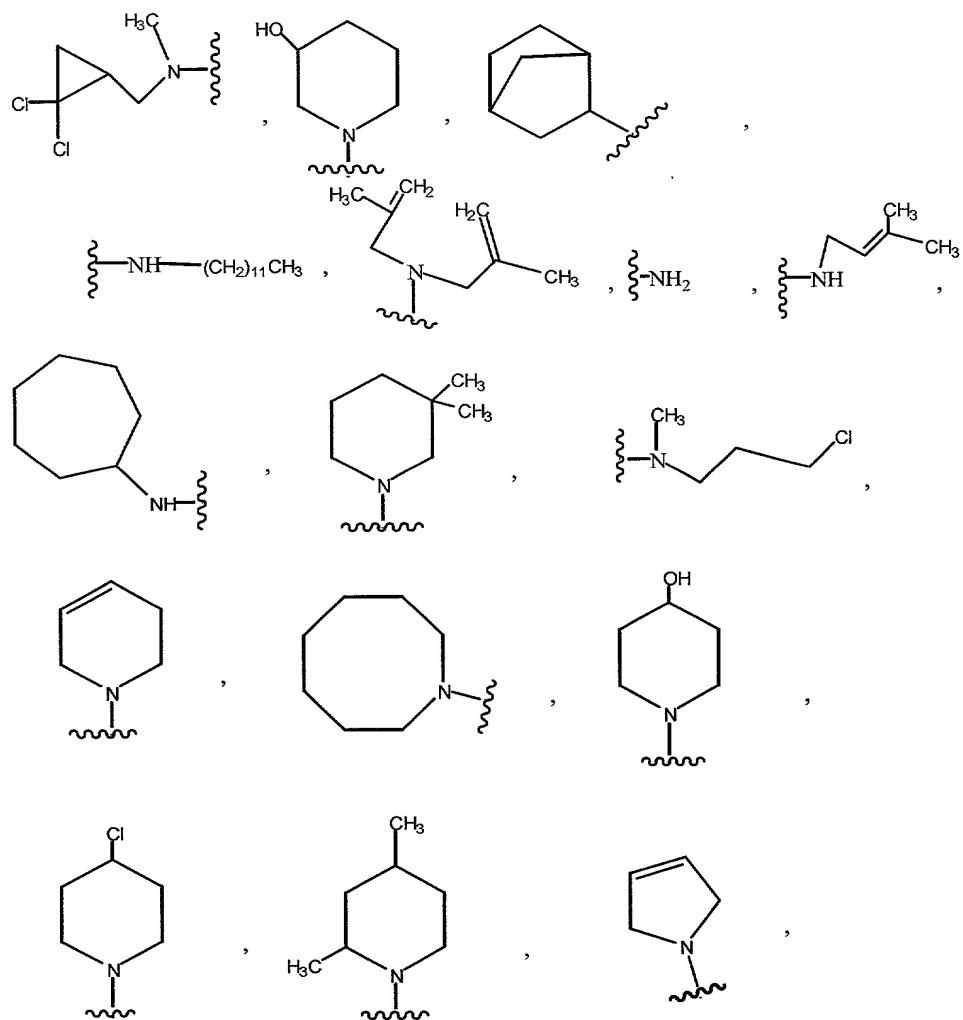
R^4 is H or a pharmaceutically acceptable salt thereof is administered.

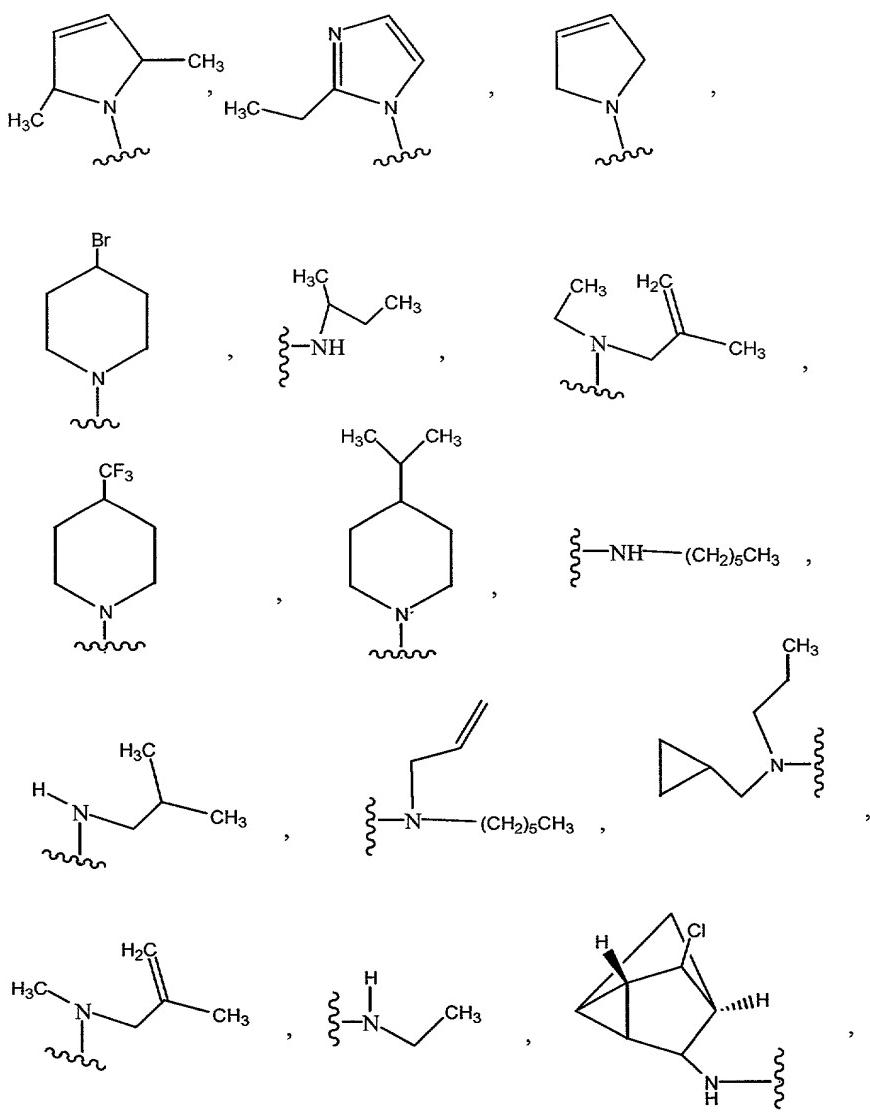
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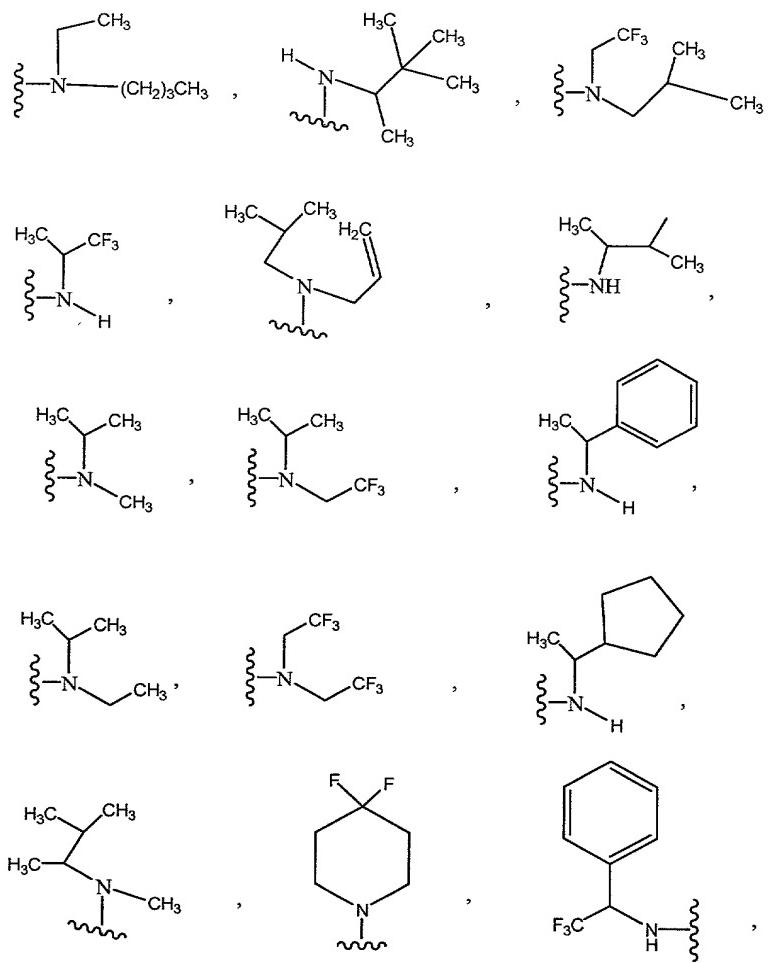
65. The method according to claim 46 wherein R^1 is the moiety $-NR^aR^b$ wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from

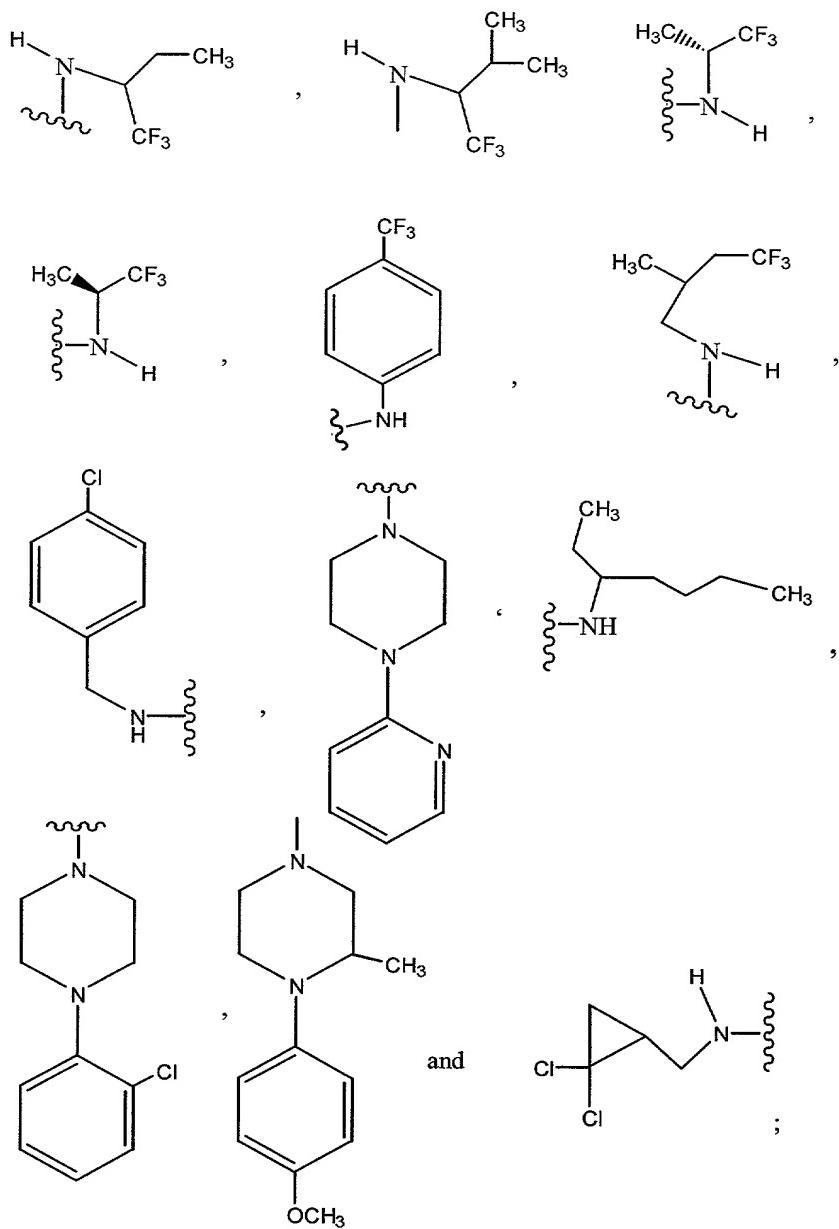
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R^2 is optionally substituted thiienyl;

R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12

5 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

R^4 is H or a pharmaceutically acceptable salt thereof is administered.

66. The method according to claim 46 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

10 Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;

10 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-

25 trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;
- 10 1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;
- 5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-

5 a]pyrimidine;

6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;

5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-7-(4-chloro-1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

25 N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;

7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-

5 a]pyrimidine;

5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;
- N-{4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;
- 30 [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;

- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;
- 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;

6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-

5 piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;

diethyl 2-allyl-2-{{[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}malonate;

6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin- 7-amine;

5 N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

20 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

5

5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-

10 a]pyrimidine;

5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20

[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolyl-ethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

25

5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-

30 piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;
- 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;
- 2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;

5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;

5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;

5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-(2,2,2-trifluoro-1-methylethyl)amine;

20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

30 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;
- 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5
- 5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25
- 5-chloro-7-(1,4-dioxa-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30

- 2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5,7-diphenoxy-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine; ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;
- 25 diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;
- 30 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

[5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;

5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-

5 a]pyrimidine;

5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

10 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)- [1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;

20 diethyl 2-{{5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}oxy}-2-isobutylmalonate;

2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;

25 2-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;

5-chloro-7-(3-nitro-4-methylanilino)-6-(2, 4, 6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;

5 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-N,N-1-diethyl-1,4-pentanediamine;

20

5-chloro-N-(3-methyl-2-butenyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

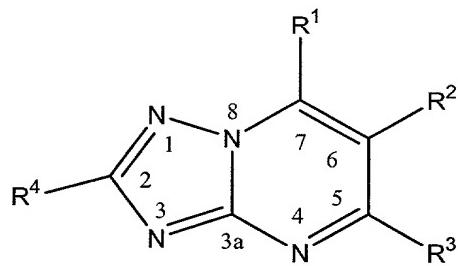
5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

30 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.

- 5 67. The method according to claim 1 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.
- 10 68. The method according to claim 23 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.
- 15 69. The method according to claim 45 wherein the cancerous tumor cells are selected from the group consisting of breast, colon, lung, prostate, melanoma, epidermal, leukemia, kidney, bladder, mouth, larynx, esophagus, stomach, ovary, pancreas, liver, skin and brain.
- 20 70. A pharmaceutical composition for treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof comprising an effective amount of a compound of Formula (I):



(I)

wherein:

- 5 R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy,
- 10 halogen, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10
- 15 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12
- 20 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of

2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, $-\text{SO}_2\text{aryl}$ of 6, 10 or 14 carbon atoms, $-\text{SO}_2\text{cycloalkyl}$, $-\text{SO}_2\text{alkyl}$, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocycl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocycl ring may optionally be aryl or cycloalkyl fused;

- 5 10 15 20
- R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocycl or halogen;
- 10 15 20
- R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocycl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or $-N_3$;

25 30

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to

10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;

R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl

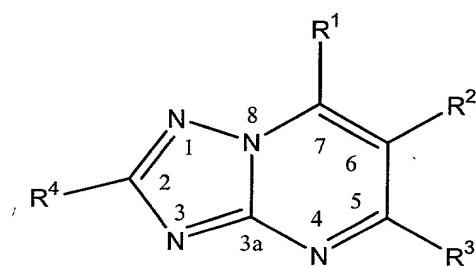
- 5 of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms
- 10 atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;
- 15 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or alkyl of 1 to 12 carbon atoms;

- 20 R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF₃;
- 25 provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 30 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is

cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or -SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof in association with a pharmaceutically acceptable carrier.

15

71. A pharmaceutical composition for treating or inhibiting the growth of cancerous tumour cells and associated diseases in a mammal in need thereof by interacting with tubulin and microtubules by promotion of microtubule polymerization which comprises an effective amount of a compound of Formula (I):



(I)

wherein:

R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocycl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- 5
5 may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 10
10 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;
15
15

R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocycl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocycl ring, optionally ortho-fused with an 20
20 optionally substituted phenyl ring ;
25
25

- R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted 5 bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 10 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, 15 optionally ortho-fused with an optionally substituted phenyl ring ;
- R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one –CH₂- may optionally be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon 20 atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;
- R² is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted 25 alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocyclyl or halogen;
- 30 R³ is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon

atoms, alkylthio of 1 to 12 carbon atoms, heterocycl, aryl, hydroxy, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃;

5

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted

- 10 cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to
15 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocycl;

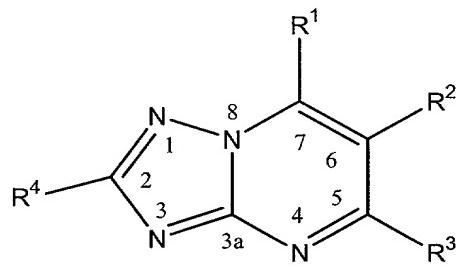
R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon

- 20 atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to
25 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocycl;

- 30 R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocycl ring from 3 to 8 ring atoms optionally

substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or alkyl of 1 to 12 carbon atoms;

- R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally
- 5 substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-\text{CF}_3$;
- 10 provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is
- 15 cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴
- 20 is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is $-\text{SO}_2\text{ethyl}$ or $-\text{SO}_2\text{cyclopentyl}$, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not $-\text{OCH}_2\text{O}_2\text{C}(\text{CH}_3)_3$; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier.
- 25
- 30 72. A pharmaceutical composition comprising a compound of Formula (I):



(I)

5 wherein:

R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;

- R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;
- R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

- R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocycl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may optionally be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, said saturated or unsaturated heterocycl ring may optionally be aryl or cycloalkyl fused;
- 5 R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocycl or halogen;
- 10 R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocycl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or 20 $-N_3$;
- 15 R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 30 10.

10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;

R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl

5 of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon

10 atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl , optionally substituted benzyl, or heterocyclyl;

15

R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or alkyl of 1 to 12 carbon atoms;

20

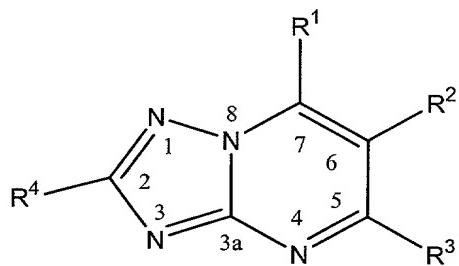
R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxy carbonyl of 2 to 12 carbon

25 atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or -CF₃;

provided that when: a) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R¹ is diethylamino, R³ is bromo, R⁴ is hydrogen, R² is not

30 4-trifluoromethylphenyl; c) R¹ is isopropylamino, R³ is chloro, R⁴ is hydrogen, R² is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R¹ is

- cyclopentylamino, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl, 2-naphyl or 2-stilbene; e) R¹ is 2-amino-bicyclo(2.2.1.)heptyl, R³ is chloro, R⁴ is hydrogen, R² is not 3,4,5-trimethoxyphenyl and f) R¹ is diethylamino, R³ is chloro, R⁴ is hydrogen, R² is not 4-trifluoromethylphenyl and g) R¹ is 1,1,1-trifluoroethoxy, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl h) R¹ is -SO₂ethyl or -SO₂cyclopentyl, R³ is chloro, R⁴ is hydrogen, R² is not 2-chloro-6-fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not -OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof together with a pharmaceutically acceptable carrier.
- 15 73. A method for the treatment or prevention of multiple drug resistance (MDR) in a mammal in need thereof which method comprises administering to said mammal an effective amount of a substituted triazolopyrimidine derivative or a pharmaceutically acceptable salt thereof.
- 20 74. The method of claim 73 wherein the multiple drug resistance (MDR) is mediated by p-glycoprotein or MXR.
- 25 75. The method according to Claim 73 wherein the substituted triazolopyrimidine derivative is a compound selected from those of the formula:



(I)

wherein:

- R¹ is selected from the group consisting of halogen, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, -CN, hydroxy, halogen, carbamoyl, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, thiophene, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-cycloalkyl of 3 to 8 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b;
- R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon

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atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one –CH₂- may also be replaced by –O-, -S-, or –NR'

5 where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted tricycloalkyl, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an

10 optionally substituted phenyl ring ;

R^b is H, an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted

15 bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12

20 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl, -S-alkenyl, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl, -SO₂alkyl, -O-aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl, cycloalkyl of 3 to 8 carbon atoms or a 3- to 6-membered heterocyclyl ring, optionally ortho-fused with an optionally substituted phenyl ring ;

25 R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocyclyl ring from 3 to 12 ring atoms in which optionally, at least one –CH₂- may optionally be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon

30 atoms, said saturated or unsaturated heterocyclyl ring may optionally be aryl or cycloalkyl fused;

R^2 is H, optionally substituted alkyl of 1 to 12 carbon atoms, amino, hydroxy, alkylthio of 1 to 12 carbon atoms, cyano, carbamoyl, optionally substituted alkoxy of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8
5 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, aryloxy, benzyloxy, thienyl, heterocycl or halogen;

R^3 is H, halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon
10 atoms, aryloxy, $-NR^cR^d$, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, heterocycl, aryl, hydroxy, carbamoyl, carboxy, alkoxycarbonyl of 2 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or
15 $-N_3$;

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted
20 cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to
25 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocycl;

R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
30 atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted

cycloalkyl of 3 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

R^cR^d together with the nitrogen atom to which each is attached represent an optionally substituted heterocyclyl ring from 3 to 8 ring atoms optionally substituted in which one $-\text{CH}_2-$ may also be replaced by $-\text{O}-$, $-\text{S}-$, or $-\text{NR}'$ where R' is H or alkyl of 1 to 12 carbon atoms;

R^4 is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, halogen, cyano, carboxy, alkoxy carbonyl of 2 to 12 carbon atoms, heterocyclyl, halogen, carbamoyl, optionally substituted aryl of 6, 10 or 14 carbon atoms, or $-\text{CF}_3$;

provided that when: a) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl, 3,4-dichlorophenyl, 4-chlorophenyl, 3-chloro-4-methoxyphenyl; b) R^1 is diethylamino, R^3 is bromo, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl; c) R^1 is isopropylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-benzyloxyphenyl or 3,4,5-trimethoxyphenyl; d) R^1 is cyclopentylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl, 2-naphthyl or 2-stilbene; e) R^1 is 2-amino-bicyclo(2.2.1.)heptyl, R^3 is chloro, R^4 is hydrogen, R^2 is not 3,4,5-trimethoxyphenyl and f) R^1 is diethylamino, R^3 is chloro, R^4 is hydrogen, R^2 is not 4-trifluoromethylphenyl and g) R^1 is 1,1,1-trifluoroethoxy, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-fluorophenyl h) R^1 is $-\text{SO}_2\text{ethyl}$ or $-\text{SO}_2\text{cyclopentyl}$, R^3 is chloro, R^4 is hydrogen, R^2 is not 2-chloro-6-

fluorophenyl; i) R⁴ is hydrogen, R² is 2-chloro-6-fluorophenyl, R¹ and R³ are not 1,2,4-triazole; j) R¹ is cyclohexyl, R⁴ is hydrogen, R² is 2,4,6-trifluorophenyl, and R³ is not –OCH₂O₂C(CH₃)₃; k) R¹ is 2-thienyl, R⁴ is ethyl, R³ is hydrogen and R² is not 2-methoxyphenyl, 4-methoxyphenyl, and 5 4-trifluorophenyl; l) R² is phenyl, R³ is chloro, R⁴ is hydrogen R¹ is not (2E)-3,7-dimethyl-2,6-octadienyl or a pharmaceutically acceptable salt thereof.

76. The method according to claim 75 wherein

10 R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, 15 optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one –CH₂- may also be replaced by –O-, -S-, or –NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon 20 atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety –NR^aR^b or a pharmaceutically acceptable salt thereof is administered.

25

77. The method according to claim 75 wherein R^a and R^b each independently represent the moiety –C*H(R^e)(R^f) where R^e and R^f independently represent an optionally halo-substituted alkyl group of 1 to 12 carbon atoms where C* represents the (R) or (S) isomer or a pharmaceutically acceptable salt thereof 30 is administered.

- 100-200-300-400-500-600
78. The method according to claim 75 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms, aryloxy, thienyl, benzyloxy, heterocyclyl or halogen or a pharmaceutically acceptable salt thereof is administered.
- 5 79. The method according to claim 75 wherein R³ is halogen, alkyl of 1 to 12 carbon atoms, alkoxy of 1 to 12 carbon atoms, aryloxy, -NR^cR^d, benzyloxy, aralkyloxy, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, hydroxy, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
- 10 80. The method according to claim 75 wherein R⁴ is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkoxy of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.
- 15 81. The method according to claim 75 wherein R¹ is selected from the group consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkynyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted bicycloalkyl of 5 to 10 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR'
- 20 where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, -O-aryl of 6, 10 or 14 carbon atoms, and the moiety -NR^aR^b wherein
- 25
- 30

R^aR^b are optionally taken together with the nitrogen to which each is attached or a pharmaceutically acceptable salt thereof is administered.

82. The method according to claim 75 wherein R² is optionally substituted

5 aryl of 6, 10 or 14 carbon atoms or heterocycl or a pharmaceutically acceptable salt thereof is administered.

83. The method according to claim 75 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1

10 to 12 carbon atoms, cyano, amino, alkylamino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, or -N₃ or a pharmaceutically acceptable salt thereof is administered.

84. The method according to claim 75 wherein R⁴ is H, optionally substituted

15 alkyl of 1 to 12 carbon atoms, amino, alkyl amino of 1 to 12 carbon atoms, dialkylamino of 1 to 12 carbon atoms, -CF₃ or a pharmaceutically acceptable salt thereof is administered.

85. The method according to claim 75 wherein R¹ is selected from the group

20 consisting of an optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12

carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or

25 an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon

atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 5 to 10 carbon

atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein

R^aR^b are optionally taken together with the nitrogen to which each is attached

30 or a pharmaceutically acceptable salt thereof is administered.

- 20062006000000000000
86. The method according to claim 75 wherein R² is optionally substituted aryl of 6, 10 or 14 carbon atoms or a pharmaceutically acceptable salt thereof is administered.
- 5 87. The method according to claim 75 wherein R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃ or a pharmaceutically acceptable salt thereof is administered.
- 10 88. The method according to claim 75 wherein R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
- 15 89. The method according to claim 75 wherein R¹ is selected from the group consisting of an optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one -CH₂- may also be replaced by -O-, -S-, or -NR' where R' is H or an alkyl group of 1 to 12 carbon atoms, -S-aryl of 6, 10 or 14 carbon atoms, -S-alkyl of 1 to 12 carbon atoms, -S-alkenyl of 2 to 12 carbon atoms, -SO₂aryl of 6, 10 or 14 carbon atoms, -SO₂cycloalkyl of 3 to 8 carbon atoms, -SO₂alkyl of 1 to 12 carbon atoms, and the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃; R⁴ is H or a pharmaceutically acceptable salt thereof is administered.
- 25 90. The method according to claim 75 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached; R² is optionally substituted phenyl; R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to

12 carbon atoms, cyano, or $-N_3$; R^4 is H or a pharmaceutically acceptable salt thereof is administered.

91. The method according to claim 75 wherein R^1 is the moiety $-NR^aR^b$
- 5 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;
- R^2 is optionally substituted phenyl;
- R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
- 10 R^4 is H;
- R^a is H, optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where
- 15 R' is H or an alkyl group of 1 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, heterocyclyl, benzyl, optionally substituted benzyl; R^b is H, an
- 20 optionally substituted alkyl of 1 to 12 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted aryl of 6, 10 or 14 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1
- 25 to 12 carbon atoms, optionally substituted cycloalkenyl of 5 to 10 carbon atoms in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms, $-S$ -aryl of 6, 10 or 14 carbon atoms, $-S$ -alkyl of 1 to 12 carbon atoms, $-S$ -alkenyl of 2 to 12 carbon atoms, $-SO_2$ aryl of 6, 10 or 14 carbon atoms, $-SO_2$ cycloalkyl of 3 to 8 carbon atoms,
- 30 $-SO_2$ alkyl of 1 to 12 carbon atoms, $-O$ -aryl of 6, 10 or 14 carbon atoms;

R^aR^b together with the nitrogen atom to which each is attached represent an optionally substituted saturated or unsaturated heterocycl ring from 3 to 12 ring atoms in which optionally, at least one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 2 to 12 carbon atoms, said
5 saturated or unsaturated heterocycl ring may optionally be aryl or cycloalkyl fused;

R^c is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon
10 atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 8 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in
15 which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, or heterocyclyl;

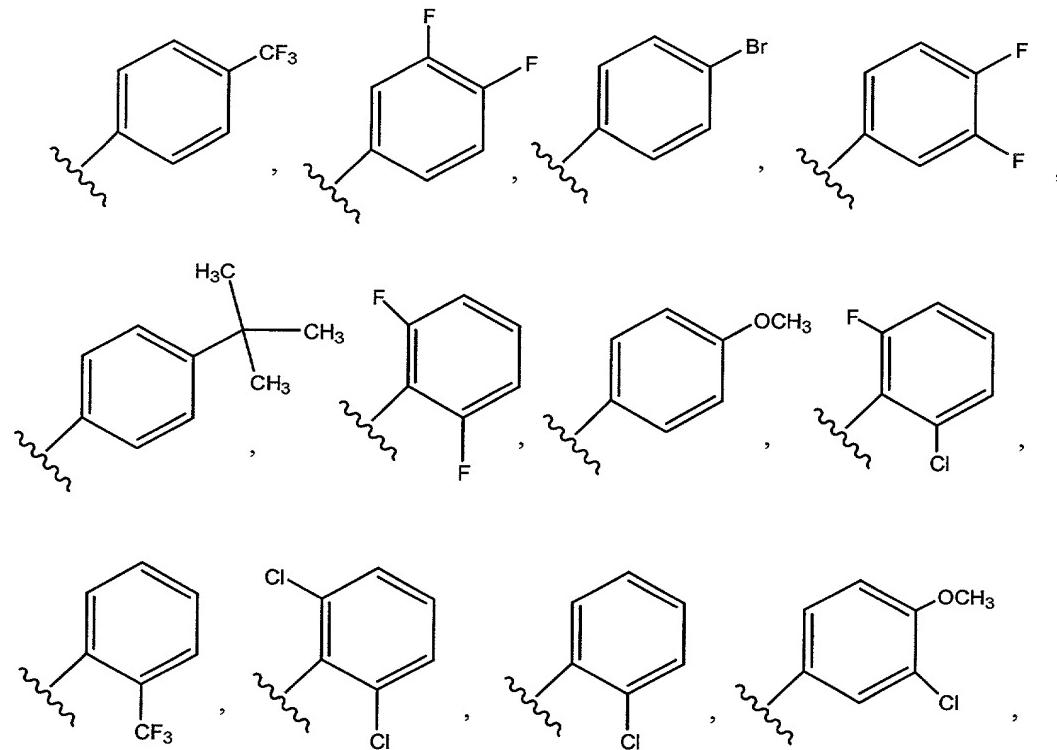
R^d is H, amino, optionally substituted alkyl of 1 to 12 carbon atoms, haloalkyl of 1 to 10 carbon atoms, optionally substituted alkenyl of 2 to 12 carbon atoms, optionally substituted alkadienyl of 4 to 12 carbon atoms, optionally substituted cycloalkyl of 3 to 10 carbon atoms, in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted cycloalkenyl of 5 to 10 carbon atoms, in
25 which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$ where R' is H or an alkyl group of 1 to 12 carbon atoms optionally substituted bicycloalkyl of 5 to 10 carbon atoms, aryl of 6, 10 or 14 carbon atoms, benzyl, optionally substituted benzyl, heterocyclyl;

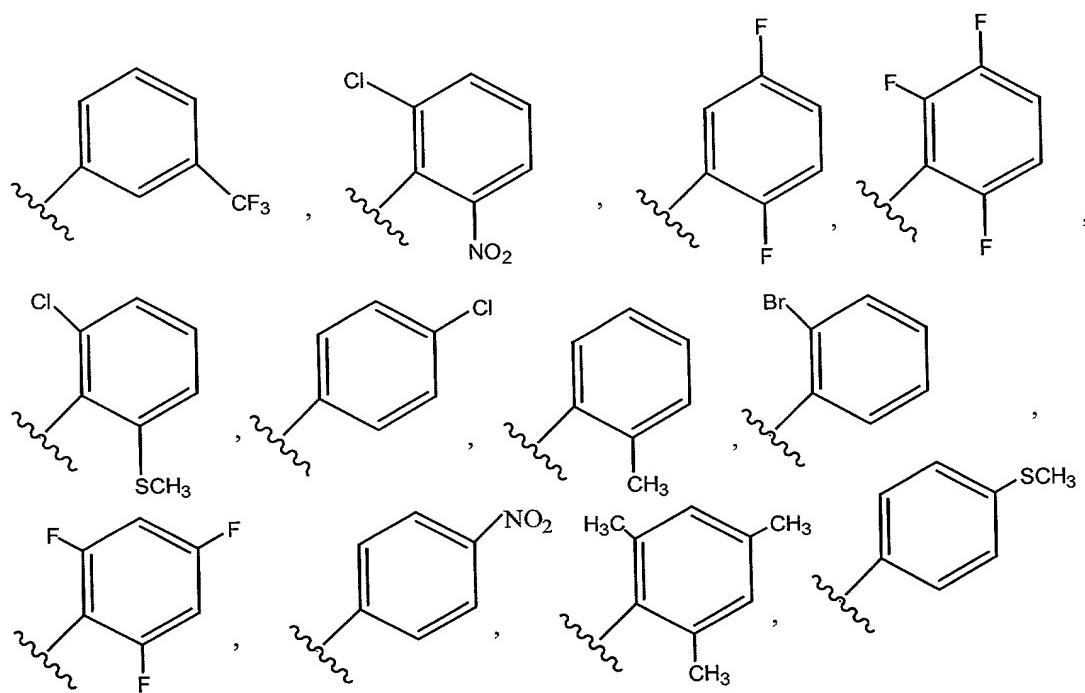
R^cR^d together with the nitrogen atom to which each is attached represent an
30 optionally substituted heterocycl ring from 3 to 8 ring atoms optionally substituted in which one $-CH_2-$ may also be replaced by $-O-$, $-S-$, or $-NR'$

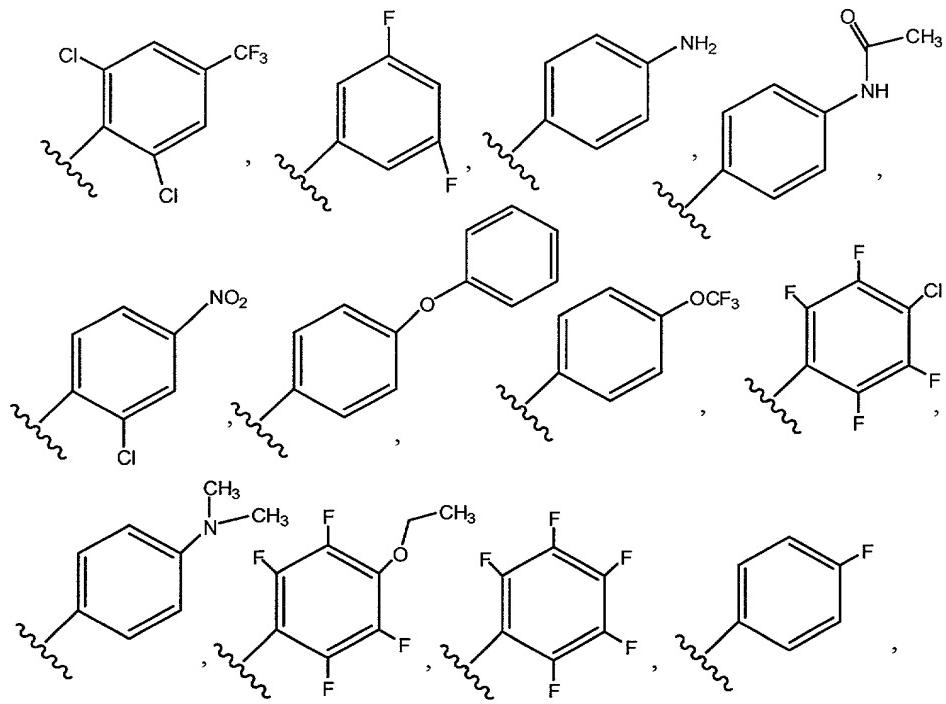
where R' is H or alkyl of 2 to 20 carbon atoms or a pharmaceutically acceptable salt thereof is administered.

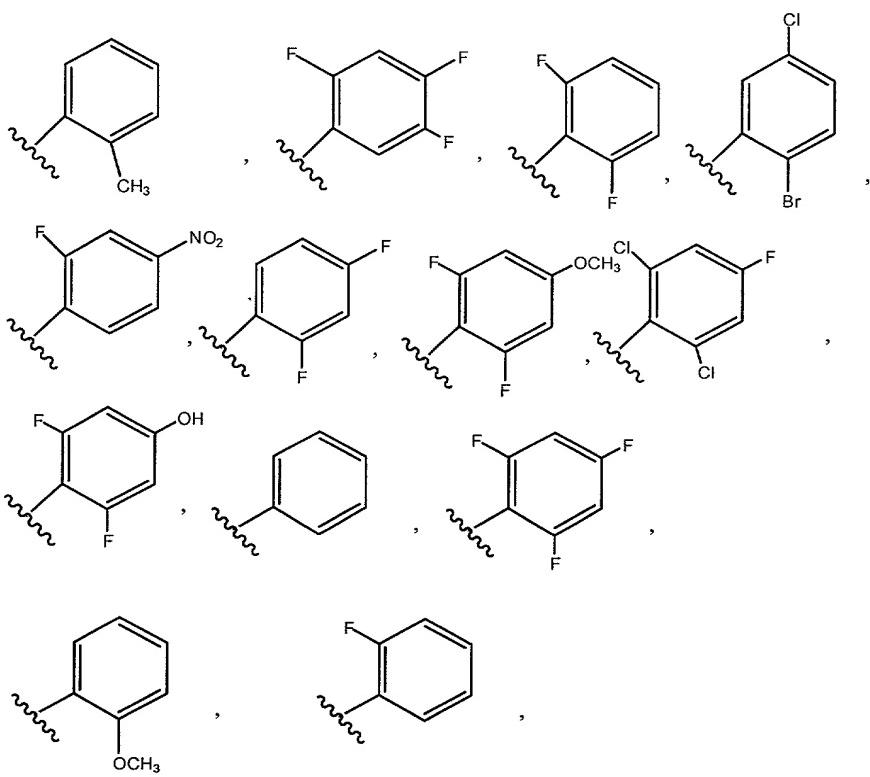
92. The method according to claim 75 wherein R¹ is the moiety -NR^aR^b
5 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached;

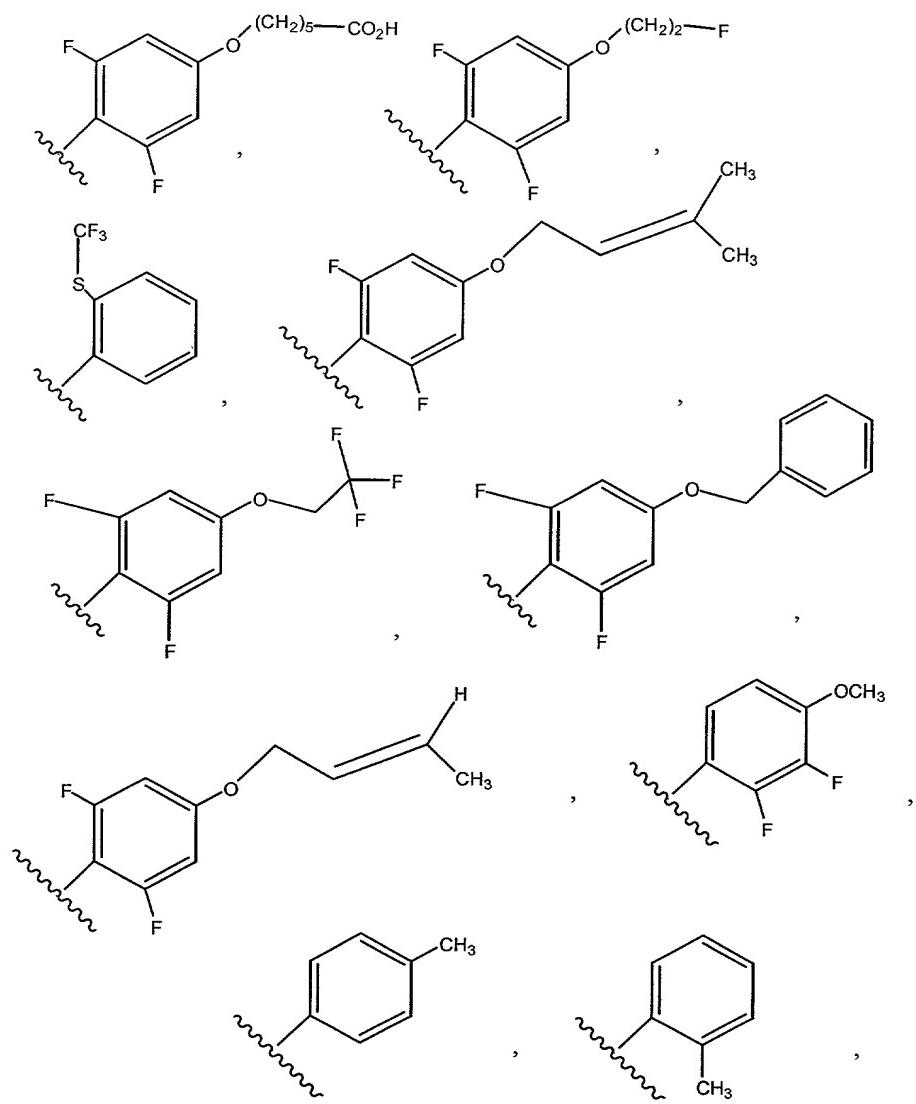
R² is selected from

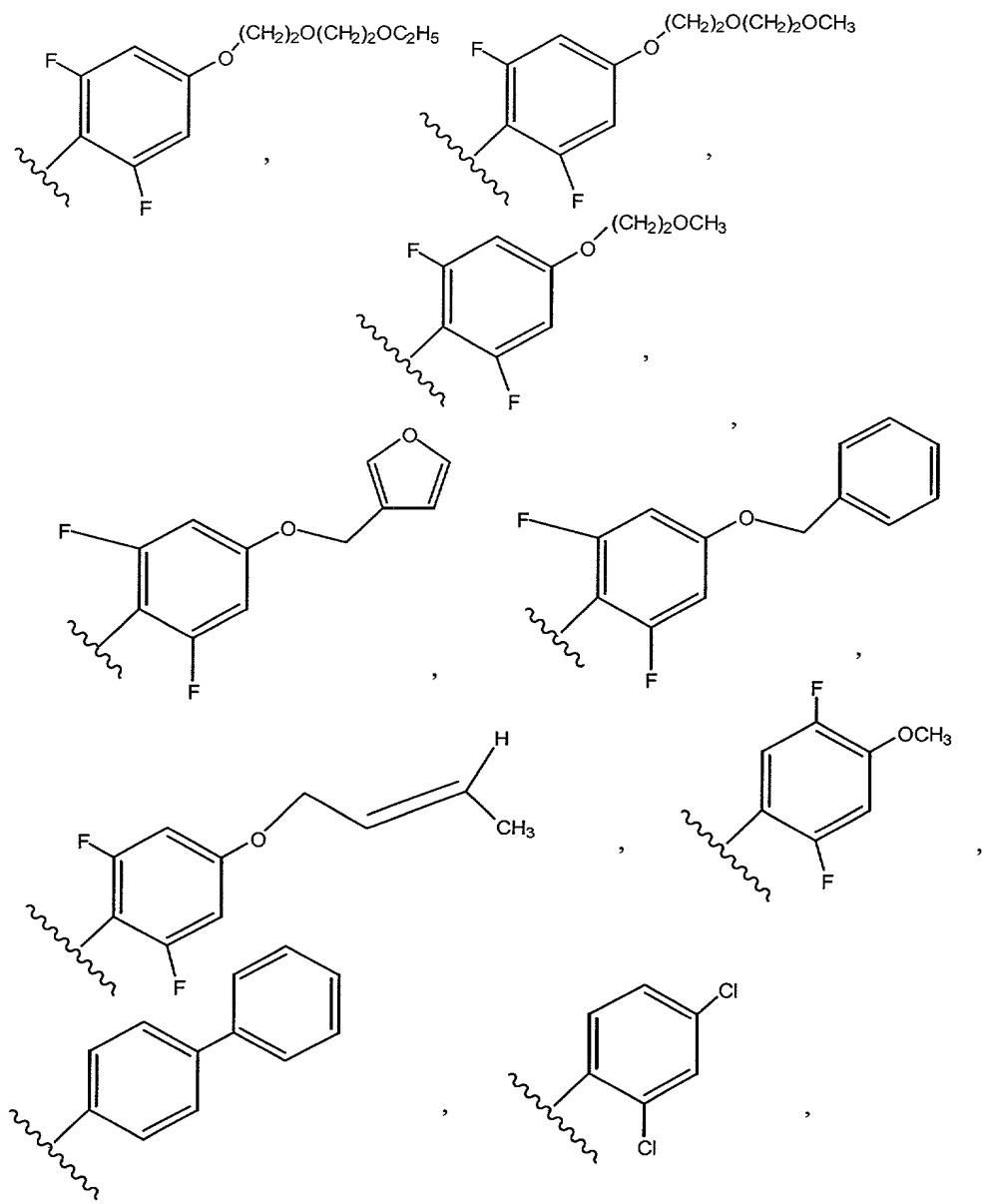


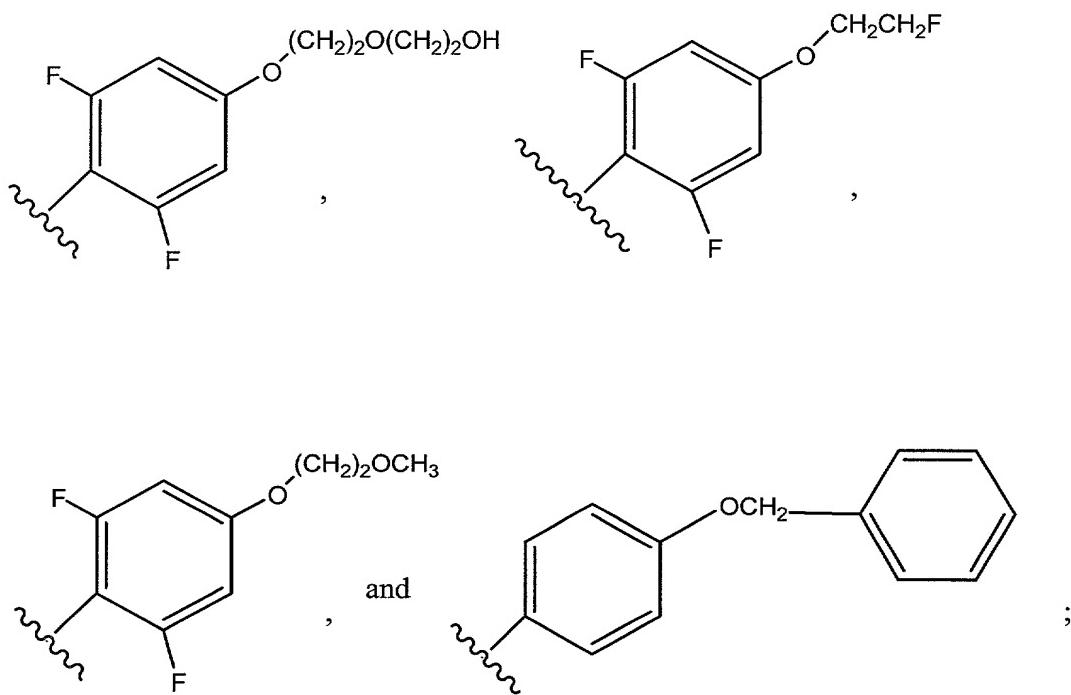






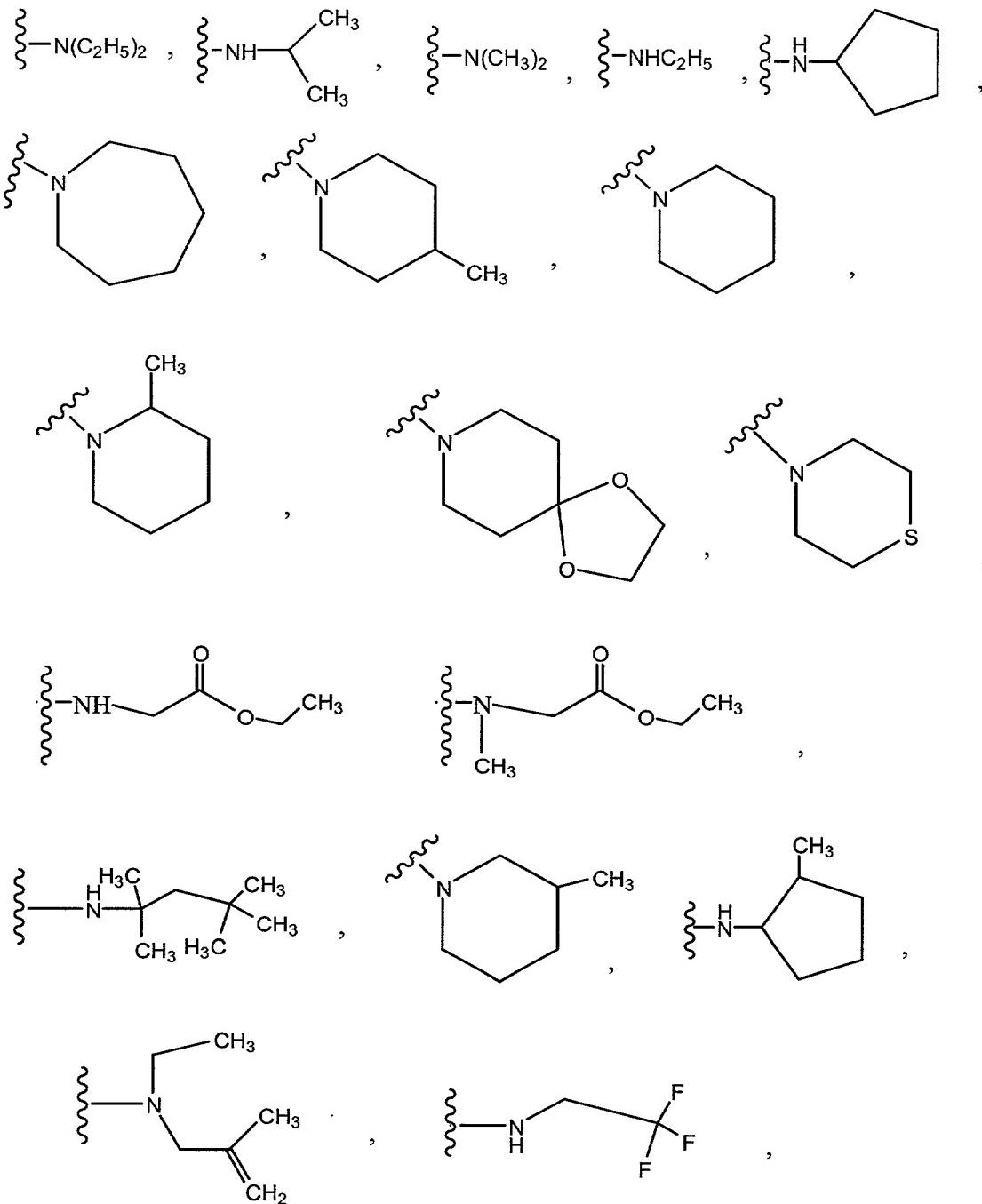


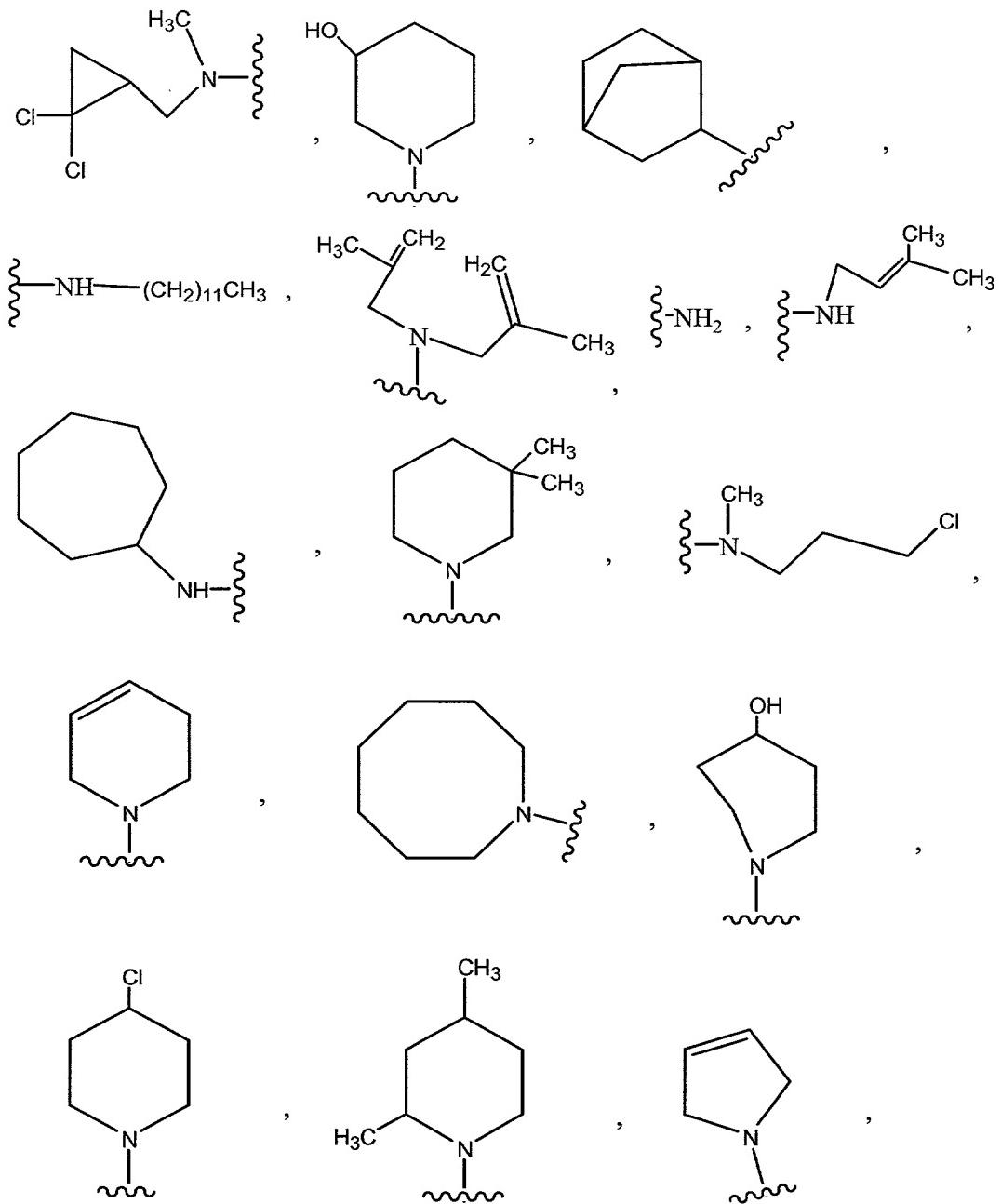


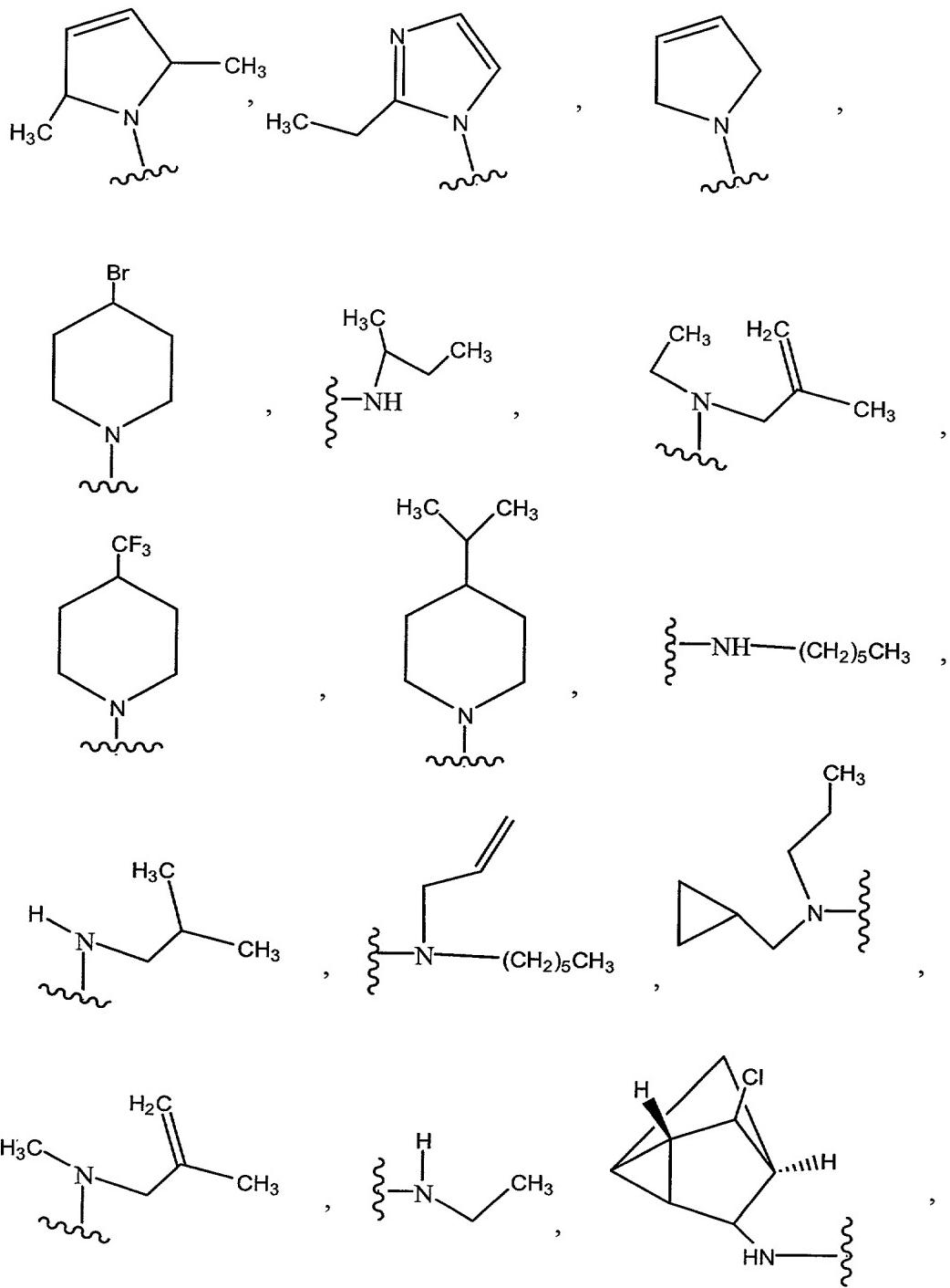


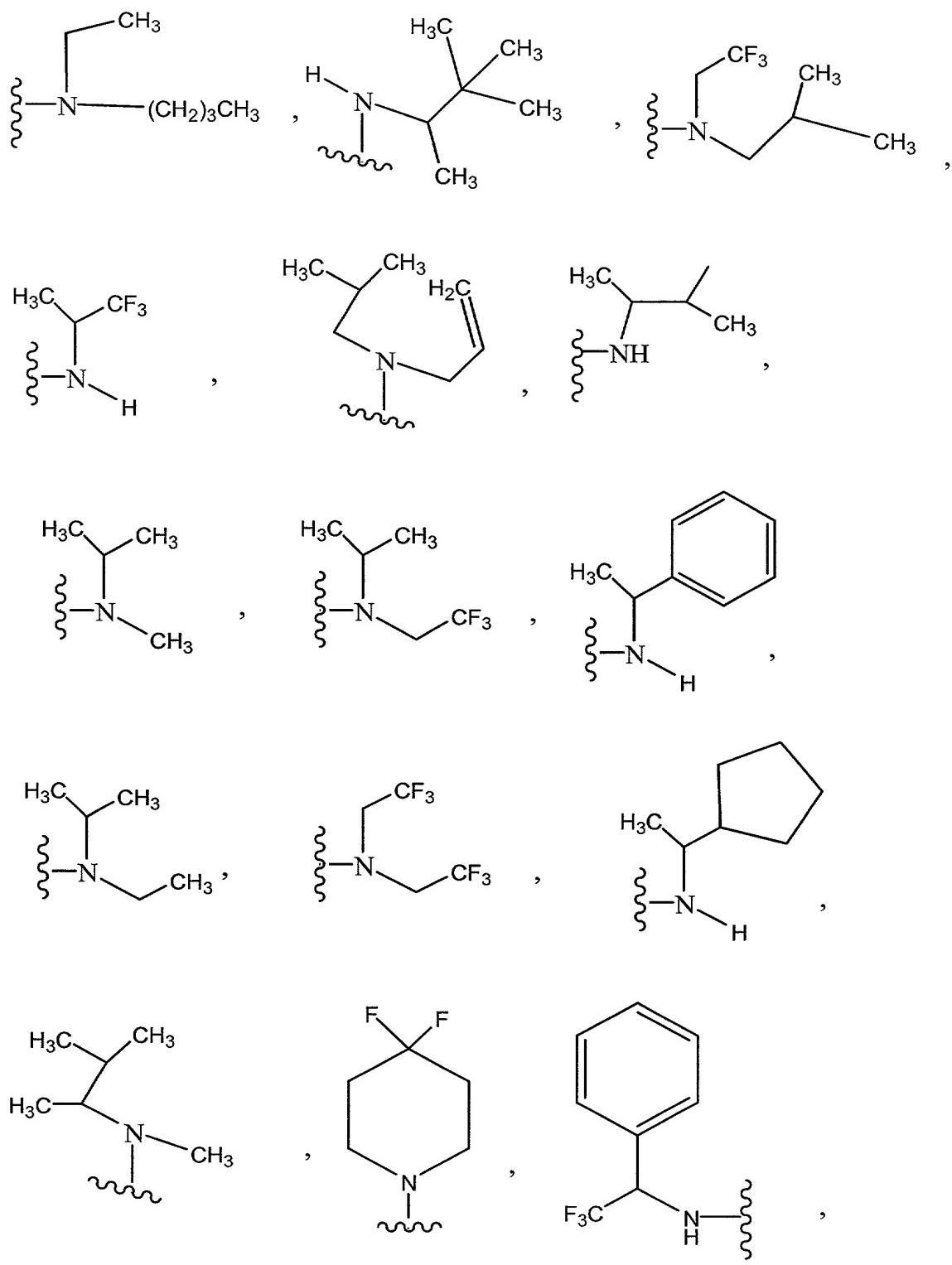
- 5 R^3 is halogen, alkoxy, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;
 R^4 is H or a pharmaceutically acceptable salt thereof is administered.

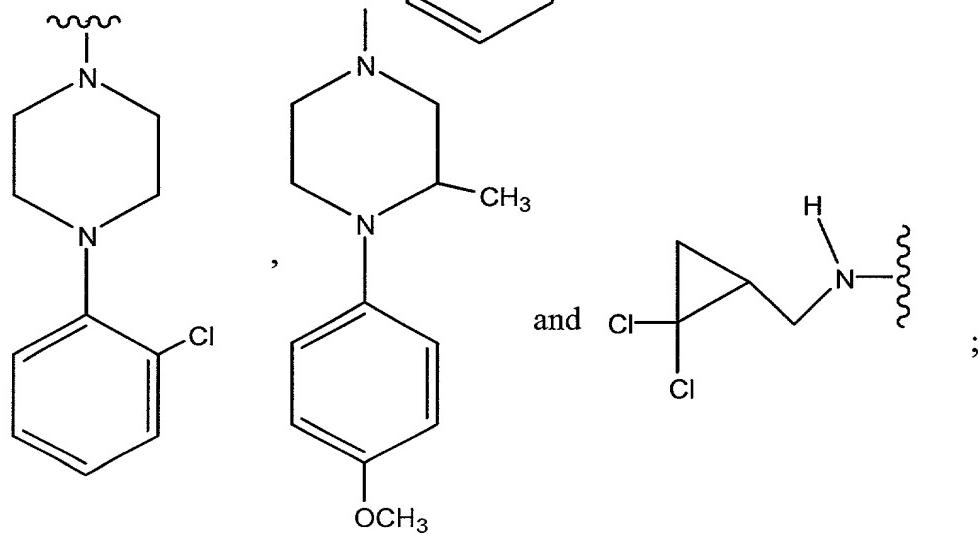
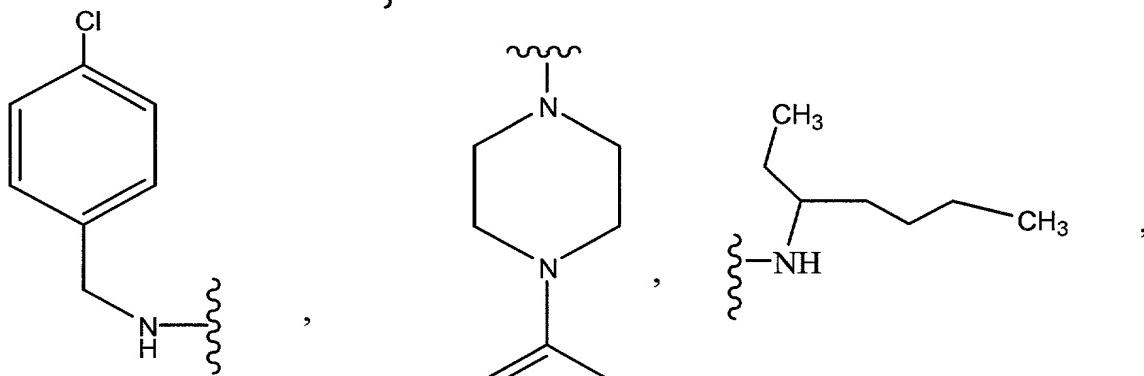
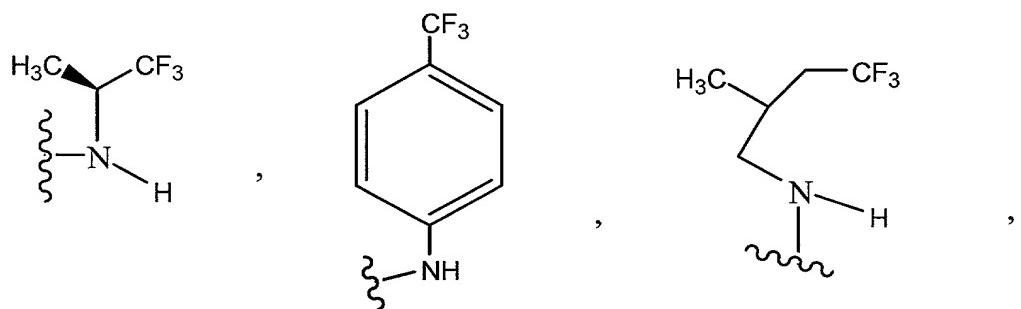
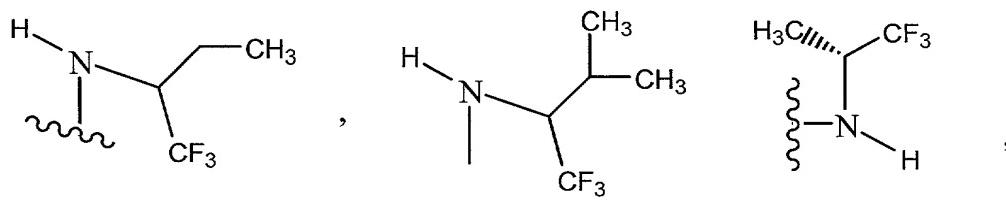
93. The method according to claim 75 wherein R^1 is the moiety $-NR^aR^b$
10 wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R^1 is selected from











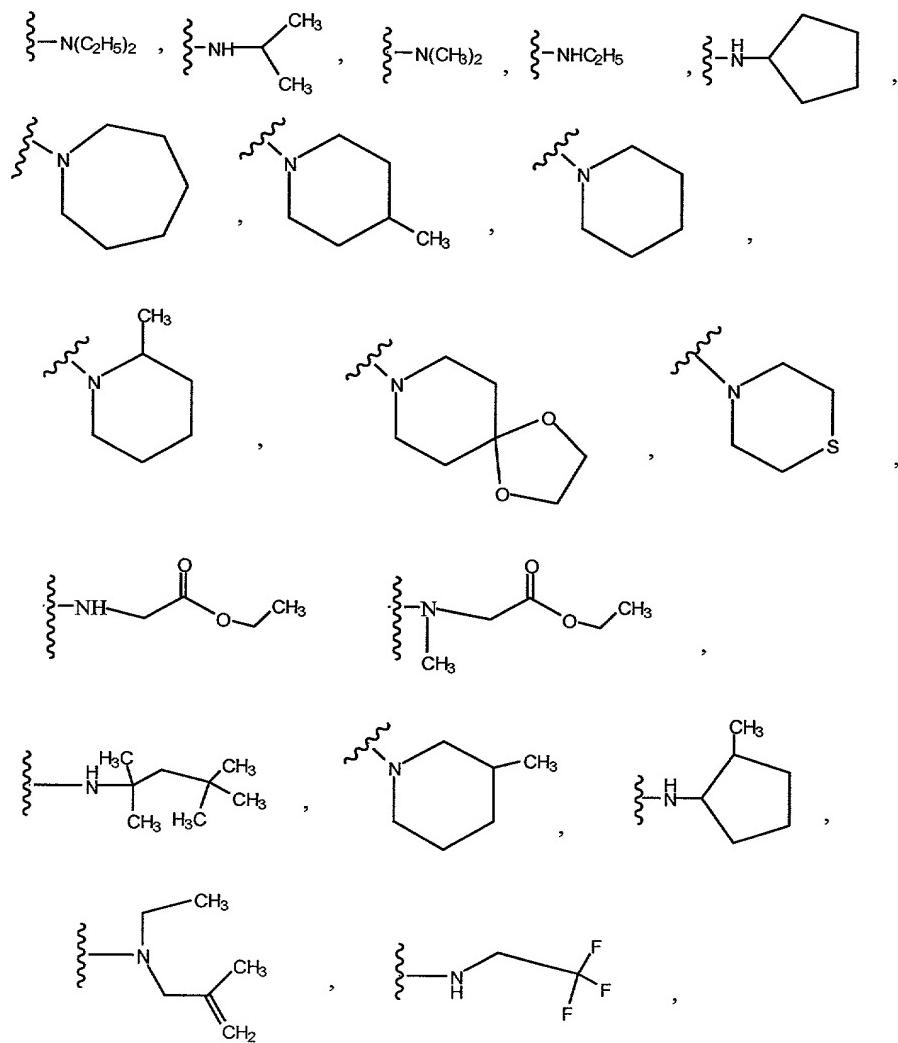
R² is optionally substituted phenyl;

R³ is halogen, alkoxy of 1 to 12 carbon atoms, -NR^cR^d, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or -N₃;

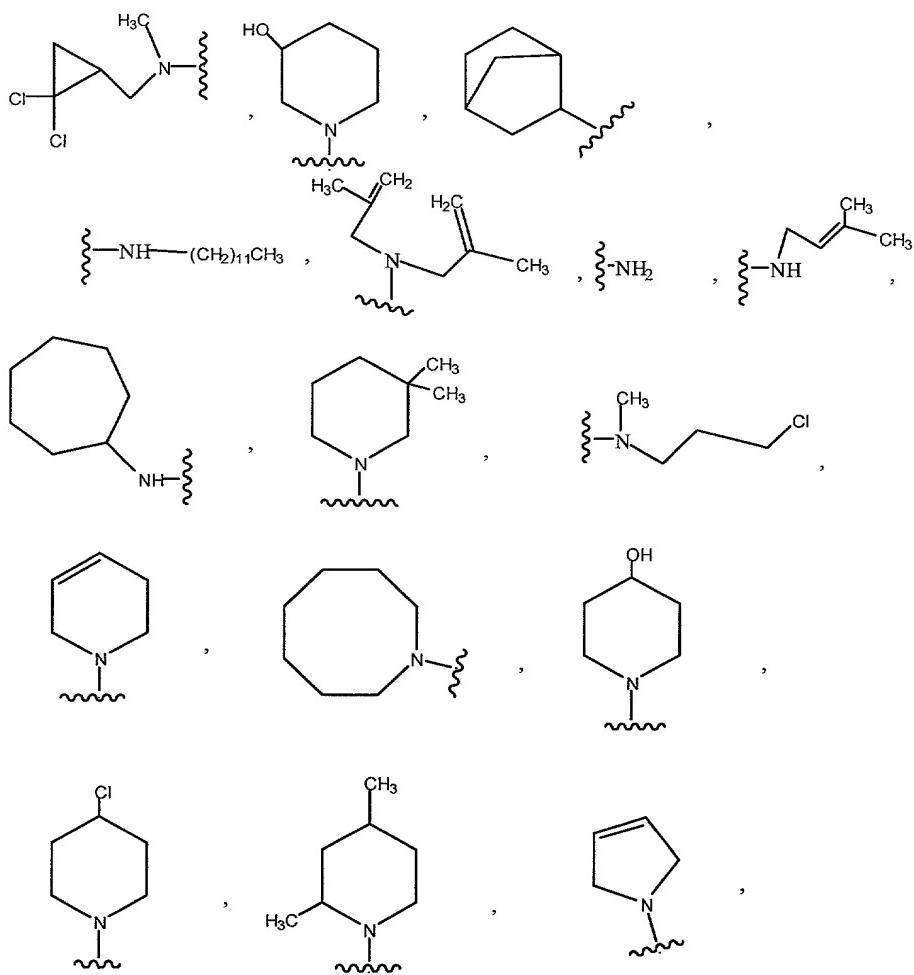
R⁴ is H or a pharmaceutically acceptable salt thereof is administered.

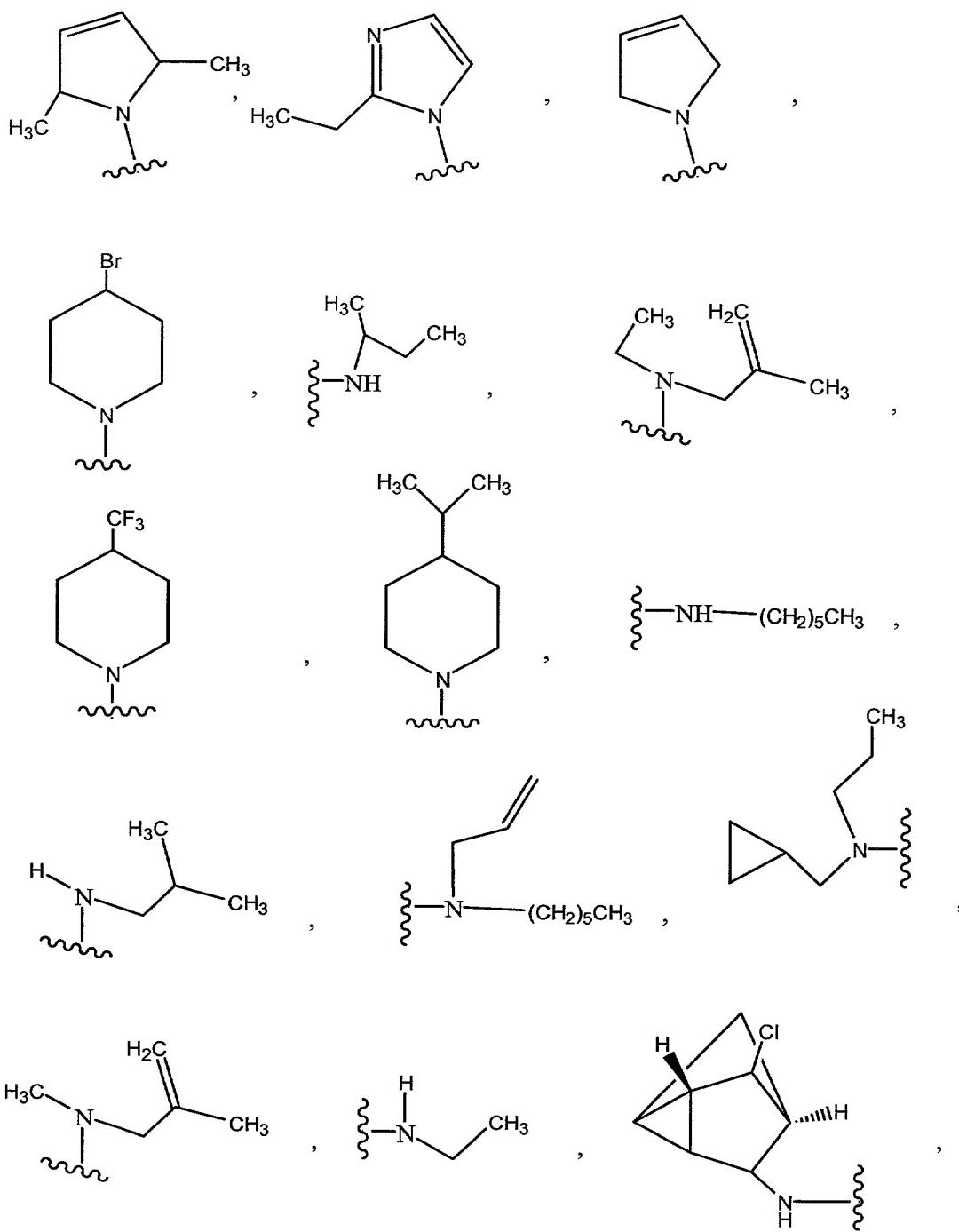
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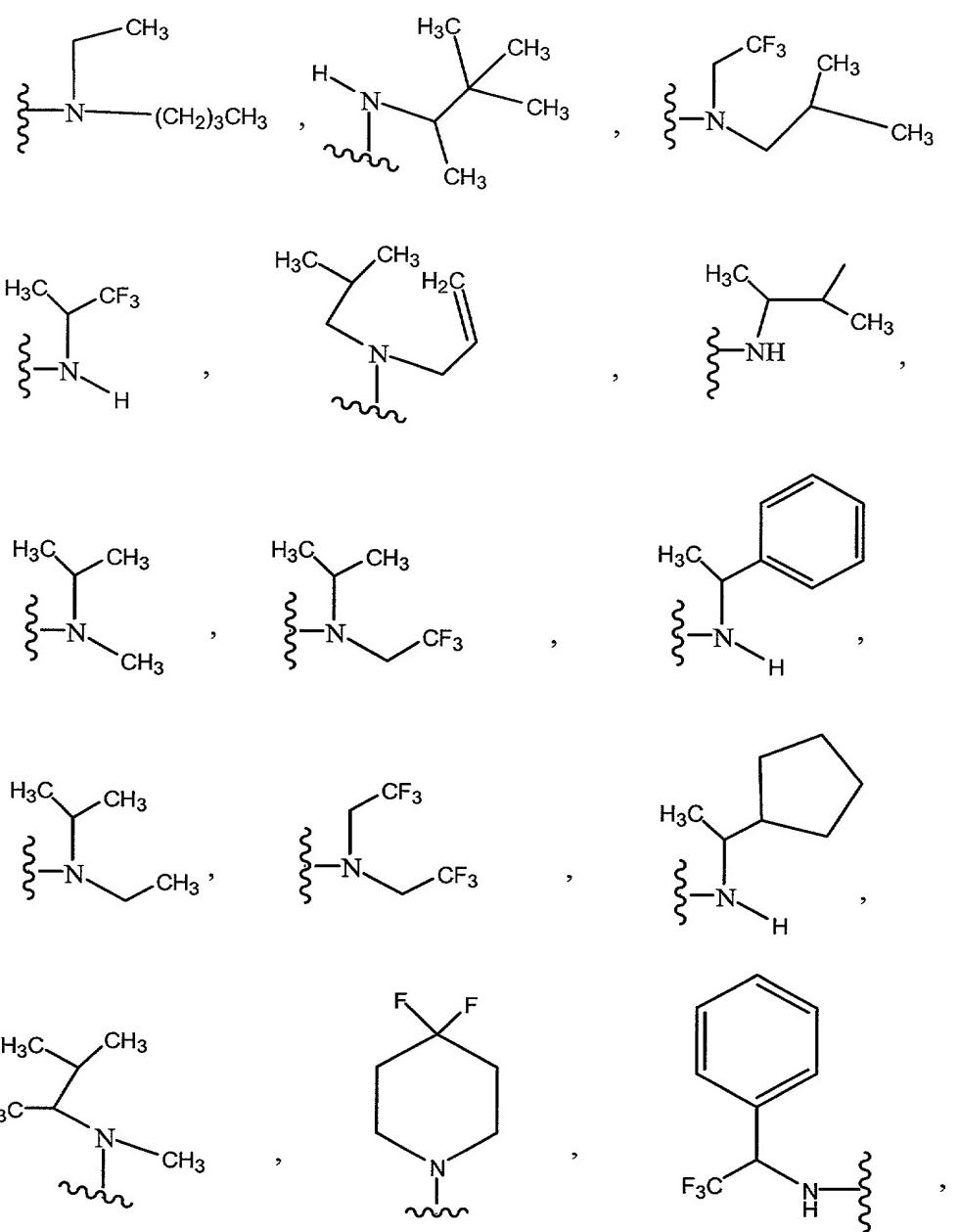
94. The method according to claim 75 wherein R¹ is the moiety -NR^aR^b wherein R^aR^b are optionally taken together with the nitrogen to which each is attached and wherein R¹ is selected from

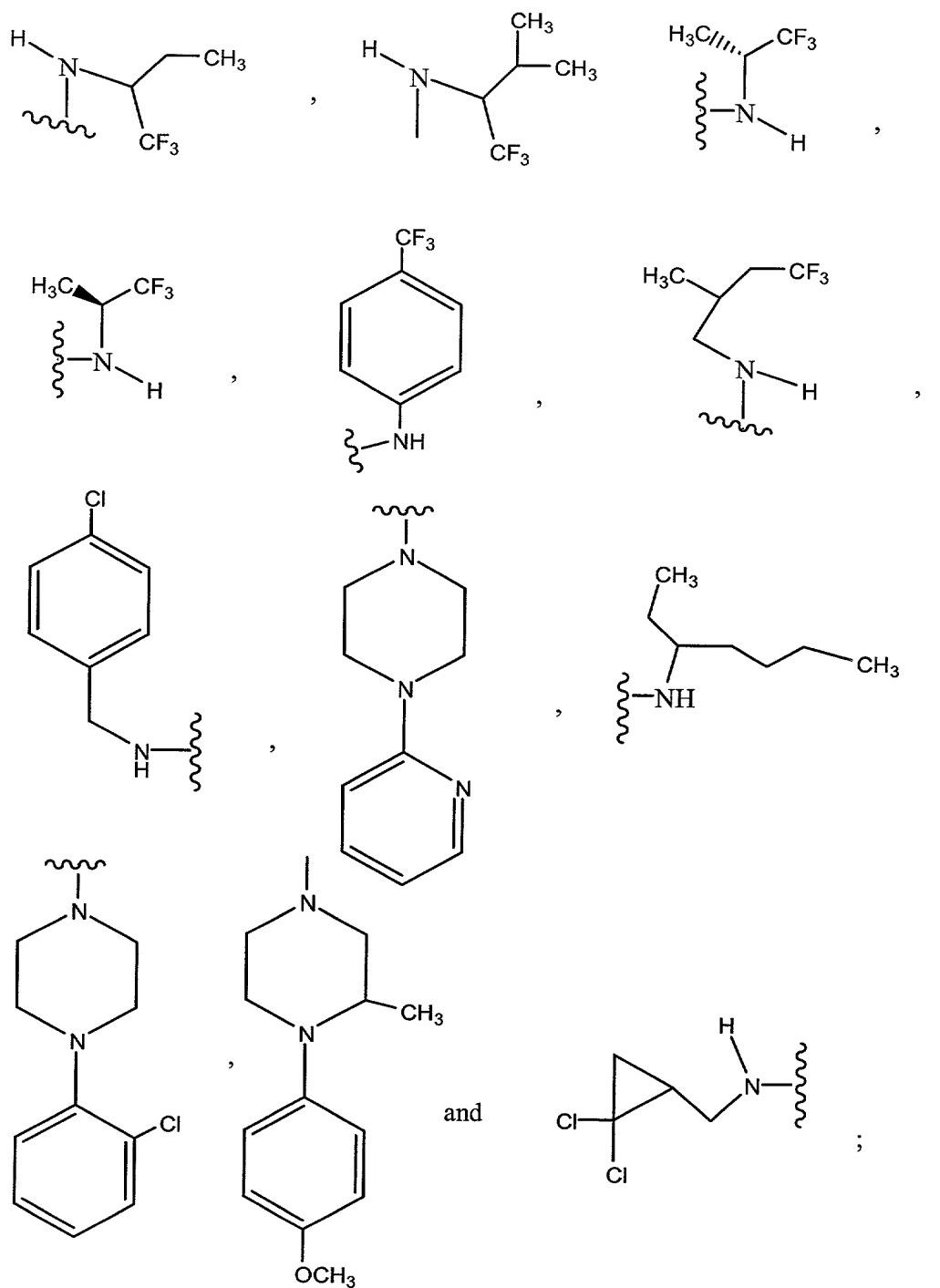


10









R^2 is optionally substituted thienyl;

R^3 is halogen, alkoxy of 1 to 12 carbon atoms, $-NR^cR^d$, haloalkoxy of 1 to 12 carbon atoms, alkylthio of 1 to 12 carbon atoms, cyano, or $-N_3$;

R^4 is H or a pharmaceutically acceptable salt thereof is administered.

5

95. The method according to claim 75 wherein said compound selected from:

7-(1-azepanyl)-5-chloro-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-6-(2,6-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(4-methoxyphenyl)-7-(1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azepanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

methyl [[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl](methyl)amino]acetate;

- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,1,3,3-tetramethylbutyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-(1-azepanyl)-5-chloro-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5
7-(1-azepanyl)-6-(4-bromophenyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidine;
5-chloro-7-(1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(4-tert-butylphenyl)-5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(4-methoxyphenyl)-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 6-(4-bromophenyl)-5-chloro-7-(3-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,4-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-dichlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chlorophenyl)-7-(2-methyl-1-pyrrolidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30

- 7-(1-azepanyl)-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(4-tert-butylphenyl)-5-chloro-7-(2-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2-methyl-1-piperidinyl)-6-[3-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 Diethyl 2-[6-(2,6-difluorophenyl)-5-ethoxy[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 7-(azepanyl)-5-chloro-6-{2-chloro-6-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(2-chloro-6-fluorophenyl)-N-[(2,2-dichlorocyclopropyl)methyl]-N-methyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-3-piperidinol;

- 100-320-512-555-6360
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(3-chloro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,5-difluorophenyl)-N-dodecyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-methyl-1-piperidinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 N-[5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-isopropylamine;
- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(3-chloro-4-methoxyphenyl)-N-cycloheptyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(3-chloro-4-methoxyphenyl)-7-(3,3-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-N-(3-chloropropyl)-N-methyl-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 7-(1-azocanyl)-5-chloro-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(1-azocanyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-methoxy-6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methanol;

1-[5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-4-piperidinol;

15

5-chloro-7-(4-chloro-1-piperidinyl)-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(4-thiomorpholinyl)-6-(2,3,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-6-(2,6-difluorophenyl)-7-(2,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(4-methyl-1-piperidinyl)-5-amino-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2,6-difluorophenyl)-7-(2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

30

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2,5-dimethyl-2,5-dihydro-1H-pyrrol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-methylphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 6-(2-bromophenyl)-N-(sec-butyl)-5-chloro[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-6-(4-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5- a]pyrimidin-7-amine;
- 15 5-chloro-6-(4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-chloro-1-piperidinyl)-6-[2-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(trifluoromethyl)-1-piperidinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 25 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromo-1-piperidinyl)-5-chloro-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isopropyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(4-thiomorpholinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclopenten-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-isopropyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(2,4-dimethyl-1-piperidinyl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-[ethyl(2-methyl-2-propenyl)amino]-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-{4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;
- N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorobenzyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-

5 a]pyrimidine;

7-(allylsulfanyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

10 5-chloro-N-ethyl-6-mesityl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-N-ethyl-6-(2-methoxyphenyl)-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

25 N-(sec-butyl)-5-chloro-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-[4-(methylsulfanyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(1-azepanyl)-5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2,2,2-trifluoroethyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-dimethyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-[2,6-dichloro-4-(trifluoromethyl)phenyl]-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(3,5-difluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-tetrahydro-2-furanyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]aniline;
N-{4-[5-chloro-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]phenyl}acetamide;

- [5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]methyl acetate;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(chloromethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- diethyl 2-[6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-5-yl]malonate;
- 10 7-(1-azepanylmethyl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- N-allyl-5-chloro-6-(2-chloro-6-fluorophenyl)-N-hexyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-7-(4-methyl-1-piperidinyl)-6-[4-(trifluoromethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-7-(4-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(cyclopropylmethyl)-N-propyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-(2-methyl-1-piperidinyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-{2-chloro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-6-(4-chloro-2,3,5,6-tetrafluorophenyl)-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 4-[5-chloro-2-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-N,N-dimethylaniline;
- 6-(2-chloro-6-fluorophenyl)-5-methyl-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[2-(1-pyrrolidinyl)-1-cyclohexen-1-yl][1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(methoxymethyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-{2-chloro-4-nitrophenyl}-7-[ethyl(2-methyl-2-propenyl)amino][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-(isopropylsulfanyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-cyclopentyl-6-(4-ethoxy-2,3,5,6-tetrafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-methyl-N-(2-methyl-2-propenyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 4-bromo-1-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]butyl acetate;
- diethyl 2-allyl-2-{{5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}oxy}malonate;

- 6-(2-chloro-6-fluorophenyl)-N-ethyl-5-methyl[1,2,4]triazolo[1,5-a]pyrimidin- 7-amine;
- N-butyl-5-chloro-N-ethyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2-chloro-6-fluorophenyl)-5-(difluoromethoxy)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(4-chlorophenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[(2-methoxyphenyl)sulfanyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,3,4,5,6-pentafluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,4,6-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-6-(4-fluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5,7-bis(4-methyl-1-piperidinyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-6-(2-methylphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,4,5-trifluorophenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2-bromophenyl)-5-chloro-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isobutyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isobutyl-6-(2-methylphenyl)-N-(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(2,2,2-trifluoro-1-methylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 N-allyl-5-chloro-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-(1,2-dimethylpropyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-chloro-N-isopropyl-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-isopropyl-N-(2,2,2-trifluoroethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-butyl-5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-(1-phenylethyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-ethyl-N-isobutyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-hexyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-methylphenyl)-N,N-bis(2,2,2-trifluoroethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-cyclopentyl-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-butyl-5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-phenyl[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(2-methylpropanyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-pentyl[1,2,4]triazolo[1,5-a]pyrimidine;

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- 5-chloro-N-(1,2-dimethylpropyl)-N-methyl-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-

10 a]pyrimidine;

5-chloro-6-(2-bromo-5-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(3-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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[5-chloro-6-(2,4,6-trifluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(1-p-tolyl-ethyl)-amine;

5-chloro-6-(2,4,6-trifluoro-phenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-7-cyclohexyl-6-(2,3,4,5,6-pentafluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(2-chloro-6-fluorophenyl)-7-(4,4-difluoro-1-

30 piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

7-(bicyclo[2.2.1]hept-2-ylamino)-5-chloro-6-{2-fluoro-4-nitrophenyl}[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-{2-fluoro-4-nitrophenyl}-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-(methylsulfanyl)-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

10 [5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl] (2,2,2-trifluoro-1-phenylethyl)-amine;

5-chloro-N-[1-(trifluoromethyl)propyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

15 5-bromo-6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidine;

20 6-(2-chloro-6-fluorophenyl)-7-cyclohexyl[1,2,4]triazolo[1,5-a]pyrimidin-5-amine;

[5-chloro-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-(2-methyl-1-trifluoromethyl-propyl)amine;

25 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1-cyclohexen-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(1R)-2,2,2-trifluoro-1-methylethyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(2,4-difluorophenyl)-5-chloro-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-[(1S)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-7-(4-fluorocyclohexyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-dichloro-4-fluorophenyl)-7-(3,3,3-trifluoropropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- N-(sec-butyl)-5-chloro-6-(2,6-dichloro-4-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,6-difluorophenol;
- 5-chloro-7-(3-cyclohexen-1-yl)-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3,6-dihydro-1(2H)-pyridinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-thiomorpholinyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 7-(1-azepanyl)-5-chloro-6-(2,6-difluoro-4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 30 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(4-fluorocyclohexyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)hexanoic acid;
- 2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-isopropyl-6-{2-[(trifluoromethyl)sulfanyl]phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[4-(trifluoromethyl)phenyl]-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-N-(4,4,4-trifluoro-2-methylbutyl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-(3-methyl-3-butenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2,6-difluoro-4-methoxyphenyl)-7-isobutyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 7-cyclopentyl-6-(2,6-difluoro-4-methoxyphenyl)-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-thienyl)-N-[(1R)-2,2,2-trifluoro-1-methylethyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 4-(5-chloro-7-(2,2,2-trifluoro-1-methyl-ethylamino)[1,2,4]triazolo[1,5-a]pyrimidin-6-yl]-3,5-difluoro-phenol;
- 5 {5-chloro-6-[2,6-difluoro-4-(2,2,2-trifluoro-ethoxy)-phenyl]-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl}-(2,2,2-trifluoro-1-methyl-ethyl)amine;
- 5-chloro-6-{2,6-difluoro-4-(methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 (5-chloro-6-{4-[2-(2-ethoxyethoxy)-ethoxy]-2,6-difluoro-phenyl}[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 15 (5-chloro-6-{2,6-difluoro-4-[2-(2-methoxy-ethoxy)ethoxy]-phenyl}-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl)-(2,2,2-trifluoro-1-methylethyl)amine;
- 5-chloro-6-[2,6-difluoro-4-(3-furan-3-ylmethoxy)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-N-(2,2,2-trifluoro-1-methylethyl)amine;
- 20 5-chloro-6-(2,5-difluoro-4-methoxyphenyl)-N-(1,2,2-trimethylpropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]-5-methoxy[1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-chloro-6-(2-fluoro-4-methoxy-6-chlorophenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(2-fluoroethoxy)phenyl]-N-ethyl-N-(2-methyl-2-propenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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- 2-[2-(4-{5-chloro-7-[(2,2,2-trifluoro-1-methylethyl)amino][1,2,4]triazolo[1,5-a]pyrimidin-6-yl}-3,5-difluorophenoxy)ethoxy]ethanol;
- 5-chloro-6-(2,3-difluoro-4-methoxyphenyl)-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-{4-(2-fluoroethoxy)-2,6-difluorophenyl}-N-(2,2,2-trifluoro-1-methylethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-(4-chlorobenzyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-pyridinyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 15 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(1-ethylpentyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(2-chlorophenyl)-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 20 5-chloro-6-(2-chloro-6-fluorophenyl)-7-[4-(4-methoxyphenyl)-3-methyl-1-piperazinyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-cyclopentyl-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 25 5-chloro-7-phenoxy-6-(4-methoxy-phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 30 5-chloro-N-cyclopentyl-6-(4-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

5,7-diphenoxy-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-N-cyclopentyl-6-(2-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-N,N-diethyl-6-[4-methoxyphenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-N,N-diethyl-6-[2,4-dichlorophenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

N-bicyclo[2.2.1]hept-2-yl-5-chloro-6-(2,4-dichlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

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5-chloro-6-(2-chloro-6-fluorophenyl)-7-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-cyano-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-(methylsulfanyl)-7-(4-methyl-1-piperidinyl)-6-(2-chloro-5-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-7-(1,4-dioxa-8-azaspiro[4,5]dec-8-yl)-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

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5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-(methylsulfanyl)phenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

2-methyl-6,7-di-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2-methyl-6-phenyl-7-(4-chlorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5 2-trifluoromethyl-6-phenyl-7-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5,7-diphenoxo-6-(2-methylpropyl)[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-N-(isopropyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-

10 amine;

5-bromo-6-(4-bromophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

15 5-bromo-6-(4-trifluoromethylphenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

5-chloro-6-(3,4-difluorophenyl)-7-dimethylamino[1,2,4]triazolo[1,5-a]pyrimidine;

20 5-chloro-6-(4-trifluoromethylphenyl)-N-(ethyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

7-(1-azepanyl)-5-chloro-6-(4-tert-butylphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

25 ethyl {[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]amino}acetate;

diethyl 5-chloro-6-(2,6-difluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-malonate;

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- 5-chloro-6-(2,5-difluorophenyl)-N-(3-methyl-2-butenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5 [5-chloro-6-(2-chloro-6-fluorophenyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]acetic acid methyl ester;
- 5-chloro-6-(2,6-difluorophenyl)-7-(2-ethyl-1H-imidazol-1-yl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 10 5-chloro-N,N-diethyl-6-[4-(methylsulfanyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 ethyl [6-(2-chloro-6-fluorophenyl)-7-(4-methyl-1-piperidinyl)- [1,2,4]triazolo[1,5-a]pyrimidin-5-yl]acetate;
- 20 5-chloro-N-ethyl-N-(2-methyl-2-propenyl)-6-(4-phenoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- dimethyl 2-[5-chloro-6-(2-chloro-6-fluorophenyl)][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]malonate;
- 25 diethyl 2-{{[5-chloro-6-(2-chloro-6-fluorophenyl)][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]oxy}-2-isobutylmalonate;
- 2-[5-chloro-6-(2-chloro-6-fluorophenyl)][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-1,3-cyclohexanedione;
- 30 2-[5-chloro-6-(2-chloro-6-fluorophenyl)][1,2,4]triazolo[1,5-a]pyrimidin-7-yl]cyclohexanone;
- 5-chloro-7-(3-nitro-4-methylaniilino)-6-(2, 4, 6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;

- 7-cyclohexyl-6-[2,6-difluoro-4-(2-methoxyethoxy)phenyl]5-(2-methoxyethoxy)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(3-bromophenyl)-2-ethyl-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(3-bromophenyl)-6-(3-chlorophenyl)-2-ethyl[1,2,4]triazolo[1,5-a]pyrimidine;
- 7-(4-bromophenyl)-2-ethyl-6-[4-(trifluoromethyl)phenyl][1,2,4]triazolo[1,5-a]pyrimidine;
- 5-chloro-6-(2-chloro-6-fluorophenyl)-N-(3,4,5-trimethoxybenzyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 7-(2-benzyl-4,5-dihydro-1H-imidazol-1-yl)-5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- N-4-[5-chloro-6-(2-chloro-6-fluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl-N,N-1-diethyl-1,4-pentanediamine;
- 5-chloro-N-(3-methyl-2-but enyl)-6-phenyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-dimethylamino-6-phenyl-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-7-[(2-furylmethyl)sulfanyl]-6-(4-methoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidine;
- 6-[1,1'-biphenyl]-4-yl-5-chloro-N-cyclopentyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;

- 6-[4-(benzyloxy)phenyl]-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-N-[(2,2-dichlorocyclopropyl)methyl]-6-(3,4,5-trimethoxyphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- N-cyclopentyl-6-(2-fluorophenyl)-5-hydrazino[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 10 5-chloro-N-ethyl-6-(2-methylphenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 6-(4-tert-butylphenyl)-5-chloro-N-isopropyl[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 15 5-chloro-6-[2,6-difluoro-4-[(3-methyl-2-butenyl)oxy]phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-chloro-6-[2,6-difluoro-4-(1-propenyloxy)phenyl]-N-(2,2,2-trifluoro-1-methylethyl)-[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 20 5-chloro-N-(3-tricyclo[2.2.1.0^{2,6}]hept-1-yl)-6-(2,4,6-trifluorophenyl)[1,2,4]triazolo[1,5-a]pyrimidin-7-amine;
- 5-azido-7-cyclohexyl-6-(2-fluoro-6-chlorophenyl) [1,2,4]triazolo[1,5-a]pyrimidine;
- 25 5-azido-6-[2-chloro-6-fluorophenyl]-7-(4-methyl-1-piperidinyl)[1,2,4]triazolo[1,5-a]pyrimidine;

2,5-dichloro-7-(4-methyl-1-piperidinyl)-6-[2-chloro-6-fluorophenyl][1,2,4]triazolo[1,5-a]pyrimidine or a pharmaceutically acceptable salt thereof is administered.